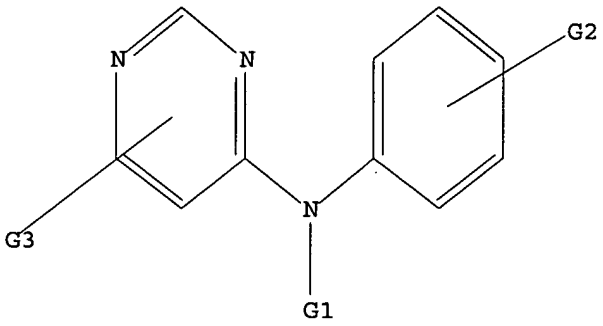

G1 H,Ak

G2 C,N

G3 H,Ph,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 14:24:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1972 TO ITERATE

 50.7% PROCESSED    1000 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        36777 TO    42103
PROJECTED ANSWERS:            2786 TO     4392

L2            50 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:24:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  40512 TO ITERATE

100.0% PROCESSED   40512 ITERATIONS                      3124 ANSWERS
SEARCH TIME: 00.00.01

L3          3124 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.55     148.76

FILE 'CAPLUS' ENTERED AT 14:25:34 ON 23 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 23 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003 (20030522/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4          938 L3

=> s l4 and (thien? or furan? or pyrrol? or phenyl or pyrid? or naphthyl or
'benzo[b]thien-2-yl' or benzofuran? or pyrimid?)
          31364 THIEN?
          68304 FURAN?
         118996 PYRROL?
         288597 PHENYL
         322729 PYRID?
          46952 NAPHTHYL
          53128 'BENZO'
        1353925 'B'
           1041 'THIEN'
        7722298 '2'
         102730 'YL'
             92 'BENZO[B]THIEN-2-YL'
                  ('BENZO'(W)'B'(W)'THIEN'(W)'2'(W)'YL')
          12968 BENZOFURAN?
          76319 PYRIMID?
L5            416 L4 AND (THIEN? OR FURAN? OR PYRROL? OR PHENYL OR PYRID? OR NAPHT
                  HYL OR 'BENZO[B]THIEN-2-YL' OR BENZOFURAN? OR PYRIMID?)

=> s l5 and (cyano or amino or hydroxy)
          69277 CYANO
         922581 AMINO
         385467 HYDROXY
L6            215 L5 AND (CYANO OR AMINO OR HYDROXY)

=> d l6 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 215 ANSWERS - CONTINUE? Y/(N):y

L6   ANSWER 1 OF 215  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2003:319458  CAPLUS
DOCUMENT NUMBER:          138:321291
TITLE:                    Preparation of pyrimidine and indol-2-one
                          derivatives as galanin GAL3 receptor antagonists for
                          the treatment of depression and/or anxiety
INVENTOR(S):              Blackburn, Thomas P.; Konkel, Michael J.; Boteju,
                          Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.;
                          Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 265 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
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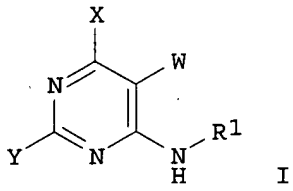
US 2003078271 A1 20030424 US 2002-66175 20020131
PRIORITY APPLN. INFO.: US 2001-265586P P 20010131
GI



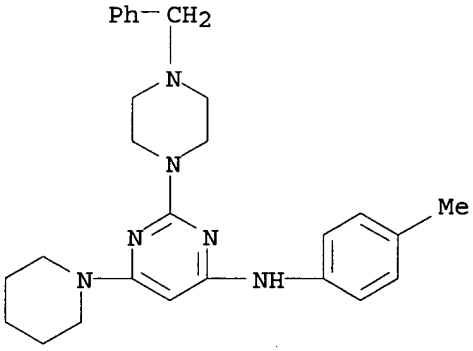
I

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepd. Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

IT **445452-77-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **pyrimidine** and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445452-77-7 CAPLUS

CN 4-Pyrimidinamine, N-(4-methylphenyl)-2-[4-(phenylmethyl)-1-piperazinyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:300895 CAPLUS
DOCUMENT NUMBER: 138:321288
TITLE: Preparation of 2- and 4-aminopyrimidines N-substituted by a bicyclic ring for use as kinase inhibitors in the treatment of cancer
INVENTOR(S): Nagarathnam, Dhanapalan; Wang, Chunguang; Chen, Yuanwei; Yi, Lin; Chen, Jianqing; Weber, Olaf; Boyer, Stephen; Clark, Roger B.; Phillips, Barton; Meahl, Jennifer; Ladouceur, Gaetan; Bi, Cheng; Burke, Michael J.; Cook, James; Verma, Sharad K.; Fan, Jianmei
PATENT ASSIGNEE(S): Bayer Corporation, USA

09/ 922,874

SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003030909	A1	20030417	WO 2002-US30616	20020925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-324276P P 20010925
US 2002-352509P P 20020131

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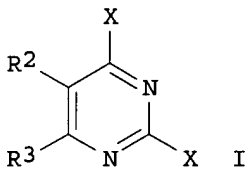


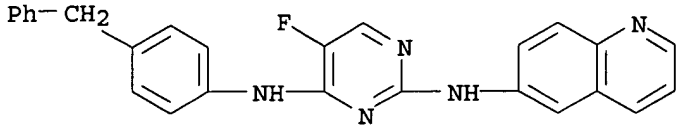
I

AB The title compds. [I; X = NR1R6, NR4R5, R4, with the proviso that at least one X must be NR1R6; R1 = (un)substituted fused bicyclic unsatd. ring contg. 9 or 10 atoms optionally contg. 1-4 heteroatoms selected from the group consisting of N, S and O; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, thio; R4 = (un)substituted -Yn-mono-ring group or -Yn-multi-ring group (each ring contg. 4-18 atoms in the ring and optionally contg. 1-4 heteroatoms selected from N, S, and O; n = 0-1; Y = alkylenyl, C(CN); R4 can also be hydrogen or alkyl when R5 is present); R5 = (un)substituted -Yn-mono-ring group or -Yn-multi-ring group (each ring contg. 4-18 atoms in the ring and optionally contg. 1-4 heteroatoms selected from N, S, and O; n = 0-1; Y = alkylenyl, N:CH, N:CHMe; with the proviso that the multi-ring group cannot be benzimidazolyl); R6 = H, alkyl] which are kinase inhibitors useful in the treatment of cancer and viral infections, were prepd. and formulated. Thus, heating 6-aminoquinoline with 2,4-dichloro-5-trifluoromethylpyrimidine (prepn. given) in the presence of Na2CO3 in BuOH to 120.degree.C for 3 days afforded I [X = 6-quinolinylamino; R2 = CF3; R3 = H] which showed IC50 of 0.48 .mu.M in in vitro proliferation inhibition assay (HCT 116 human colorectal carcinoma cells).

IT **511244-90-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2- and 4-aminopyrimidines as kinase inhibitors in the treatment of cancer)

RN 511244-90-9 CAPLUS

CN 2,4-Pyrimidinediamine, 5-fluoro-N4-[4-(phenylmethyl)phenyl]-N2-6-quinolinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

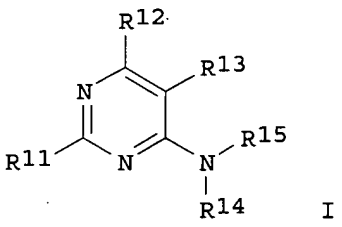
L6 ANSWER 3 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:261678 CAPLUS
DOCUMENT NUMBER: 138:287691
TITLE: Preparation of 4-aminopyrimidine derivatives as insulin secretion accelerators
INVENTOR(S): Yonetoku, Yasuhiro; Maruyama, Tatsuya; Negoro, Kenji; Moritomo, Hiroyuki; Imanishi, Naoki; Shimada, Itsuro; Moritomo, Ayako; Hamaguchi, Wataru; Misawa, Hana; Yoshida, Shigeru; Ohishi, Takahide
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026661	A1	20030403	WO 2002-JP9350	20020912

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-279671 A 20010914
JP 2002-121012 A 20020423
OTHER SOURCE(S): MARPAT 138:287691
GI



I

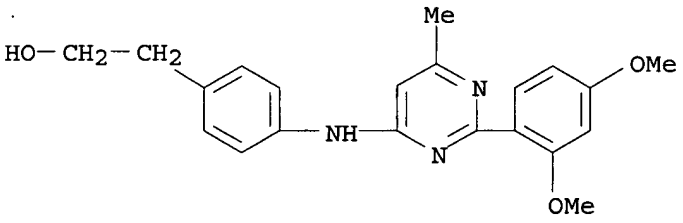
AB Disclosed are insulin secretion accelerators contg. the 4-aminopyrimidine derivs. [I; R11 = A11-D11 (wherein A11 = single bond, lower alkylene, lower alkenylene; D11 = each (un)substituted aryl, cycloalkyl, or arom. or non-arom. heterocyclyl); R12 = H, lower alkyl optionally substituted by

.gtoreq.1 groups selected from aryl, halo, lower alkoxy, and OH; R13 = H, Me, F; R14 = H; lower alkyl optionally substituted by .gtoreq.1 halogens; R15 = A15-D15 (wherein A15 = single bond, lower alkylene, lower alkenylene; D15 = H, lower alkoxy, **amino** optionally substituted by 1 or 2 groups selected from lower alkyl and aryl, each (un)substituted aryl, cycloalkyl, or arom. or non-arom. heterocyclyl)] or pharmaceutically acceptable salts thereof as the active ingredients. These compds. are highly effective in promoting insulin secretion, increasing insulin content, and inhibiting blood sugar level from increasing and are usable for treatments for insulin-dependent diabetes, non-insulin-dependent diabetes, insulin-resistant diseases, and obesity. Thus, a mixt. of 284 mg 2-(4-bromophenyl)-4-chloro-6-methylpyrimidine, 1 mL 70% aq. ethylamine soln., 2 mL MeOH was stirred at room temp. for 2 h and at 60.degree. for 3 h, treated again with 1 mL 70% aq. ethylamine soln., and stirred at 60.degree. for 5 h to give 198 mg N-[2-(4-bromophenyl)-6-methylpyrimidin-4-yl]ethylamine (II). II in vitro promoted the secretion of insulin in mouse spleen .beta.-cells by 159% vs. 122% for Glibenclamide.

IT **504404-59-5**, 2-[4-[[2-(2,4-Dimethoxyphenyl)-6-methylpyrimidine-4-yl]**amino**]**phenyl**]ethanol
RL: RCT (Reactant); RACT (Reactant or reagent)
(demethylation and bromination by hydrogen bromide in acetic acid; prepn. of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-59-5 CAPLUS

CN Benzeneethanol, 4-[[2-(2,4-dimethoxyphenyl)-6-methyl-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:154426 CAPLUS
DOCUMENT NUMBER: 138:205077
TITLE: Preparation of **pyrimidines** as HIV inhibitors.
INVENTOR(S): Guillemont, Jerome Emile Georges; Palandjian, Patrice; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Vinkers, Hendrik Maarten; Daeyaert, Frederik Frans Desire; Heeres, Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus Joannes; Janssen, Paul Adriaan Jan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016306	A1	20030227	WO 2002-EP8953	20020809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-203090 A 20010813
EP 2002-77748 A 20020610

OTHER SOURCE(S): MARPAT 138:205077

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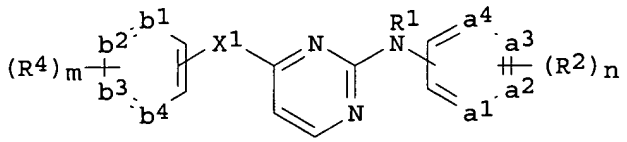


I

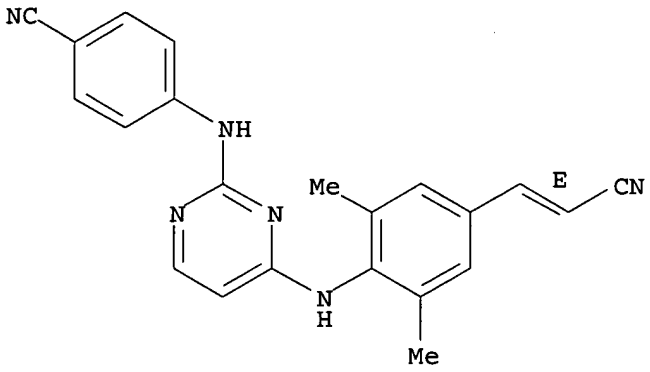
AB Title compds. [I; a1:a2a3:a4, b1:b2b3:b4 = atoms to form Ph, **pyridyl**, **pyrimidinyl**, pyrazinyl, **pyridazinyl** rings; n = 0-5; m = 1-4; R1 = H, aryl, CHO, alkylcarbonyl, alkyl, alkyloxycarbonyl, substituted alkyl, alkylcarbonyl; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, carboxyl, **cyano**, NO2, **amino**, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, SOpR6, NHSOpR6, COR6, NHCOH, CONHNH2, NHCOR6, C(:NH)R6, 5-membered heterocycle; X1 = NR5, NHNH, N:N, O, CO, alkanediyl, CH(OH), S, SOp, X2-alkanediyl, alkanediyl-X2; X2 = NR5, NHNH, N:N, O, CO, CH(OH), S, SOp; R3 = NHR13, NR13R14, CONHR13, CONR13R14, COR15, CH:NNHCOR16, substituted alkyl, (substituted) alkoxyalkyl, substituted alkenyl, alkynyl, alkyl substituted with OH and a second substituent, C(:NOR8)-alkyl, R7, X3R7; R4 = halo, OH, alkyl, cycloalkyl, alkoxy, **cyano**, nitro, polyhaloalkyl, polyhaloalkoxy, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyl, CHO, **amino**; R5 = H, aryl, CHO, alkylcarbonyl, alkyl, alkoxycarbonyl, etc.; R6 = alkyl, **amino**, polyhaloalkyl; R7 = mono-, bi-, or tricyclic (arom.) carbocyclyl, heterocyclyl; R13, R14 = alkyl, alkenyl, alkynyl optionally substituted by **cyano**, aminocarbonyl; R15 = cyanoalkyl, aminocarbonylalkyl; R16 = R15, R7; p = 1, 2], were prepd. Thus, 4-[(4-chloro-2-**pyrimidinyl**)**amino**]benzonitrile (prepn. given) and 4-(2-cyanoethenyl)-2,6-dimethylaniline were stirred together at 150.degree. for 1 h to give 4-[[4-[[4-(2-cyanoethenyl)-2,6-dimethylphenyl]**amino**]-2-**pyrimidinyl**]**amino**]benzonitrile. The latter inhibited HIV-induced cytopathic effect in MT-4 cells with pIC50 = 9.4.

IT **500287-72-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **pyrimidines** as HIV inhibitors)

RN 500287-72-9 CAPLUS

CN Benzonitrile, 4-[[4-[[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



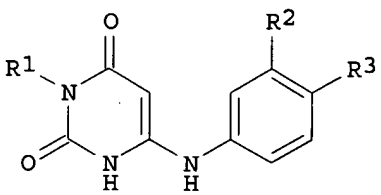
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:117630 CAPLUS
DOCUMENT NUMBER: 138:170246
TITLE: Preparation of N3-substituted 6-anilinopyrimidines to treat Gram-positive bacterial and mycoplasmal infections
INVENTOR(S): Zhi, Chengxin; Long, Zheng-Yu; Wright, George E.; Brown, Neal C.
PATENT ASSIGNEE(S): University of Massachusetts, USA; Shire Biochem Inc.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011297	A1	20030213	WO 2002-US19398	20020617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-298357P P 20010615
US 2002-348420P P 20020114
OTHER SOURCE(S): MARPAT 138:170246
GI



I

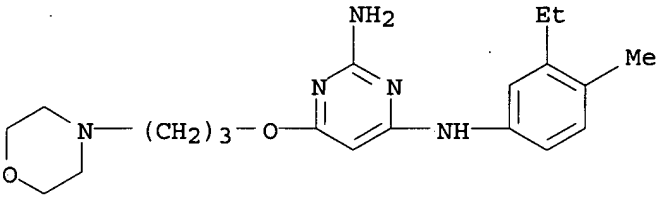
09/ 922,874

AB The title compds. [I; R1 = (CH2)m[An(CH2)p]qB (wherein A = CH2, CH:CH, CO, etc.; B = H, halo, alkyl, etc.; m = 1-4; n = 0-1; p = 0-4; q = 0-4); R2, R3 = alkyl, alkenyl, halo; or R2 and R3 together are alkylene; with the provisos], useful for treating Gram-pos. bacterial and mycoplasmal infections, were prepd. Thus, reacting 6-**amino**-2-methoxy-3-[2-(2-benzyloxyethoxy)ethyl]-4-**pyrimidone** with 3-ethyl-4-methylaniline.HCl afforded 72% I [R1 = (CH2)2O(CH2)2OCH2Ph; R2 = Et; R3 = Me] which showed MIC of 5 .mu.g/mL against S. aureus and E. fecalis.

IT **496943-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N3-substituted 6-anilinopyrimidines to treat Gram-pos. bacterial and mycoplasmal infections)

RN 496943-57-8 CAPLUS

CN 2,4-Pyrimidinediamine, N4-(3-ethyl-4-methylphenyl)-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

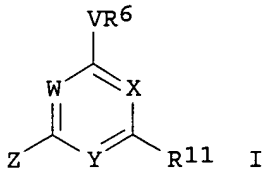
L6 ANSWER 6 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:22859 CAPLUS
DOCUMENT NUMBER: 138:89818
TITLE: Preparation of **pyridine** and **pyrimidine** N-heterocyclic p38 kinase inhibitors for treating TNF-.alpha. mediated disorders
INVENTOR(S): Ahmed, Gulzar; Metzger, Axel; Wrobleski, Stephen T.; Henderson, Ian; Wen, James; Diller, David J.; Leftheris, Katerina
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002544	A1	20030109	WO 2002-US20341	20020626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-301020P P 20010626
OTHER SOURCE(S): MARPAT 138:89818
GI



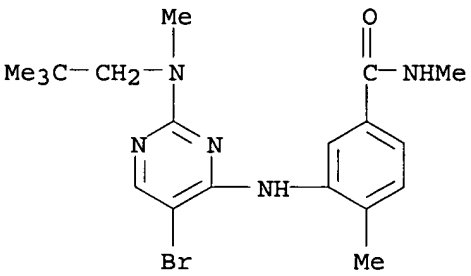
I

AB N-heterocyclic compds. (shown as I; variables defined below; e.g. 3-[3-**cyano**-6-[(2,2-dimethylpropyl)methylamino]-5-fluoropyridin-2-ylamino]-N-methoxy-4-methylbenzamide and 3-[5-**cyano**-6-[(2,2-dimethylpropyl)methylamino]-2-methylsulfanylpyrimidin-4-ylamino]-4,N-dimethylbenzamide) that block cytokine prodn. via inhibition of p38 kinase (no data) are disclosed. In one embodiment, compds. of the present invention are represented by Formula (I). Methods of prodn., pharmaceutical compns. and methods of treating conditions assocd. with inappropriate p38 kinase activity or TNF-.alpha. expression using compds. of the present invention are also disclosed. For I: 1 or 2 of W, Y and X are :N-; 1 of W, Y and X = :C-CN, :C-F, :C-NO2, :C-Br, :C-NH2, :C-NHC(O)CH3 and :C-Cl; the remaining W, Y or X is :CH-; V is -NR5-; Z is halogen or -N(R1)(R2); R1 and R2 = H, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl; R5 is H or alkyl; R6 = (un)substituted aryl; R11 is H, halogen, O-R35 or -N(R12)(R13); R12 is H, alkyl, or substituted alkyl; R13 is -(CH2)mR14; -N(R12)(R13) taken together may form a heterocyclyl or substituted heterocyclyl; m = 0-3; other variables are defined in the claims. Although the methods of prepn. are not claimed, .apprx.30 example prepns. are included.

IT **482344-80-9P**, 3-[[5-Bromo-2-[(2,2-dimethylpropyl)methylamino]**pyrimidin**-4-yl]**amino**]-4,N-dimethylbenzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of **pyridine** and **pyrimidine** N-heterocyclic p38 kinase inhibitors for treating TNF-.alpha. mediated disorders)

RN 482344-80-9 CAPLUS

CN Benzamide, 3-[[5-bromo-2-[(2,2-dimethylpropyl)methylamino]-4-pyrimidinyl]amino]-N,4-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:5951 CAPLUS
DOCUMENT NUMBER: 138:73265
TITLE: Preparation of (**pyrimidyl**)(**phenyl**

)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses

INVENTOR(S): Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs, Ernest W.; Qian, Xiaoxia

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

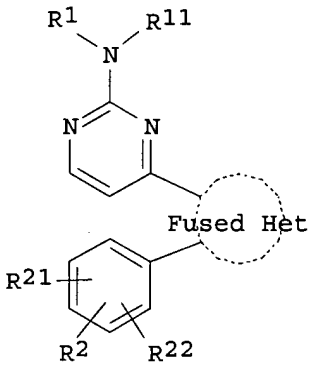
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000682	A1	20030103	WO 2002-US19507	20020621

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-300748P P 20010625
OTHER SOURCE(S): MARPAT 138:73265

GI



I

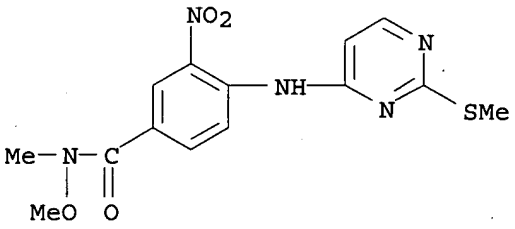
AB (**pyrimidyl**)(**phenyl**)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl)**amino**]**pyrimidin**-4-yl)imidazo[1,2-a]**pyridin**-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-.alpha. in monocytes and also IL-1.beta., IL-6 and PGE2 prodn. with IC50 <5 .mu.M. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]**pyridine**, imidazo[1,2-a]**pyrimidine**, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl,

-C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl, -C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(C0-4-alkyl)(-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC402alkyl), -C1-4-alkyl-(heterocycloC501alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of prepn. are not claimed, many example prepns. are included.

IT **480454-69-1P**, N-Methyl-N-methoxy-3-nitro-4-[[2-(methylthio)**pyrimidin**-4-yl]**amino**]benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (**pyrimidyl**)(**phenyl**)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

RN 480454-69-1 CAPLUS

CN Benzamide, N-methoxy-N-methyl-4-[[2-(methylthio)-4-pyrimidinyl]amino]-3-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:869496 CAPLUS
DOCUMENT NUMBER: 137:363033
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124

PRIORITY APPLN. INFO.: US 2000-491078 A2 20000124
OTHER SOURCE(S): MARPAT 137:363033

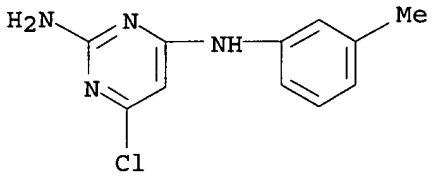
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such

peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **6340-76-7**, 2,4-**Pyrimidinediamine**, 6-chloro-N4-(3-methylphenyl)-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 6340-76-7 CAPLUS

CN 2,4-Pyrimidinediamine, 6-chloro-N4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:808686 CAPLUS

DOCUMENT NUMBER: 138:205007

TITLE: Synthesis of **pyrimido**[4,5-b]indoles and benzo[4,5]furo[2,3-d]**pyrimidines** via palladium-catalyzed intramolecular arylation

AUTHOR(S): Zhang, Yue-Mei; Razler, Thomas; Jackson, Paul F.

CORPORATE SOURCE: Johnson & Johnson Pharmaceutical Research and Development, LLC, Raritan, NJ, 08869, USA

SOURCE: Tetrahedron Letters (2002), 43(46), 8235-8239
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:205007

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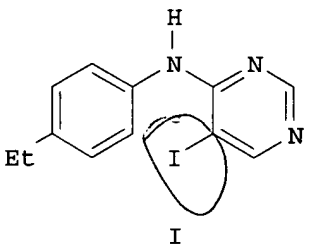

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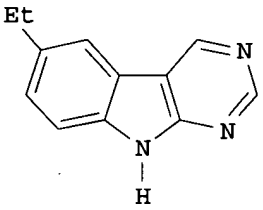


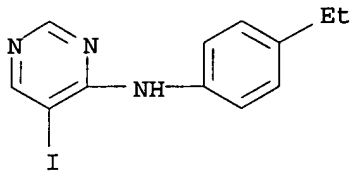
II

AB Various **pyrimido**[4,5-b]indoles and benzo[4,5]furo[2,3-d]**pyrimidines** were synthesized via a palladium-catalyzed intramol. arylation of **pyrimidine** substrates. Thus, 4-aryloxy- or 4-anilino-5-iodopyrimidines, e.g. I, were treated with Pd(OAc)2(PPh3)2 and base in DMF to give the regioselective cyclized heterocycles, e.g. II.

IT **500228-16-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

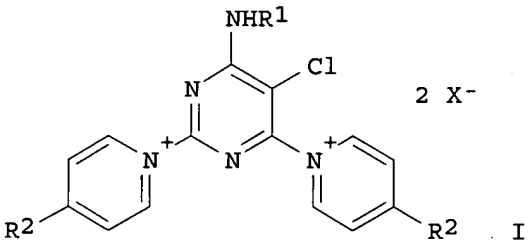
(Reactant or reagent)
(synthesis of **pyrimidoindoles** and benzofuropyrimidines via palladium-catalyzed regioselective intramol. arylation of aryloxy- or anilino-iodopyrimidines)

RN 500228-16-0 CAPLUS
CN 4-Pyrimidinamine, N-(4-ethylphenyl)-5-iodo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:805370 CAPLUS
DOCUMENT NUMBER: 138:255189
TITLE: Synthesis and characterization of stable betainic **pyrimidinaminides**
AUTHOR(S): Schmidt, Andreas
CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Clausthal, Clausthal-Zellerfeld, D-38678, Germany
SOURCE: Journal of Heterocyclic Chemistry (2002), 39(5), 949-956
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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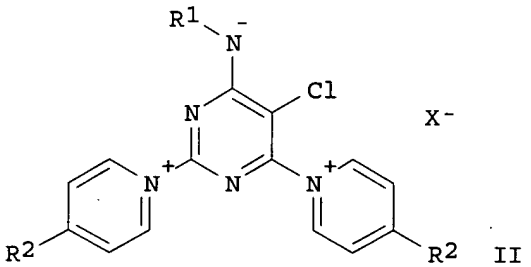


II

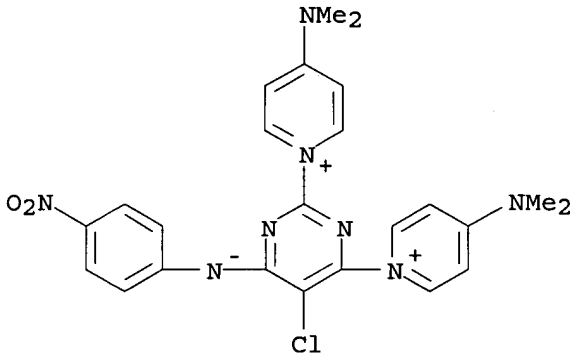
AB Depending on electronically or kinetically stabilizing effects detd. by the substitution pattern or the reaction conditions, 6-**amino**

substituted (5-chloropyrimidine-2,4-diyl)bis(**pyridinium**) salts I (R1 = H, Ph, 4-O2NC6H4; R2 = Me2N, **pyrrolidino**; X = Cl, BPh4) or 5-chloro-2,6-bis-(**pyridinio**)-**pyrimidin**-4-aminides II were formed on nucleophilic substitution of 4-(dimethylamino) **pyridine** or 4-(1-**pyrrolidinyl**)**pyridine** with 4-**amino** substituted 2,5,6-trichloropyrimidines (III). Analogous nucleophilic substitution of III with 1-methylimidazole gave the corresponding (5-chloropyrimidine-2,4-diyl)bis(1-methylimidazolium) salts.

IT **210041-21-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (**pyrimidinediyl**)bis[**pyridinium**] salts and stable betainic **pyrimidinaminides**)

RN 210041-21-7 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-[(4-nitrophenyl)amino]-2,4-pyrimidinediyl]bis[4-(dimethylamino)-, inner salt, chloride (9CI) (CA INDEX NAME)



● Cl⁻

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:777679 CAPLUS
DOCUMENT NUMBER: 137:283943
TITLE: Dyeing composition for dyeing keratinous fibers comprising a cationic azo-dye
INVENTOR(S): Vidal, Laurent; Leduc, Madeleine
PATENT ASSIGNEE(S): L'oreal, Fr.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078657	A1	20021010	WO 2002-FR1135	20020402

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

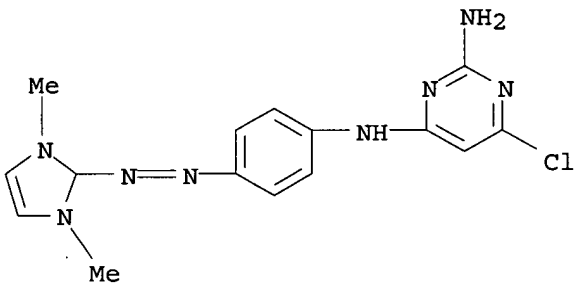
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
FR 2822695 A1 20021004 FR 2001-4468 20010402
PRIORITY APPLN. INFO.: FR 2001-4468 A 20010402
OTHER SOURCE(S): MARPAT 137:283943

AB The invention concerns a novel dyeing compn. for dyeing keratinous fibers, in particular human hair, comprising a cationic azo dye (Markush structures given). Thus, 2-[4-(2-**amino**-6-chloro-**pyrimidin**-4-ylamino)phenylazo]-1,3-dimethyl-3H-imidazol-1-ium chloride (I) was prepd. by the reaction of 2-**amino**-4,6-dichloropyrimidine and 2-(4-**amino**-phenylazo)-1,3-dimethyl-3H-imidazol-1-ium chloride. I produces a yellow-orange color.

IT **467217-72-7P**
RL: COS (Cosmetic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dyeing compn. for dyeing keratinous fibers comprising cationic azo-dye)

RN 467217-72-7 CAPLUS

CN 1H-Imidazolium, 2-[[4-[(2-amino-6-chloro-4-pyrimidinyl)amino]phenyl]azo]-1,3-dimethyl-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:736893 CAPLUS
DOCUMENT NUMBER: 137:247719
TITLE: Preparation of s-triazines and **pyrimidines** for pharmaceutical use as cytokine, especially TNF-.alpha., inhibitors
INVENTOR(S): Moriarty, Kevin Joseph; Shimshock, Yvonne; Ahmed, Gulzar; Wu, Junjun; Wen, James; Li, Wei; Erickson, Shawn David; Letourneau, Jeffrey John; McDonald, Edward; Leftheris, Katerina; Wrobleski, Stephen T.; Hussain, Zahid; Henderson, Ian; Metzger, Axel; Baldwin, John J.; Dyckman, Alaric J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 747,195.
CODEN: USXXCO
DOCUMENT TYPE: Patent

09/ 922,874

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

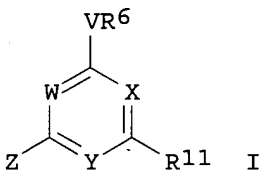
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002137747	A1	20020926	US 2001-891750	20010626
US 2002065270	A1	20020530	US 2000-747195	20001222
WO 2003002542	A1	20030109	WO 2002-US20212	20020625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-173227P P 19991228
US 2000-747195 A2 20001222
US 2001-891750 A 20010626

OTHER SOURCE(S): MARPAT 137:247719
GI



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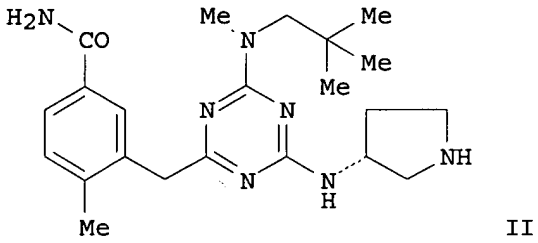


II

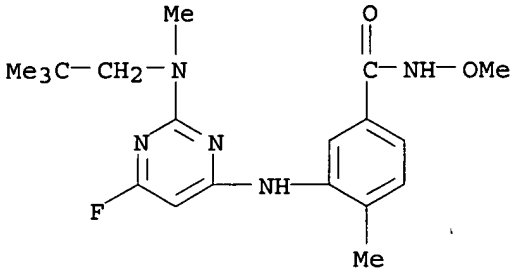
AB N-heterocyclic compds. that block cytokine prodn. via inhibition of p38 kinase are disclosed. In one embodiment, compds. of the present invention are represented by Formula I: Methods of prodn., pharmaceutical compns. and methods of treating conditions assocd. with inappropriate p38 kinase activity or TNF-.alpha. expression using compds. of the present invention are also disclosed. N-heterocycles, such as I [V = CHR5, NR5, S; W, X, Y = CH, N; Z = halogen, alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R5 = H, alkyl; R6 = substituted benzene; R11 = halogen alkyloxy, alkylamino, etc.], were prepd. to block cytokine prodn. via inhibition of p38 kinase for pharmaceutical use as anti-inflammatory agents and for the treatment of conditions assocd. with TNF-.alpha. expression, such as bone resorption, graft/host reaction, atherosclerosis, arthritis, psoriasis, etc.. Thus, triazine II was prepd. via a series of synthetic steps starting from (R)-3-**amino**-1-tert-butoxycarbonylpyrrolidine, cyanuric chloride and N-methylneopentylamine hydrochloride. The prepd. heterocycles were assayed for p38 kinase and TNF-.alpha. inhibiting activity.

IT **348092-57-9P**, Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-fluoro-4-**pyrimidinyl**]**amino**]-N-methoxy-4-methyl-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of s-triazines and **pyrimidines** for pharmaceutical use as cytokine, esp. TNF-.alpha., inhibitors)

RN 348092-57-9 CAPLUS

09/ 922,874

CN Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-fluoro-4-pyrimidinyl]amino]-N-methoxy-4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:658295 CAPLUS
DOCUMENT NUMBER: 137:212221
TITLE: Rat toxicologically relevant genes and use in microarrays to evaluate toxicity of toxic agents
INVENTOR(S): Farris, Georgia; Hicken, Samuel H.; Farr, Spencer B.
PATENT ASSIGNEE(S): Phase-1 Molecular Toxicology, Inc., USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066682	A2	20020829	WO 2002-US2935	20020129
WO 2002066682	A3	20021219		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-264933P P 20010129
US 2001-308161P P 20010726

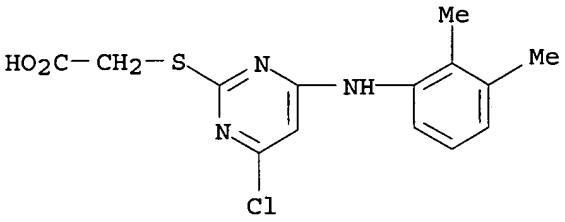
AB The invention provides a set of 700 toxicol. relevant rat genes which useful for detg. toxicol. responses. The genes are discovered using empirical data from evaluation of differential expression of genes in a 17,241 gene set in response to a predetd. set of known toxic chems. in various rat tissues. The 700 genes are split into 3 groups: (1) genes discovered which match a known complete rat gene when the genes were searched in the GenBank database; (2) genes discovered which did not match a known complete rat gene; and (3) genes chosen on the basis of their possible role in crit. cellular pathways and empirical data toxicity responsiveness. Thus, the invention provides a method of evaluating the toxicity of an agent is provided by (a) exposing a test animal to the agent; (b) measuring the expression of one or more toxic response genes from a set of partial gene sequences in the test animal in response to the agent, thereby generating a test expression profile; and (c) comparing the test expression profile with a ref. expression profile indicative of toxicity. The genes corresponding to the partial gene sequences are

responsive in one or more of kidney, liver, spleen, heart, lung, testis, or brain tissues.

IT **50892-23-4**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (rat toxicol. relevant genes and use in microarrays to evaluate toxicity of toxic agents)

RN 50892-23-4 CAPLUS

CN Acetic acid, [[4-chloro-6-[(2,3-dimethylphenyl)amino]-2-pyrimidinyl]thio]- (9CI) (CA INDEX NAME)

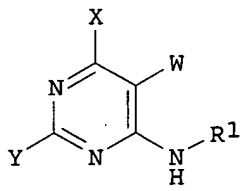


L6 ANSWER 14 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594639 CAPLUS
DOCUMENT NUMBER: 137:154941
TITLE: Preparation of **pyrimidine** and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
INVENTOR(S): Blackburn, Thomas P.; Konkel, Michael
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060392	A2	20020808	WO 2002-US4608	20020131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-775341 A 20010131
OTHER SOURCE(S): MARPAT 137:154941
GI



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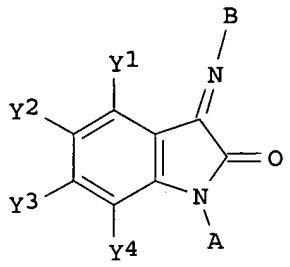


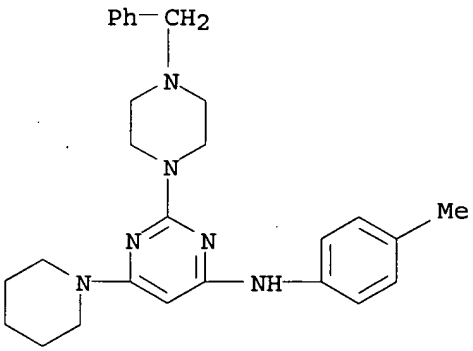
II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, **thienyl**, **pyridylmethyl**, etc.; B = (un)substituted Ph, **pyridyl**, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepd. Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

IT **445452-77-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of **pyrimidine** and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445452-77-7 CAPLUS

CN 4-Pyrimidinamine, N-(4-methylphenyl)-2-[4-(phenylmethyl)-1-piperazinyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:521871 CAPLUS

DOCUMENT NUMBER: 137:95159

TITLE: Black mixtures of reactive disazo dyes, their production and their use on **hydroxy**- and/or carboxamide-containing fiber material

INVENTOR(S): Pedemonte, Ronald; Russ, Werner; Steckelberg, Joachim

PATENT ASSIGNEE(S): Dystar Textilfarben G.m.b.H. & Co. Deutschland K.-G., Germany

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

09/ 922,874

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053653	A2	20020711	WO 2001-EP15193	20011221
WO 2002053653	A3	20021114		

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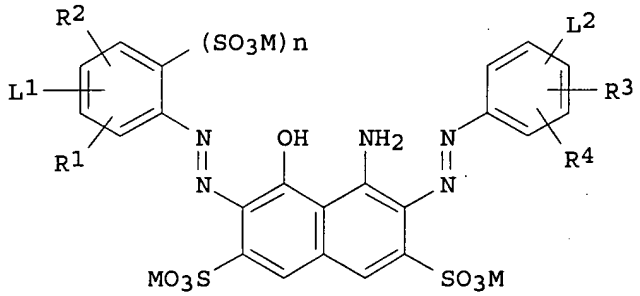
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002124331	A1	20020912	US 2001-26505	20011219

PRIORITY APPLN. INFO.: US 2000-259193P P 20001229
OTHER SOURCE(S): CASREACT 137:95159; MARPAT 137:95159
GI



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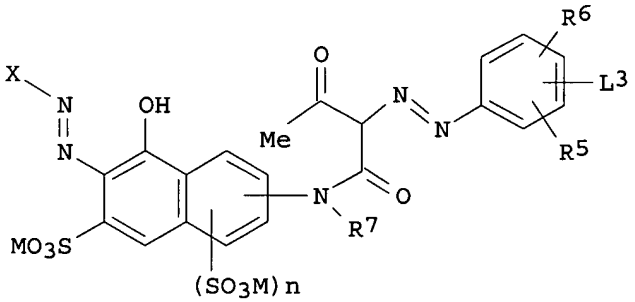


II

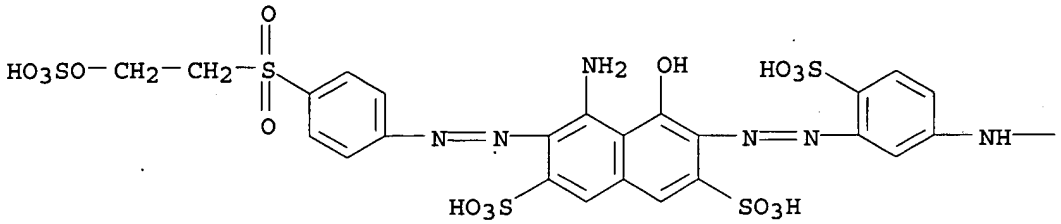
AB Deep black-dyeing dye mixts. of improved properties, for example wash fastness, comprise an aminohydroxynaphthlaenedisulfonic acid-based navy blue disazo dye (I; L1, L2 = fiber-reactive group; M = H, alkali metal; R1-R4 = H, Me, OMe, SO3H, CY, Cl; n = 0, 1) and at least one orange hydroxynaphthalenesulfonic acid disazo dye (II; L3 = fiber-reactive group; M = H, alkali metal; R5, R6 = H, Me, OMe, SO3H, CY, Cl; R7 = H, alkyl, optionally substituted Ph; X = Ph or **naphthyl** contg. at least one fiber-reactive group; n = 0, 1).

IT **441056-69-5P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(navy blue dye; black mixts. of reactive disazo dyes)

RN 441056-69-5 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 4-amino-6-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfophenyl]azo]-5-hydroxy-3-[[4-[[2-(sulfooxy)ethyl]sulfonyl]phenyl]azo]-, tetralithium salt (9CI) (CA INDEX NAME)

PAGE 1-A



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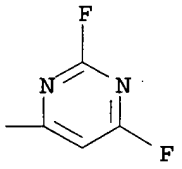
PAGE 1-B



L6 ANSWER 16 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:465821 CAPLUS
DOCUMENT NUMBER: 137:47211
TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of apoptosis, their preparation, and the use thereof as, e.g., anticancer agents
INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P. Sanjeeva; Pervin, Azra
PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047690	A1	20020620	WO 2001-US47498	20011212

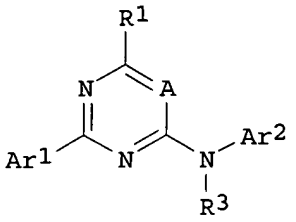
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

09/ 922,874

AU 2002028922	A5	20020624	AU 2002-28922			20011212
US 2003069239	A1	20030410	US 2001-12444			20011212
PRIORITY APPLN. INFO.:			US 2000-254581P	P		20001212
			WO 2001-US47498	W		20011212

OTHER SOURCE(S): MARPAT 137:47211

GI



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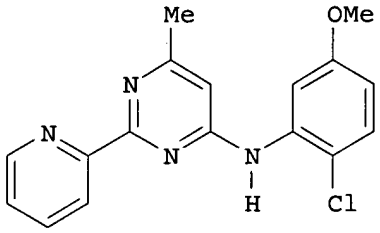


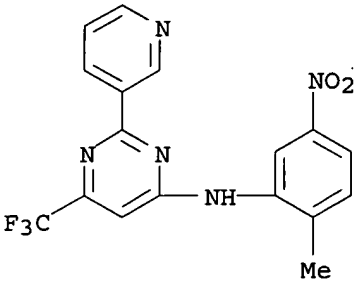
II

AB The invention is directed to substituted 2-aryl-4-(arylamino) **pyrimidines** I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, **amino**, **cyano**, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-**pyridinyl**)**pyrimidine** with 2-chloro-5-methoxyaniline gave title compd. II in 44% yield. This compd. induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compd. I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines.

IT **438248-25-0P**, 4-(2-Methyl-5-nitroanilino)-2-(3-**pyridinyl**)-6-(trifluoromethyl)**pyrimidine**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of substituted aryl(arylamino) **pyrimidines** and analogs as caspase activators, apoptosis inducers, and anticancer agents)

RN 438248-25-0 CAPLUS

CN 4-Pyrimidinamine, N-(2-methyl-5-nitrophenyl)-2-(3-pyridinyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

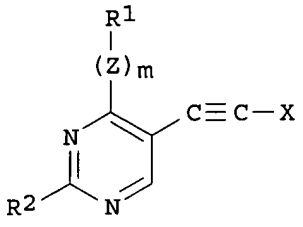


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:90025 CAPLUS
DOCUMENT NUMBER: 136:151172
TITLE: Preparation of 5-(arylalkynyl)**pyrimidines** having neurotrophic activity for the treatment of neurodegerative and other neurological disorders
INVENTOR(S): Beauchamp, Lilia; Krenitsky, Thomas A.; Kelley, James L.
PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008205	A1	20020131	WO 2001-US23088	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1303495	A1	20030423	EP 2001-952859	20010720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-220348P P 20000724
WO 2001-US23088 W 20010720
OTHER SOURCE(S): MARPAT 136:151172
GI



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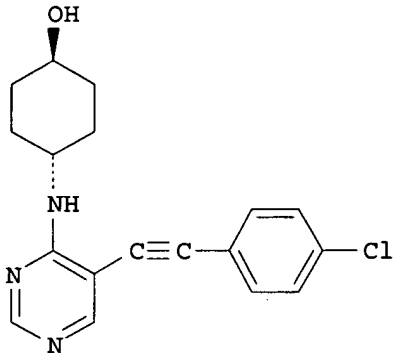


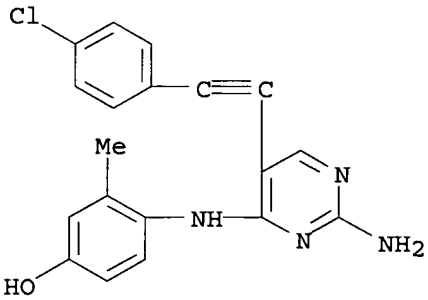
II

AB Title compds. I [wherein Z = O, NH, or S; m = 0-1; R1 = (un)substituted (alkyl)a((hetero)cycloalkyl or (hetero)aryl)b(alkyl)c; a, b, and c = independently 0-1 and a + b + c .gtoreq. 1, with provisos; R2 = H, NH2, or NHCOR3; R3 = H or alkyl; X = (un)substituted aryl; and pharmaceutically acceptable esters, amides, salts, or solvates thereof] were prepd. Pharmaceutical compns. which contain I, methods for their prepn., and their use in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral nervous systems, including age related cognitive disorders such as senility and Alzheimer's disease, nerve injuries, peripheral neuropathies, and seizure disorders such as epilepsy, are disclosed. For example, 4-chloro-5-(4-chlorophenylethynyl)**pyrimidine** (prepn. given) was coupled with (trans)-4-aminocyclohexanol.bul.HCl using TEA and MeCN in CH2Cl2 to afford II. The latter increased the choline acetyltransferase (ChAT) activity relative to nerve growth factor (NGF) alone with EC2x of 0.2 .mu.M.

IT **393856-29-6P**, 2-**Amino**-4-(4-**hydroxy**-2-methylanilino)-5-(4-chlorophenylethynyl)**pyrimidine**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CNS agent; prepn. of (arylalkynyl)**pyrimidines** having neurotrophic activity for the treatment of neurodegenerative and other neurol. disorders)

RN 393856-29-6 CAPLUS

CN Phenol, 4-[[2-amino-5-[(4-chlorophenyl)ethynyl]-4-pyrimidinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

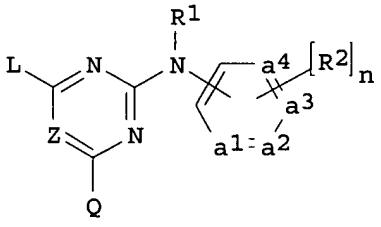
L6 ANSWER 18 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:833290 CAPLUS

09/ 922,874

DOCUMENT NUMBER: 135:371765
TITLE: Preparation of substituted **amino** **pyrimidines** and triazines as HIV replication inhibitors
INVENTOR(S): Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain; Leenders, Ruben Gerardus George
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085700	A2	20011115	WO 2001-EP4991	20010503
WO 2001085700	A3	20020207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1282606	A2	20030212	EP 2001-929616	20010503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-202472P P 20000508
WO 2001-EP4991 W 20010503
OTHER SOURCE(S): MARPAT 135:371765
GI



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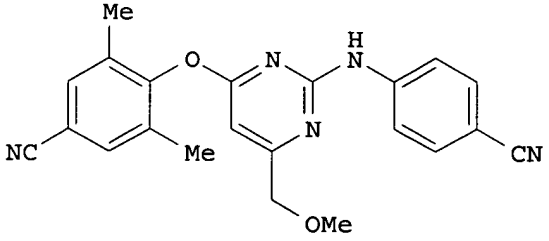


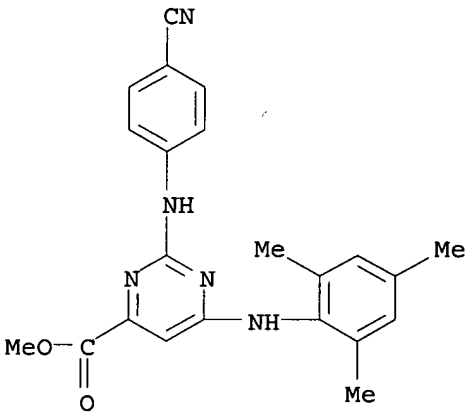
II

AB The title compds. [I; a1:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH; N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R1 = H, aryl, formyl, etc.; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = CN, OH, SH, etc.; Z = CY, N; Y = H, OH, halo, etc.; provided that when Q = halo then Z = N; or when Q = polyhaloalkyl then Y = H or alkyl] were prepd. Thus, reacting 4-(4-chloro-6-methoxymethylpyrimidin-2-ylamino)benzonitrile (prepn. given) with 4-**hydroxy**-3,5-dimethylbenzonitrile afforded II which showed IC50 of 0.001585 .mu.M against HIV in MT-4 cell line.

IT **373686-57-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted **amino pyrimidines** and triazines as HIV replication inhibitors)

RN 373686-57-8 CAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[(4-cyanophenyl)amino]-6-[(2,4,6-trimethylphenyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 215 CAPLUS COPYRIGHT 2003 ACS

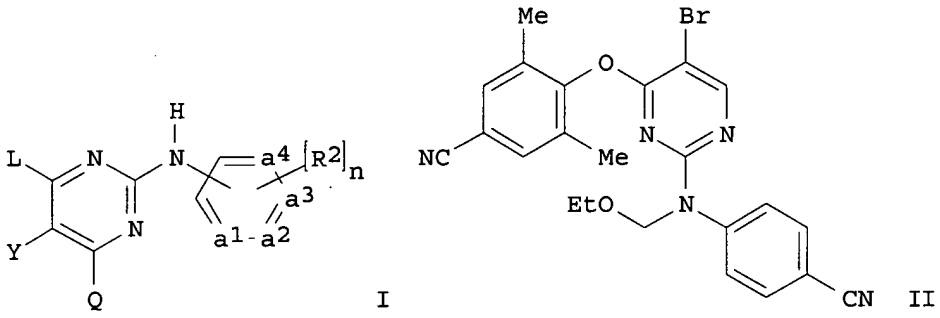
ACCESSION NUMBER: 2001:833289 CAPLUS
DOCUMENT NUMBER: 135:371756
TITLE: Preparation of prodrugs of HIV replication inhibiting **pyrimidines**
INVENTOR(S): Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085699	A2	20011115	WO 2001-EP4990	20010503
WO 2001085699	A3	20020228		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1282607 A2 20030212 EP 2001-933925 20010503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 2000-202471P P 20000508
WO 2001-EP4990 W 20010503
OTHER SOURCE(S): MARPAT 135:371756

GI

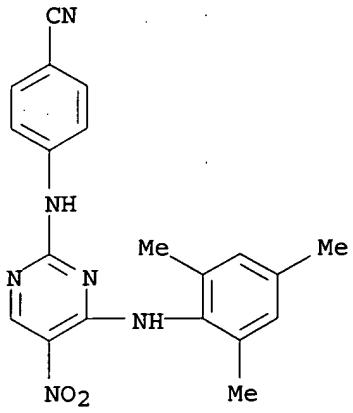


AB The title compds. A1A2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl, (un)substituted Ph, (un)satd. heterocyclyl; A1A2N- is the covalently bonded form of the corresponding intermediate of the formula A1A2NH, which is a HIV replication inhibiting **pyrimidine** II (wherein a1:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl, halo, etc.; Y = H, OH, halo, etc.)], were prepd. Thus, reacting 4-{[5-bromo-4-(4-**cyano**-2,6-dimethylphenoxy)-2-**pyrimidinyl**]**amino**}benzonitrile (prepn. given) with (chloromethoxy)ethane in the presence of NaH in THF afforded 19% III. Anti-HIV activity of compds. I was tested and results were given.

IT **269055-21-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of prodrugs of HIV replication inhibiting **pyrimidines**)

RN 269055-21-2 CAPLUS

CN Benzonitrile, 4-[[5-nitro-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



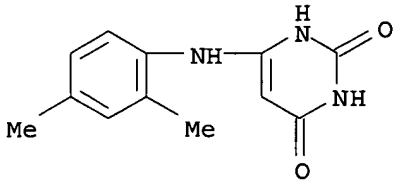
L6 ANSWER 20 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:827609 CAPLUS
DOCUMENT NUMBER: 136:177593
TITLE: Novel 6-substituted uracil analogs as inhibitors of the angiogenic actions of thymidine phosphorylase
AUTHOR(S): Klein, Robert S.; Lenzi, Michelle; Lim, Timothy H.; Hotchkiss, Kylie A.; Wilson, Phyllis; Schwartz, Edward L.
CORPORATE SOURCE: Department of Oncology, Albert Einstein Cancer Center, Bronx, NY, 10467, USA
SOURCE: Biochemical Pharmacology (2001), 62(9), 1257-1263
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Thymidine phosphorylase (TP) catalyzes the reversible phosphorolysis of thymidine and other **pyrimidine** 2'-deoxyribonucleosides. In addn., TP has been shown to possess angiogenic activity in a no. of in vitro and in vivo assays, and its angiogenic activity has been linked to its catalytic activity. A series of 5- and 6-substituted uracil derivs. were synthesized and evaluated for their abilities to inhibit TP activity. Among the most active compds. was a 6-**amino**-substituted uracil analog, 6-(2-aminoethyl)**amino**-5-chlorouracil (AEAC), which was a competitive inhibitor with a Ki of 165 nM. The inhibitory activity of AEAC was selective for TP, as it did not inhibit purine nucleoside phosphorylase or uridine phosphorylase at concns. up to 1 mM. Human recombinant TP induced human umbilical vein endothelial cell (HUVEC) migration in a modified Boyden chamber assay in vitro, and this action could be abrogated by the TP inhibitors. The actions of the inhibitors were specific for TP, as they had no effect on the chemotactic actions of vascular endothelial growth factor (VEGF). HUVEC migration was also induced when TP-transfected human colon and breast carcinoma cells were co-cultured in the Boyden chamber assay in place of the purified angiogenic factors, and a TP inhibitor blocked the tumor cell-mediated migration almost completely. These studies suggest that inhibitors of TP may be useful in pathol. conditions that are dependent upon TP-driven angiogenesis.

IT **21332-98-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel 6-substituted uracil analogs as inhibitors of angiogenic actions of thymidine phosphorylase)

RN 21332-98-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 6-[(2,4-dimethylphenyl)amino]- (9CI) (CA

INDEX NAME)

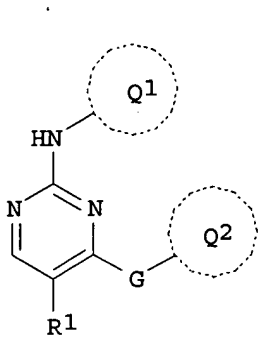


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:661404 CAPLUS
DOCUMENT NUMBER: 135:227011
TITLE: Preparation of 2,4-di(hetero)arylamino(oxy)-5-substituted **pyrimidines** as antineoplastic agents
INVENTOR(S): Pease, Elizabeth Janet; Williams, Emma Jane; Bradbury, Robert Hugh; Pearson, Stuart Eric
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064656	A1	20010907	WO 2001-GB829	20010226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1278735	A1	20030129	EP 2001-906021	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002004126	A	20020829	NO 2002-4126	20020829

PRIORITY APPLN. INFO.: GB 2000-4887 A 20000301
WO 2001-GB829 W 20010226
OTHER SOURCE(S): MARPAT 135:227011
GI



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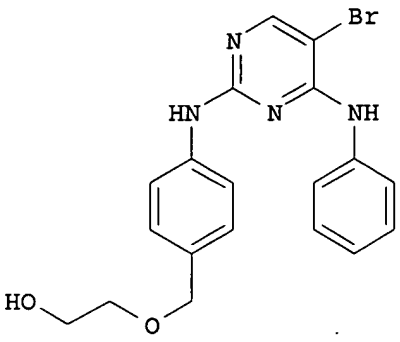


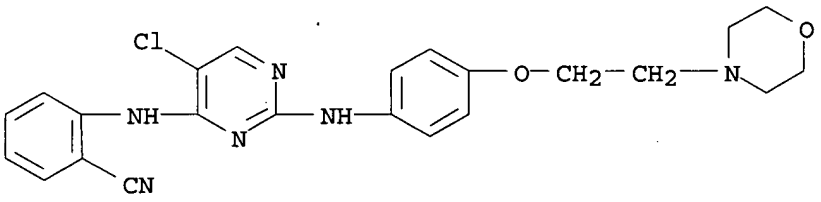
II

AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by one substituent selected from N-(di)alkylamino, Ph, heterocyclyl, etc.; G = O, NR2; R2 = H, alkyl, alkenyl, etc.; R1 = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepd. and formulated. Thus, reacting 4-anilino-5-bromo-2-chloropyrimidine with 4-aminobenzyl alc. in the presence of ethereal HCl in BuOH/MeOH followed by treatment of the intermediate with ethylene glycol afforded 19% II which showed IC50 of 0.679 .mu.M when tested in vitro assay for the CDK4 inhibitory activity.

IT **358789-32-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-di(hetero)arylamino(oxy)-5-substituted **pyrimidines** as antineoplastic agents)

RN 358789-32-9 CAPLUS

CN Benzonitrile, 2-[[5-chloro-2-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:661403 CAPLUS
DOCUMENT NUMBER: 135:227010
TITLE: Preparation of 2,4-di(hetero)arylamino(oxy)-5-substituted **pyrimidines** as antineoplastic agents
INVENTOR(S): Pease, Elizabeth Janet; Breault, Gloria Anne; Williams, Emma Jane; Bradbury, Robert Hugh; Morris, Jeffrey James
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

09/ 922,874

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064655	A1	20010907	WO 2001-GB824	20010226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001008834	A	20021210	BR 2001-8834	20010226
EP 1268444	A1	20030102	EP 2001-906018	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002004153	A	20021029	NO 2002-4153	20020830

PRIORITY APPLN. INFO.: GB 2000-4890 A 20000301
WO 2001-GB824 W 20010226

OTHER SOURCE(S): MARPAT 135:227010
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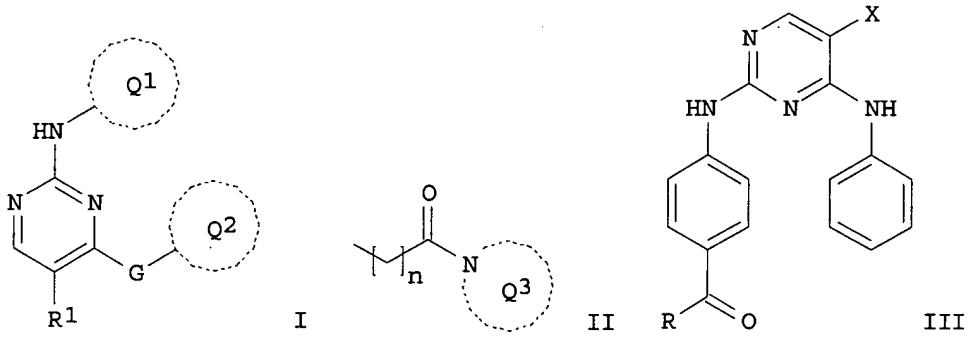
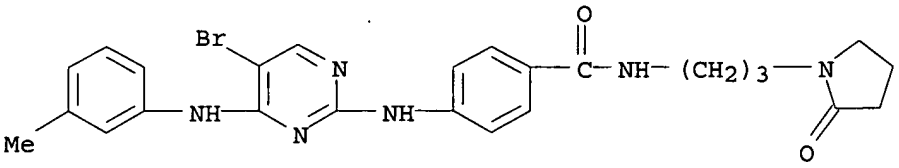


AB The title compds. [I; Q1, Q2 = (un)substituted aryl or carbon linked heteroaryl; and one or both Q1 and Q2 are substituted on a ring carbon by (CH2)nY(CH2)mZ or II (Y = NHCO, CONH; Z = (un)substituted cycloalkyl, Ph, heterocyclyl, etc.; n = 0-1; m = 1-3; Q3 = (un)substituted nitrogen linked heterocycle); G = O, NR2; R2 = H, alkyl, alkenyl, etc.; R1 = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepd. and formulated. Thus, reacting 4-anilino-2,5-dichloropyrimidine with 4-aminobenzoic acid followed by amidation of the resulting 4-anilino-2-(4-carboxyanilino)-5-chloropyrimidine with 1-(3-aminopropyl)imidazole afforded III [X = Cl; R = 3-(imidazol-1-yl)propylamino]. E.g., the title compd. III [X = Br; R = 2-(piperidino)ethylamino] showed IC50 of 0.235 .mu.M when tested in vitro assay for the CDK4 inhibitory activity.

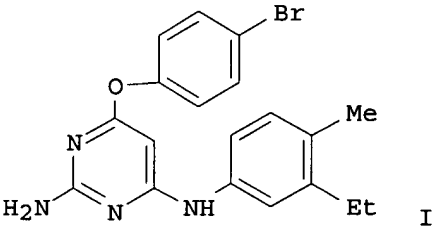
IT **358788-57-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-di(hetero)arylamino(oxy)-5-substituted

pyrimidines as antineoplastic agents)
RN 358788-57-5 CAPLUS
CN Benzamide, 4-[[5-bromo-4-[(3-methylphenyl)amino]-2-pyrimidinyl]amino]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



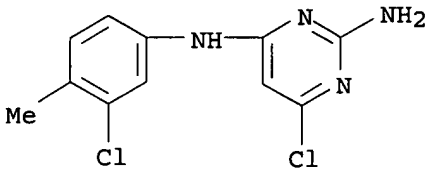
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:612038 CAPLUS
DOCUMENT NUMBER: 135:357791
TITLE: Design and synthesis of novel antibacterial agents with inhibitory activity against DNA polymerase III
AUTHOR(S): Ali, A.; Aster, S. D.; Graham, D. W.; Patel, G. F.; Taylor, G. E.; Tolman, R. L.; Painter, R. E.; Silver, L. L.; Young, K.; Ellsworth, K.; Geissler, W.; Harris, G. S.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(16), 2185-2188
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB 4-Substituted 2-**amino**-6-(anilino)**pyrimidines** have been found to be selective inhibitors of DNA polymerase III, a replicative enzyme known to be essential in the DNA synthesis of Gram-pos. bacteria. Among the analogs, I displayed an IC50 of 10 .mu.M against DNA polymerase III from Staphylococcus aureus.
IT **6635-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of substituted 2-**amino**-6-(anilino)**pyrimidines** and their inhibitory activity against DNA polymerase III)
RN 6635-60-5 CAPLUS
CN 2,4-Pyrimidinediamine, 6-chloro-N4-(3-chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)

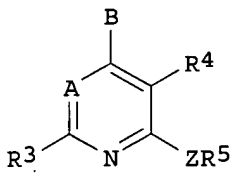


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:545665 CAPLUS
DOCUMENT NUMBER: 135:137515
TITLE: Preparation of **pyridines**, **pyrimidines**, purinones, **pyrrolopyrimidinones** and **pyrrolopyridinones** as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053263	A1	20010726	WO 2001-IB4	20010105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001007662	A	20021119	BR 2001-7662	20010105
EP 1263732	A1	20021211	EP 2001-900209	20010105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002016328	A1	20020207	US 2001-761995	20010117
BG 106853	A	20030131	BG 2002-106853	20020620
NO 2002003424	A	20020910	NO 2002-3424	20020717

PRIORITY APPLN. INFO.: US 2000-176611P P 20000118
WO 2001-IB4 W 20010105
OTHER SOURCE(S): MARPAT 135:137515
GI



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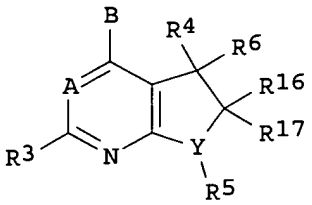
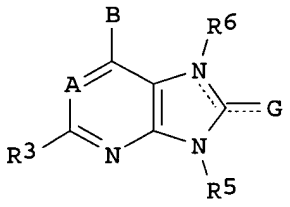


II



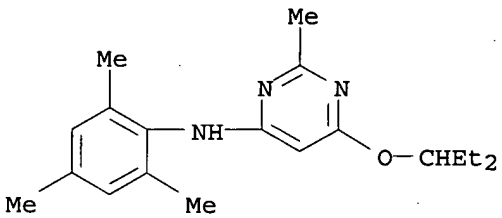
III

AB The title compds. [I-III; A = CR7, N; B = NR1R2, COR2, CHR1OR2, etc.; G = H, O, S, etc.; Y = CH, N; Z = NH, O, S, etc.; R1 = CHO, CO(alkyl), alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = Me, Et, F, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.; R16, R17 = H, OH, Me, etc.], useful in the treatment disorders including CNS and stress-related disorders, were prepd. Thus, reacting N-4-(1-ethylpropyl)-6-methyl-2-(2,4,6-trimethylphenoxy) **pyridine**-3,4-diamine with chloroacetyl chloride in the presence of Et3N in THF afforded 91% I [A = CH; B = NHCHEt2; R3 = Me; R4 = NHCOCH2Cl; Z = O; R5 = 2,4,6-Me3C6H2]. The CRF binding activities for compds. I-III, expressed as IC50 values, generally range from about 0.5 nM to 10 .mu.M.

IT **351380-63-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **pyridines**, **pyrimidines**, purinones, **pyrrolopyrimidinones** and **pyrrolopyridinones** as corticotropin releasing factor antagonists)

RN 351380-63-7 CAPLUS

CN 4-Pyrimidinamine, 6-(1-ethylpropoxy)-2-methyl-N-(2,4,6-trimethylphenyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489377 CAPLUS
DOCUMENT NUMBER: 135:92655
TITLE: Preparation of s-triazines and **pyrimidines** for pharmaceutical use as cytokine, especially

09/ 922,874

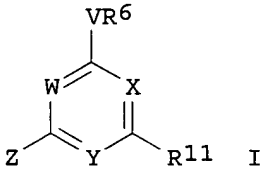
TNF-.alpha., inhibitors

INVENTOR(S): Moriarty, Kevin Joseph; Shimshock, Yvonne; Ahmed, Gulzar; Wu, Junjun; Wen, James; Li, Wei; Erickson, Shawn David; Letourneau, Jeffrey John; McDonald, Edward; Leftheris, Katerina; Wrobleski, Stephen T.
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA; Bristol-Myers Squibb Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

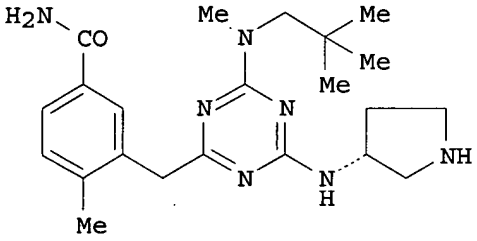
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047897	A1	20010705	WO 2000-US35289	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1242385	A1	20020925	EP 2000-988358	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 1999-173227P P 19991228
WO 2000-US35289 W 20001222

OTHER SOURCE(S): MARPAT 135:92655
GI



I



II

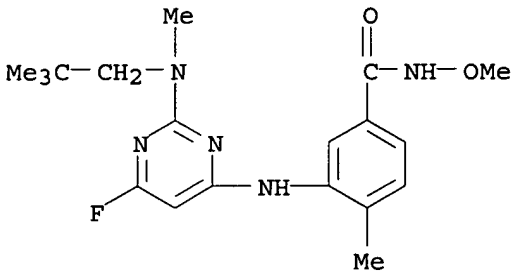
AB N-heterocycles, such as I [V = CHR5, NR5, S; W, X, Y = CH, N; Z = halogen, alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R5 = H, alkyl; R6 = substituted benzene; R11 = halogen alkyloxy, alkylamino, etc.], were prepd. to block cytokine prodn. via inhibition of p38 kinase for pharmaceutical use as anti-inflammatory agents and for the treatment of conditions assocd. with TNF-.alpha. expression, such as bone resorption, graft/host reaction, atherosclerosis, arthritis, psoriasis, etc. Thus, triazine II was prepd. via a series of synthetic steps starting from (R)-3-**amino**-1-tert-butoxycarbonylpyrrolidine, cyanuric chloride and N-methylneopentylamine hydrochloride. The prepd. heterocycles were assayed for p38 kinase and TNF-.alpha. inhibiting activity.

IT **348092-57-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of s-triazines and **pyrimidines** for pharmaceutical use as cytokine, esp. TNF-.alpha., inhibitors)

RN 348092-57-9 CAPLUS

CN Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-fluoro-4-pyrimidinyl]amino]-N-methoxy-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:300520 CAPLUS

DOCUMENT NUMBER: 134:311221

TITLE: Preparation of 2,4-diaminopyrimidines as gram-positive selective antibacterials.

INVENTOR(S): Ali, Amjad; Taylor, Gayle E.; Graham, Donald W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028561	A1	20010426	WO 2000-US28786	20001018

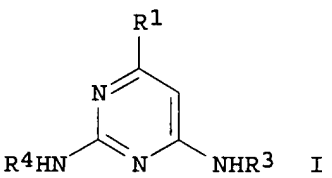
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-160813P P 19991021

OTHER SOURCE(S): MARPAT 134:311221

GI



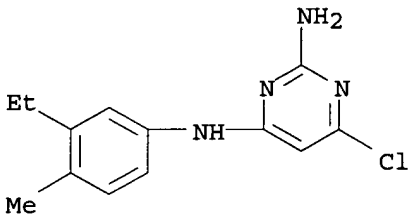
I

AB Title compds. [I; R1 = H, (substituted) alkyl, alkenyl, alkynyl,

alicyclyl, heterocyclyl, aryl(alkyl), heteroaryl(alkyl), aryl(alkyl) **amino**, etc.; R3 = alicyclyl, heterocyclyl, (substituted) aryl(alkyl), heteroaryl(alkyl), aryl(alkyl)**amino**, heteroaryl(alkyl)**amino**; R4 = H, alkyl], were prepd. Thus, 2-**amino**-4,6-dichloropyrimidine and 3-ethyl-4-methylaniline were refluxed in EtOH to give 2-**amino**-4-chloro-6-(3-ethyl-4-methylanilino)**pyrimidine**. The latter was refluxed with K2CO3 and 4-bromophenol in EtOH to give 2-**amino**-4-(4-bromophenoxy)-6-(3-ethyl-4-methylanilino)**pyrimidine**. I inhibited DNA polymerase III in the range of 2-25 .mu.M.

IT **335444-22-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 2,4-diaminopyrimidines as gram-pos. selective antibacterials)

RN 335444-22-9 CAPLUS
CN 2,4-Pyrimidinediamine, 6-chloro-N4-(3-ethyl-4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:283933 CAPLUS
DOCUMENT NUMBER: 134:295834
TITLE: Preparation of 4-anilinopyrimidines as p38 kinase inhibitors
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

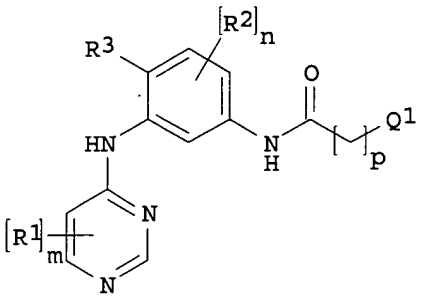
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027089	A1	20010419	WO 2000-GB3929	20001010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014596	A	20020611	BR 2000-14596	20001010
EP 1226126	A1	20020731	EP 2000-968084	20001010

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003511442	T2	20030325	JP 2001-530109		20001010
NO 2002001728	A	20020612	NO 2002-1728		20020412
PRIORITY APPLN. INFO.:			GB 1999-24092	A	19991013
			WO 2000-GB3929	W	20001010

OTHER SOURCE(S): MARPAT 134:295834

GI



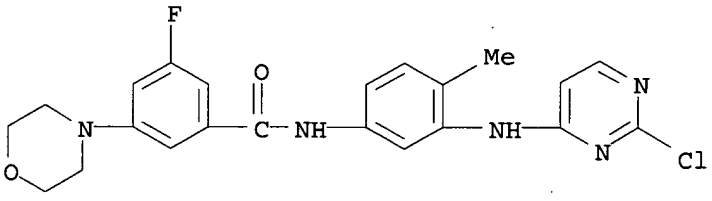
I

AB The title compds. [I; m = 0-3; R1 = OH, halo, CF3, CN; R3 = H, halo, alkyl; n = 0-2; R2 = OH, halo, CF3, CN; p = 0-4; Q1 = aryl, heteroaryl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of I [R1 = 2-Cl,6-(H2NCO); R2 = H; R3 = Me; p = 0; Q1 = 3-fluoro-5-morpholinophenyl] which showed IC50 of 0.03 .mu.M against p38.alpha. and IC50 of 16 .mu.M in the Human Whole Blood test, was given.

IT **334893-06-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-anilinopyrimidines as p38 kinase inhibitors)

RN 334893-06-0 CAPLUS

CN Benzamide, N-[3-[(2-chloro-4-pyrimidinyl)amino]-4-methylphenyl]-3-fluoro-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:247156 CAPLUS

DOCUMENT NUMBER: 134:280865

TITLE: Preparation of azinylaminobenzonitriles and related compounds as virucides.

INVENTOR(S): Verreck, Geert; Baert, Lieven

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

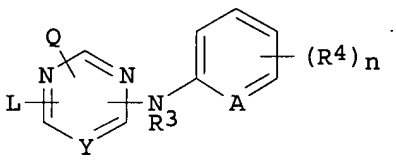
09/ 922,874

SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022938	A1	20010405	WO 2000-EP8522	20000831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014271	A	20020521	BR 2000-14271	20000831
EP 1225874	A1	20020731	EP 2000-964080	20000831
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510264	T2	20030318	JP 2001-526150	20000831
EE 200200151	A	20030415	EE 2002-151	20000831
BG 106521	A	20021229	BG 2002-106521	20020314
NO 2002001443	A	20020322	NO 2002-1443	20020322

PRIORITY APPLN. INFO.: EP 1999-203128 A 19990924
WO 2000-EP8522 W 20000831

OTHER SOURCE(S): MARPAT 134:280865
GI



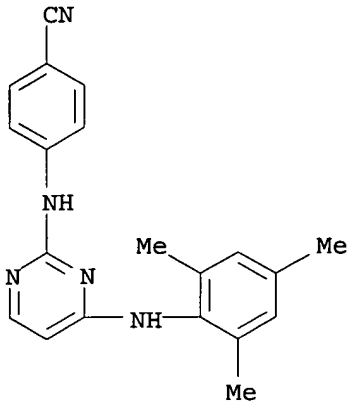
I

AB A particle consisting of a solid dispersion comprising .gtoreq.1 pharmaceutically acceptable H2O-sol. polymers and a title compd., e.g., [I; Y = CR5, N; A = CH, CR4, N; n = 0-4; Q = NR1R2, H; R1, R2 = H, OH, (substituted) alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, aryl, etc.; or R1R2 = atoms to form **pyrrolidinyl**, piperidinyl, morpholinyl, azido, alkylaminoalkylidene; R3 = H, aryl, alkylcarbonyl, alkyl, alkoxycarbonyl, alkoxycarbonylalkyl; R4 = OH, halo, alkyl, alkoxy, **cyano**, aminocarbonyl, NO2, **amino**, trihalomethyl, trihalomethoxy, etc.; R5 = H, alkyl; L = X1R6, X2AR7, etc.; R6, R7 = (substituted) Ph, indanyl, indolyl; X1, X2 = NR3, NHNH, N:N, O, S, SO, SO2; A = C1-4 alkylene; with provisos], is claimed. Thus, 5-bromo-2-chloro-N-(2,4,6-trimethylphenyl)-4-**pyrimidineamine** (prepn. given) was stirred with HCl in Et2O followed by evapn. of solvent, addn. of 4-aminobenzonitrile and dioxane, and reflux for 4 days to give 2% 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)**amino**]-4-**pyrimidinyl**]**amino**]benzonitrile. Tested title compds. showed anti-HIV activity with IC50 = 0.0004-0.030 .mu.M. A title compd. melt extrudate was prepd. using hydroxypropyl methylcellulose with no degrdn. of the active ingredient.

IT **244767-67-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of azinylaminobenzonitriles and related compds. as virucides)

RN 244767-67-7 CAPLUS
CN Benzonitrile, 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:225202 CAPLUS
DOCUMENT NUMBER: 134:256875
TITLE: Controlled-release microparticle formulation for antiviral drugs
INVENTOR(S): Hantke, Thomas; Rehbock, Bettina; Rosenberg, Joerg; Breitenbach, Joerg
PATENT ASSIGNEE(S): Knoll A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945982	A1	20010329	DE 1999-19945982	19990924
WO 2001023362	A2	20010405	WO 2000-EP9149	20000919
WO 2001023362	A3	20011206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1214300	A2	20020619	EP 2000-964201	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001409	A	20020321	NO 2002-1409	20020321

PRIORITY APPLN. INFO.: DE 1999-19945982 A 19990924
WO 2000-EP9149 W 20000919

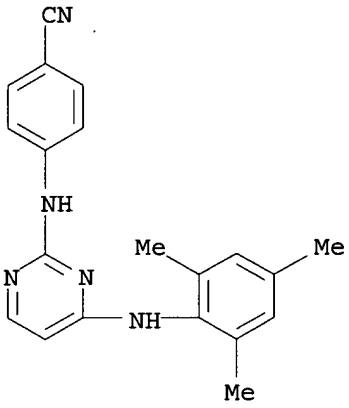
AB The invention concerns the controlled-release formulations of antiviral,

antifungal and apolipoprotein B synthesis inhibitory drugs (no data on activity) composed of polyvinylpyrrolidone and detergent contg. matrix. Drugs are **pyrimidinyl-amino**-benzonitrile, triazine-**amino**-benzonitrile, imidazolidinone, triazolone derivs. Particles are prepd. by extrusion. Thus the powder was formulated (wt./wt.%): 4[4-[(2,4,6-trimethyl)**amino**]-2-**pyrimidyl**]aminobenzonitrile 30; Kollidon VA64 65; PEG-castor oil 5; and extruded at 145.degree.C. The soly. was compared with similar compns.

IT **244767-67-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(controlled-release microparticle formulation for antiviral drugs)

RN 244767-67-7 CAPLUS

CN Benzonitrile, 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 30 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:861644 CAPLUS

DOCUMENT NUMBER: 134:29705

TITLE: Preparation of squaric acid derivatives as cell adhesion molecules

INVENTOR(S): Langham, Barry John; Alexander, Rikki Peter; Head, John Clifford; Linsley, Janeen Marsha; Porter, John Robert; Archibald, Sarah Catherine; Warrelow, Graham John

PATENT ASSIGNEE(S): Celltech Chiroscience Limited, UK

SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

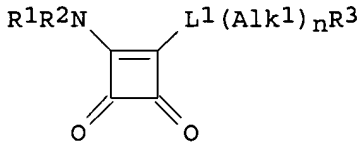
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073260	A1	20001207	WO 2000-GB2020	20000526

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6518283 B1 20030211 US 2000-579317 20000525
EP 1181266 A1 20020227 EP 2000-935341 20000526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
JP 2003500467 T2 20030107 JP 2000-621327 20000526
PRIORITY APPLN. INFO.: GB 1999-12640 A 19990528
GB 2000-2858 A 20000208
WO 2000-GB2020 W 20000526
OTHER SOURCE(S): MARPAT 134:29705

GI



I

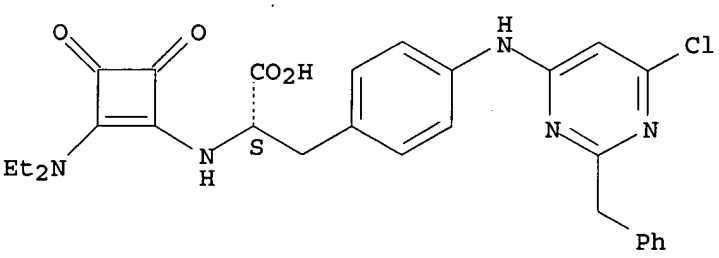
AB Squaric acid derivs. I [R1 is an integrin binding group; R2 is a hydrogen atom or a C1-6 alkyl group; L1 is a covalent bond or a linker atom or group; n = 0, 1; Alk1 is an optionally substituted aliph. chain; R3 is H or an optionally substituted heteroaliph., cycloaliph., heterocycloaliph., polycycloaliph., polyheterocycloaliph., arom. or heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepd. as inhibitors of the binding of integrins to their ligands. Thus, treatment of Et (S)-3-(4-aminophenyl)-2-(tert-butoxycarbonylamino)propionate with 3,5-dichloro-4-**pyridinecarboxylic** acid, deprotection, reaction with 3,4-diisopropoxy-3-cyclobutene-1,2-dione, propylamination, and sapon. afforded (S)-3-[4-(3,5-dichloro-4-**pyridylcarboxamido**)**phenyl**]-2-(2-propylamino-3,4-dioxocyclobut-1-enylamino)propanoic acid. Compds. of the invention in which R1 is an .alpha.4 integrin binding group generally have IC50 values <1 .mu.M in the .alpha.4.beta.1 and .alpha.4.beta.7 assays.

IT **312292-57-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of squaric acid derivs. as cell adhesion mols.)

RN 312292-57-2 CAPLUS

CN L-Phenylalanine, 4-[[6-chloro-2-(phenylmethyl)-4-pyrimidinyl]amino]-N-[2-(diethylamino)-3,4-dioxo-1-cyclobuten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

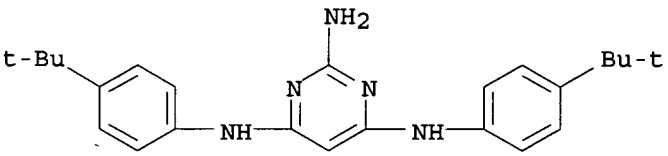


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:860581 CAPLUS
DOCUMENT NUMBER: 134:200080
TITLE: Ag+ labeling: a convenient new tool for the characterization of hydrogen-bonded supramolecular assemblies by MALDI-TOF mass spectrometry
AUTHOR(S): Timmerman, Peter; Jolliffe, Katrina A.; Calama, Mercedes Crego; Weidmann, Jean-Luc; Prins, Leonard J.; Cardullo, Francesca; Snellink-Ruel, Bianca H. M.; Fokkens, Roel H.; Nibbering, Nico M. M.; Shinkai, Seiji; Reinhoudt, David N.
CORPORATE SOURCE: Laboratory of Supramolecular Chemistry and Technology MESA+ Research Institute, University of Twente, Enschede, 7500 AE, Neth.
SOURCE: Chemistry--A European Journal (2000), 6(22), 4104-4115
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Herein the authors describe results on the characterization of a wide variety of different H-bonded assemblies by a novel matrix-assisted laser desorption ionization time-of-flight mass spectrometry (MALDI-TOF MS) technique with Ag+ labeling. The labeling technique with Ag+ ions is extremely mild and provides a nondestructive way to generate charged assemblies that can be detected by mass spectrometry. Up to now >25 different single (13.cntdot.23), double (33.cntdot.26), and tetrarosettes (43.cntdot.212) were successfully characterized using this method. The success of the method entirely depends on the presence of a suitable binding site for the Ag+ ion. A variety of functionalities was identified that provide strong binding sites for Ag+, either acting in a cooperative way (.pi.-arene and .pi.-alkene donor functionalities) or individually (**cyano** and crown ether functionalities). The method works well for assemblies with mol. wts. between 2000 and 8000 Da, and most likely far beyond this limit.

IT **209329-50-0DP**, hydrogen-bonded supramol. assembly component
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(Ag+ labeling: convenient new tool for characterization of hydrogen-bonded supramol. assemblies by MALDI-TOF mass spectrometry)
RN 209329-50-0 CAPLUS
CN 2,4,6-Pyrimidinetriamine, N4,N6-bis[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 114 THERE ARE 114 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:742077 CAPLUS
DOCUMENT NUMBER: 133:296446
TITLE: Preparation of neurotrophic substituted **pyrimidines**
INVENTOR(S): Kelley, James L.; Krenitsky, Thomas A.; Beauchamp, Lilia M.

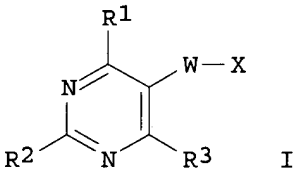
09/ 922,874

PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061562	A1	20001019	WO 2000-US9108	20000406
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165523	A1	20020102	EP 2000-923138	20000406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002541245	T2	20021203	JP 2000-610837	20000406

PRIORITY APPLN. INFO.: US 1999-288495 A 19990408
WO 2000-US9108 W 20000406

OTHER SOURCE(S): MARPAT 133:296446
GI



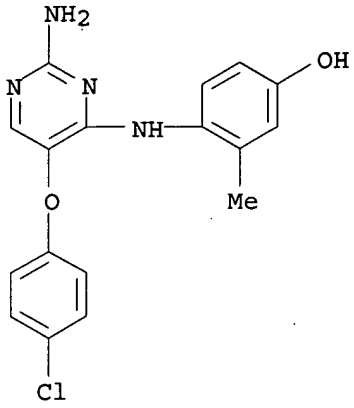
I

AB The title compds. [I; W = O, CH2, (CH2)2, etc.; R1 = **pyrrolidino**, 3-oxopiperidino, 4-oxopiperidino, etc.; R2 = H, NH2; R3 = H; X = (un)substituted aryl, heteroaryl] and their pharmaceutically acceptable salts, useful in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral systems, were prepd. and formulated. E.g., a multi-step synthesis of the **pyrimidine** I [W = O; R1 = trans-4-hydroxycyclohexylamino; R2 = NH2; R3 = H; X = 4-ClC6H4] which was tested for NGF-like activity and showed EC2x of 0.4 .mu.M (concn. at which the test compd. doubled the ChAT activity over the activity with NGF alone), was given.

IT **301526-89-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neurotrophic substituted **pyrimidines**)

RN 301526-89-6 CAPLUS

CN Phenol, 4-[[2-amino-5-(4-chlorophenoxy)-4-pyrimidinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

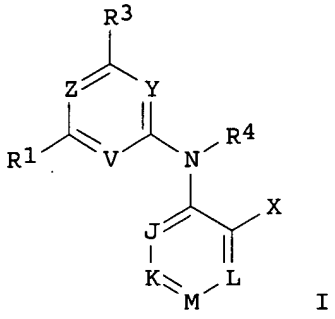


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:589999 CAPLUS
DOCUMENT NUMBER: 133:177185
TITLE: Preparation of 1-N-alkyl-N-arylpyrimidinamines as CRF inhibitors
INVENTOR(S): Aldrich, Paul Edward; Arvanitis, Argyrios Georgios; Bakthavatchalam, Rajagopal; Beck, James Peter; Cheeseman, Robert Scott; Chorvat, Robert John; Gilligan, Paul Joseph; Hodge, Carl Nicholas; Wasserman, Zelda Rakowitz
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 315,660, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6107301	A	20000822	US 1997-906349	19970805
CA 2174080	AA	19950420	CA 1994-2174080	19941006
HU 74464	A2	19961230	HU 1996-932	19941006
CN 1142817	A	19970212	CN 1994-194465	19941006
ZA 9407921	A	19960411	ZA 1994-7921	19941011
US 6342503	B1	20020129	US 1998-4150	19980107

PRIORITY APPLN. INFO.: US 1993-134209 B2 19931012
US 1994-297274 B2 19940826
US 1994-315660 B2 19940929
OTHER SOURCE(S): MARPAT 133:177185
GI



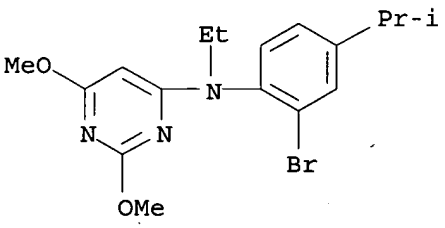
I

AB The title compds. [I; Y = CR29; R1 = alkyl, alkenyl, alkynyl, etc.; R3 = aryl, haloalkyl, (un)substituted NH2, etc.; J, K, L = CH, CX1; M = CR5; V = N; Z = N; R4 = H, halo, halomethyl, etc.; R4 is taken together with R29 to form a 5-membered ring and is N; X = Cl, Br, I, etc.; X1 = H, Cl, Br, etc.; R5 = halo, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in the treatment of affective disorders, anxiety, depression, post-traumatic stress disorders, eating disorders, supranuclear palsy, irritable bowel syndrome, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa, drug and alc. withdrawal symptoms, drug addiction, inflammatory disorders, or fertility problems, were prepd. and formulated. E.g., a 3-step synthesis of I [Y = V = N; Z = CH; J, K, L = CH; M = C(Me); X = Br; R1, R3, R4 = Me] which showed Ki of 501-2000 nM against CRF receptor binding, was given.

IT **169881-82-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-N-alkyl-N-arylpyrimidinamines as CRF inhibitors)

RN 169881-82-7 CAPLUS

CN 4-Pyrimidinamine, N-[2-bromo-4-(1-methylethyl)phenyl]-N-ethyl-2,6-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:457043 CAPLUS
DOCUMENT NUMBER: 133:89537
TITLE: Preparation of 2,4-**pyrimidinediamine** derivatives as anticancer agents
INVENTOR(S): Bradbury, Robert Hugh; Breault, Gloria Anne; Jewsbury, Philip John; Pease, Janet Elizabeth
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK

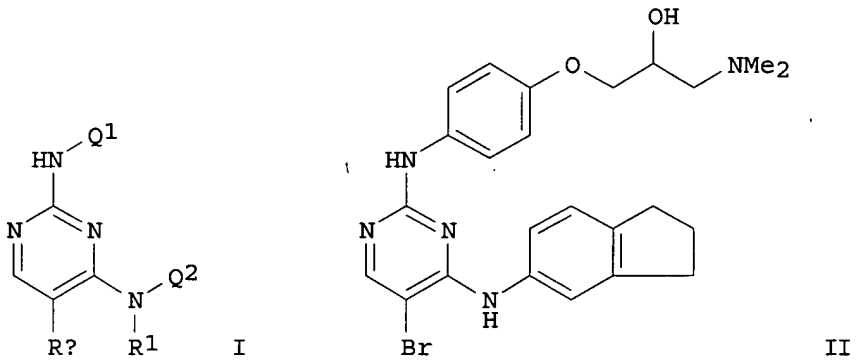
09/ 922,874

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039101	A1	20000706	WO 1999-GB4325	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140860	A1	20011010	EP 1999-962375	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916590	A	20011023	BR 1999-16590	19991220
JP 2002533446	T2	20021008	JP 2000-591012	19991220
NO 2001003038	A	20010822	NO 2001-3038	20010619

PRIORITY APPLN. INFO.: GB 1998-28511 A 19981224
WO 1999-GB4325 W 19991220

OTHER SOURCE(S): MARPAT 133:89537
GI

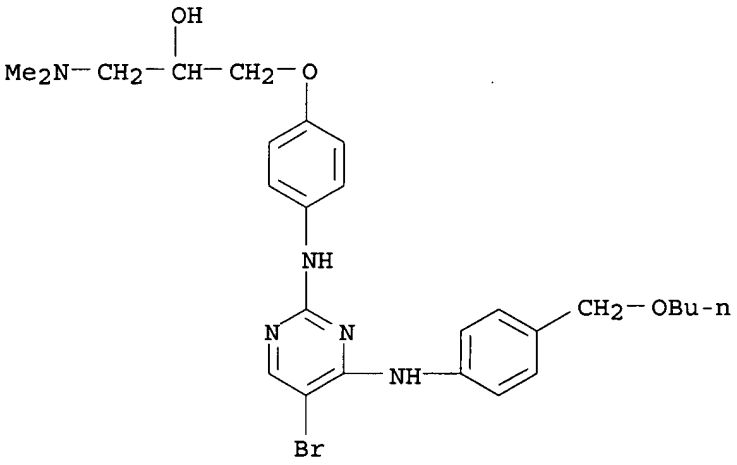


AB The present invention relates to the title compds. (I) [wherein R1 = H, (un)substituted alkyl, alkenyl, or alkynyl, benzyl, 2-phenylethyl, phthalimidoalkyl, or cycloalkylalkyl; Rx = halo, OH, NO2, NH2, CN, SH, CO2H, SO2NH2, NHCHO, ureido, etc.; Q1 and Q2 = independently (un)substituted aryl, 5- or 6-membered monocycle, or 9- or 10-membered bicyclic heterocycle], processes for their manuf., and pharmaceutical compns. contg. them. For example, addn. of 4-[2-**hydroxy**-3-(N,N-dimethylamino)propoxy]aniline.bul.HCl in MeOH to 5-bromo-2-chloro-4-(indan-5-ylamino)**pyrimidine** in BuOH (prepns. given) and heating to 100.degree.C for 18 h gave II (42%). I inhibited the effects of cylin-dependent serine/threonine kinases (CDKs), showing selectivity for CDK2 (no data), CDK4 (IC50 ranging from 0.02 .mu.M to 0.07 .mu.M), and CDK6 (no data). In a tyrosine kinase activity assay using Sf21 cells transfected with plaque-pure FAK recombinant virus, I also

inhibited focal adhesion kinase 3 (FAK3) with IC50 ranging from 0.032 .mu.M to 0.07 .mu.M. Typical IC50 values for I when tested for inhibition of cell growth in an Sulforhodamine B (SRB) assay were in the range of 1 mM to 1 nM. Thus, I possess anti-cancer properties, including anti-cell-migration, antiproliferation and/or apoptotic properties. Such properties are expected to be of value in the treatment of disease states assocd. with aberrant cell cycles and cell proliferation such as cancers (solid tumors and leukemias), fibroproliferative and differentiative disorders, psoriasis, rheumatoid arthritis, Kaposi's sarcoma, hemangioma, acute and chronic nephropathies, atheroma, atherosclerosis, arterial restenosis, autoimmune diseases, acute and chronic inflammation, bone diseases, and ocular diseases with retinal vessel proliferation.

IT **280579-18-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BYP (Byproduct); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-**pyrimidinediamine** anticancer agents by coupling halopyrimidines with anilines and optional derivatization)

RN 280579-18-2 CAPLUS
CN 2-Propanol, 1-[4-[[5-bromo-4-[[4-(butoxymethyl)phenyl]amino]-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:442151 CAPLUS
DOCUMENT NUMBER: 133:75327
TITLE: Mixtures of bifunctional reactive dyes containing vinyl sulfone and difluoropyrimidine groups and their use
INVENTOR(S): Ehrenberg, Stefan; Russ, Werner
PATENT ASSIGNEE(S): Dystar Textilfarben Gmbh & Co. Deutschland Kg, Germany
SOURCE: Ger. Offen., 53 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19860157	A1	20000629	DE 1998-19860157	19981224

PRIORITY APPLN. INFO.: DE 1998-19860157 19981224

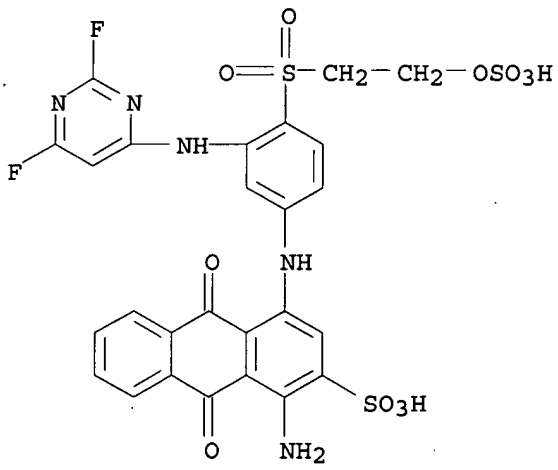
OTHER SOURCE(S): MARPAT 133:75327

AB Mixts. of .gtoreq.1 dye of the type (XSO2Z)a[A][Z1N(R)Y]b and .gtoreq.1 dye of the type (XSO2Z)a[A][Z1N(R)Y1]b, where A = water-sol. org. chromophore; R = H, optionally substituted C1-4-alkyl; X = vinyl or vinyl-forming group; Y = 2,4-difluoro-6-**pyrimidinyl**; Y1 = 4,6-difluoro-2-**pyrimidinyl**; Z, Z1 = direct bond, bridging group of an arom. compd. or a nitrogen heterocycle as part of A; a, b = 1-2, are obtained for dyeing of cellulosic or amide group-contg. fabrics. The mixts. have good buildup characteristics and high fixation yield with good general fastness properties and can be used with other dyes for trichromic effects. In an example, the Na salt of 3,6-disulfo-1-**amino**-8-naphthol was condensed with 2,4,6-trifluoropyrimidine (1:1) and the product was coupled with diazotized 2-**amino**-1-sulfo-6-(2-sulfatoethylsulfonyl)naphthalene to give a mixt. of dyes contg. both vinyl sulfone and difluoropyrimidine groups, bluish red on cotton.

IT **279217-14-0P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(blue dye; prodn. of mixts. of reactive dyes with difluoropyrimidine and vinyl sulfone groups)

RN 279217-14-0 CAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[[3-[(2,6-difluoro-4-pyrimidinyl)amino]-4-[[2-(sulfooxy)ethyl]sulfonyl]phenyl]amino]-9,10-dihydro-9,10-dioxo-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L6 ANSWER 36 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:335393 CAPLUS

DOCUMENT NUMBER: 132:347578

TITLE: Preparation of arylaminopyrimidines as inhibitors of HIV replication.

INVENTOR(S): De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Paul Adriaan Jan; Kavash, Robert W.; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; et al.

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2

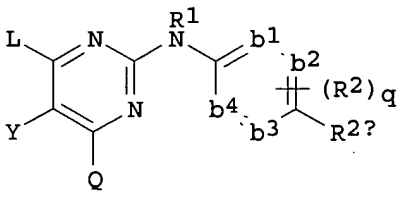
09/ 922,874

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027825	A1	20000518	WO 1999-EP7417	19990924
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9962008	A1	20000529	AU 1999-62008	19990924
BR 9915552	A	20010814	BR 1999-15552	19990924
EE 200100252	A	20021015	EE 2001-252	19990924
EP 1002795	A1	20000524	EP 1999-203590	19991101
EP 1002795	B1	20030305		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
EP 1270560	A1	20030102	EP 2002-18455	19991101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
AT 233740	E	20030315	AT 1999-203590	19991101
NO 2001001696	A	20010404	NO 2001-1696	20010404
BG 105418	A	20011130	BG 2001-105418	20010406

PRIORITY APPLN. INFO.:
US 1998-107792P P 19981110
US 1999-143962P P 19990715
WO 1999-EP7417 W 19990924
EP 1999-203590 A3 19991101

OTHER SOURCE(S): MARPAT 132:347578
GI



I

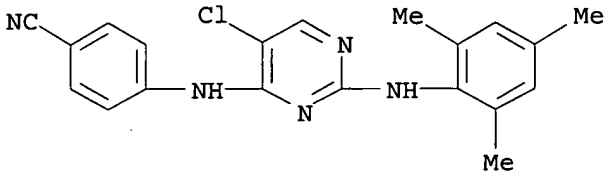
AB Title compds. [I; b1:b2CR2a:b3b4 = CH:CHCR2a:CHCH, N:CHCR2a:CHCH, CH:NCR2a:CHCH, N:NCR2a:CHCH, CH:NCR2a:NCH, etc.; q = 0-4; R1 = H, aryl, CHO, formylalkyl, alkylcarbonyl alkyl, alkoxycarbonyl, etc.; R2a = **cyano**, aminocarbonyl, cyanoalkyl, cyanoalkenyl, cyanoalkynyl, etc.; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, etc.; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, XR3; R3 = (substituted) Ph, **pyridyl**, **pyrimidnyl**, pyrazinyl, **pyridazinyl**; X = NR1, NHNH, N:N, O, CO, S, SO, SO2, CHOH; Q = H, alkyl, halo, polyhaloalkyl, **amino**; Y = OH, halo, cycloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, substituted alkyl, etc.], were prepd. Thus, 5-bromo-4-chloro-N-(2,4,6-trimethylphenyl)-2-**pyrimidineamine** (prepn. given) was treated with HCl in Et2O followed by solvent evapn.; 4-aminobenzonitrile and 1,4-dioxane were added and the mixt. was refluxed 4 days to give 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)**amino**]-4-**pyrimidinyl**]**amino**

]benzonitrile. The latter inhibited HIV-1 infection of MT-4 cells with IC50 = 0.004 .mu.M.

IT **269054-87-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines as inhibitors of HIV replication)

RN 269054-87-7 CAPLUS

CN Benzonitrile, 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



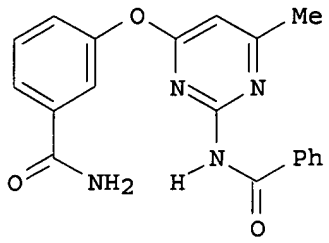
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:314996 CAPLUS
DOCUMENT NUMBER: 132:308355
TITLE: Preparation of 2-acylaminopyrimidines and analogs as antithrombotics
INVENTOR(S): Lehmann-Lintz, Thorsten; Nar, Herbert; Wienen, Wolfgang; Stassen, Jean Marie
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19851421	A1	20000511	DE 1998-19851421	19981107
WO 2000027826	A1	20000518	WO 1999-EP8389	19991103

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 1998-19851421 19981107
OTHER SOURCE(S): MARPAT 132:308355
GI



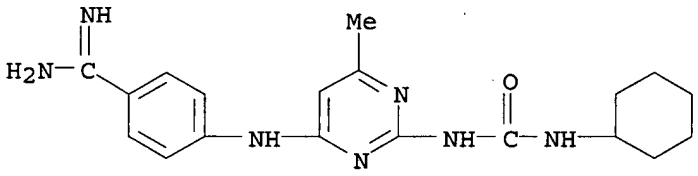
II

AB RaRbNZXARc [I; A = (un)substituted phenylene, cycloalkylene, heteroarylene, etc.; Ra = H, CF3, (carboxy)alkyl, alkoxycarbonylalkyl; Rb = (**phenyl**)alkyl, cycloalkyl(alkyl), etc.; Rc = **cyano**, NH2, C(:NH)NHR1, 2-**amino**-4-imidazolyl; R1 = H, OH, alkyl, etc.; X = O, S, CH2, (alkyl)imino, etc.; Z = (alkyl-substituted) **pyrimidine**-2,n-diyl; n = 3-5] were prepd. Thus, 4-(NC)C6H4OH was etherified by 2-**amino**-4-chloro-6-methylpyrimidine and the N-benzoylated product hydrolized to give title compd. II. Data for biol. activity of I were given.

IT **266307-52-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-acylaminopyrimidines and analogs as antithrombotics)

RN 266307-52-2 CAPLUS

CN Benzenecarboximidamide, 4-[[2-[[(cyclohexylamino)carbonyl]amino]-6-methyl-4-pyrimidinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L6 ANSWER 38 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:291041 CAPLUS
DOCUMENT NUMBER: 132:308352
TITLE: Preparation of **pyrimidopyrimidinones** as T-cell tyrosine kinase inhibitors
INVENTOR(S): Harris, William; Hill, Christopher Huw; Smith, Ian Edward David
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024744	A1	20000504	WO 1999-EP7675	19991013

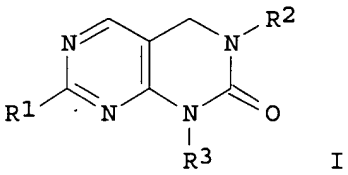
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 9914677	A	20010717	BR 1999-14677	19991013	
EP 1123295	A1	20010816	EP 1999-953796	19991013	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002528455	T2	20020903	JP 2000-578314	19991013	
US 6150373	A	20001121	US 1999-422451	19991021	
NO 2001001929	A	20010419	NO 2001-1929	20010419	

PRIORITY APPLN. INFO.:
GB 1998-23277 A 19981023
GB 1999-20044 A 19990824
WO 1999-EP7675 W 19991013

OTHER SOURCE(S): MARPAT 132:308352

GI



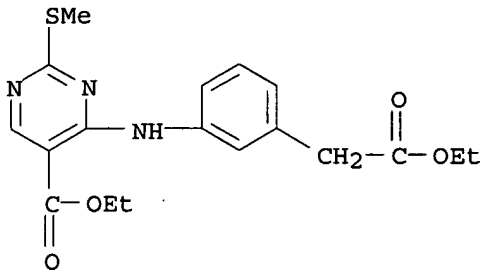
I

AB Title compds. [I; R1 = NH2, alkylamino, (hetero)aryl(alkyl)**amino**; R2 = alkyl (hetero)aryl(alkyl); R3 = H, alkyl, (hetero)aryl(alkyl), cycloalkenyl] were prepd. Thus, Et 4-chloro-2-methylthiopyrimidine-5-carboxylate was aminated by MeNH2 and the product converted to the aldehyde which was condensed with 2,6-Cl2C6H3NH2 to give 2,6-Cl2C6H3NHCH2ZNHMe (Z = 2-methylthiopyrimidine-5,4-diyl). The latter was cyclocondensed with COCl2 and the the product oxidized to give I (R2 = 2,6-Cl2C6H3NHCH2, R3 = Me)(II; R1 = SO2Me) which was aminated by 4-(H2N)C6H4OCH2CH2NEt2 (prepn. given) to give II [R1 = 4-(Et2NCH2CH2O)C6H4NH]. Data for biol. activity of I were given.

IT **266314-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **pyrimidopyrimidinones** as T-cell tyrosine kinase inhibitors)

RN 266314-18-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[3-(2-ethoxy-2-oxoethyl)phenyl]amino]-2-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)

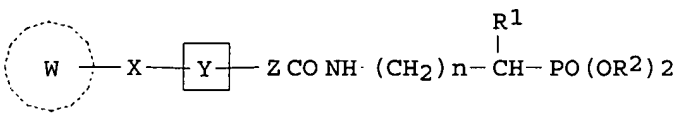


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:191092 CAPLUS
DOCUMENT NUMBER: 132:222659
TITLE: Preparation of aminoalkylphosphonic ester derivatives as cell adhesion inhibitors
INVENTOR(S): Kono, Yasushi; Sawada, Takayuki; Nomura, Masahiro; Takahashi, Yukie; Tsubuki, Takeshi; Sakoe, Yasuhiko; Kuriyama, Kazuhiko
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015645	A1	20000323	WO 1999-JP4913	19990910
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956485	A1	20000403	AU 1999-56485	19990910

PRIORITY APPLN. INFO.: JP 1998-258841 A 19980911
WO 1999-JP4913 W 19990910
OTHER SOURCE(S): MARPAT 132:222659
GI



I

AB Phosphonic ester derivs. represented by general formula [I; W = thiazole ring, (un)substituted benzothiazole, **pyridothiazole**, **pyridine**, quinoline, **pyridazine**, phthalazine, quinoxaline, **pyrimidine**, quinazoline, **thienopyrimidine**, benzimidazole, purine, or indole ring; X = NH(CH2)m (wherein m = 0-2),

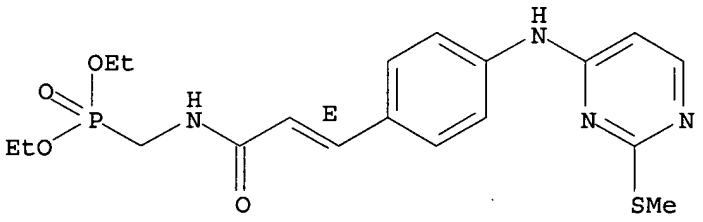
CONH; Y = (un)substituted benzene, or naphthalene, **pyridine**, or quinoline, or **benzofuran**, coumarin, chroman, or chromanone, 1,3-thiazole ring; Z = (CH2)q (wherein q = 0-2), CH:CH, OCH2, OCMe2, SCH2, SOCH2, SO2CH2, NHCO(CH2)r (wherein r = 02); R1 = H, C1-4 alkoxycarbonyl, CO2H, C1-4 alkoxyphosphoryl; R2 = C1-4 alkyl; n = 0-2] and pharmacol. acceptable salts thereof are prepd. These compds. have an activity of inhibiting a ICAM-1 or VCAM-1 mediated binding of cell adhesion mols. without inhibiting the expression of cell adhesion mols. and thus, are useful as immunosuppressants, anti-inflammatory agents, antiallergic agents and tumor metastasis inhibitors. Thus, 4'-(benzothiazol-2-yl)cinnamic acid was condensed with aminomethanephosphonic acid di-Et ester using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine and Et3N in DMF at room temp. for 10 h to give [4'-(benzothiazol-2-yl)cinnamoyl]aminomethanephosphonic di-Et ester. A title compd. (II) in vitro inhibited by 88% the binding of U937 cell to human umbilical vein endothelial cells (HUVEC) which were treated with human interleukin-1.beta. to induce ICAM-1 and VCAM-1.

IT **261616-85-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoalkylphosphonic ester derivs. as cell adhesion inhibitors and drugs)

RN 261616-85-7 CAPLUS

CN Phosphonic acid, [[[(2E)-3-[4-[[2-(methylthio)-4-pyrimidinyl]amino]phenyl]-1-oxo-2-propenyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:161264 CAPLUS
DOCUMENT NUMBER: 132:194386
TITLE: Preparation of bis(anilino)**pyrimidines** as CDK inhibitors
INVENTOR(S): Breault, Gloria Anne; Jewsbury, Philip John; Pease, Janet Elizabeth
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012486	A1	20000309	WO 1999-GB2797	19990824

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,

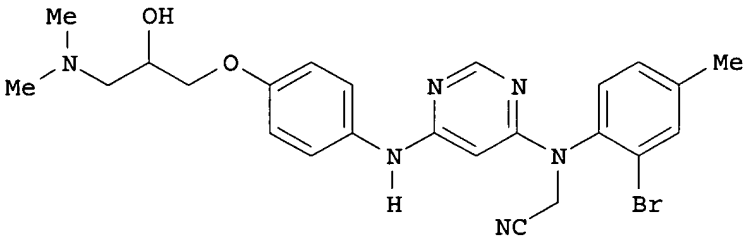
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9954384	A1	20000321	AU 1999-54384	19990824
EP 1107958	A1	20010620	EP 1999-940404	19990824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523498	T2	20020730	JP 2000-567516	19990824

PRIORITY APPLN. INFO.:
GB 1998-18987 A 19980829
GB 1998-28506 A 19981224
WO 1999-GB2797 W 19990824

OTHER SOURCE(S): MARPAT 132:194386

GI



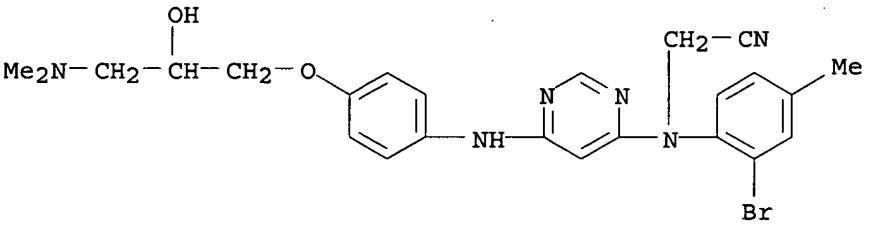
II

AB RHNZNR1R2 [I; R = Z3R3; R1 = (un)substituted alk(en)yl, CH2PH, etc.; R2 = Z4(R4)p; 1 of R3,R4 = X(CH2)nCHR5(CH2)mR6; R3,R4 = H or X(CH2)nCHR5(CH2)mR6; R5,R6 = OH, (di)(alkyl)**amino**, alkoxy, piperidino, etc.; R5 may addnl. = H; X = CH2, O, S, (alkyl)imino, etc.; Z = **pyrimidine**-4,6-diyl; Z3 = (un)substituted phenylene, -(tetrahydro)naphthylene, -indanylene, etc.; Z4 = (un)substituted (p+1)-valent benzene, -(tetrahydro)naphthalene, or -indane ring; m,n = 1-3; p>1] were prepd. Thus, 4,6-dichloropyrimidine was aminated by 4-(H2N)C6H4OH and the product aminated by 2-bromo-4-methylaniline to give, in 4 addnl. steps, title compd. II. Data for biol. activity of I were given.

IT **260054-02-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bis(anilino)**pyrimidines** as CDK inhibitors)

RN 260054-02-2 CAPLUS

CN Acetonitrile, [(2-bromo-4-methylphenyl)[6-[[4-[3-(dimethylamino)-2-hydroxypropoxy]phenyl]amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:68221 CAPLUS
DOCUMENT NUMBER: 132:124081
TITLE: Mixtures of water-soluble reactive azo dyes and their use
INVENTOR(S): Russ, Werner; Grobel, Bengt-Thomas; Schumacher, Christian
PATENT ASSIGNEE(S): Dystar Textilfarben G.m.b.H. und Co. Deutschland K.-G., Germany
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 974622	A1	20000126	EP 1999-113892	19990716
EP 974622	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19842580	A1	20000323	DE 1998-19842580	19980917
TW 460545	B	20011021	TW 1999-88111722	19990709
BR 9902968	A	20000308	BR 1999-2968	19990716
JP 2000086922	A2	20000328	JP 1999-202553	19990716
AT 228152	E	20021215	AT 1999-113892	19990716
KR 2000011804	A	20000225	KR 1999-29063	19990719
US 6183522	B1	20010206	US 1999-356846	19990719
MX 9906761	A	20000930	MX 1999-6761	19990720

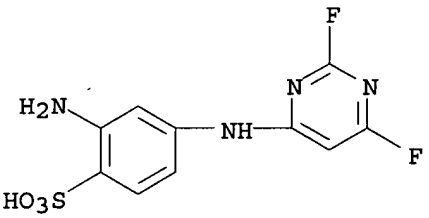
PRIORITY APPLN. INFO.: DE 1998-19832604 A 19980721
DE 1998-19842580 A 19980917
OTHER SOURCE(S): MARPAT 132:124081
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Mixts. of at least one of I with 1 or more of II and/or III are based on a 1-(halotriazinylamino)-3,6- or -4,6-disulfo-8-naphthol coupling component, where M is H or alkali metal; A1, A2 is benzene or naphthalene; Y is vinyl or a group convertible thereto; R1, R2 is H, alkyl, or alkoxy for a benzene ring or H or sulfo for a naphthalene ring; R2 is H, alkyl, alkoxy, carboxy, or sulfo for a benzene ring and H or sulfo for a naphthalene ring; R4 is H, alkyl, alkoxy, or sulfo for a benzene ring and H or sulfo for a naphthalene ring; R5 is H, Cl, Br, or sulfo for a benzene ring and H or sulfo for a naphthalene ring; X1, X2, X3 is Cl, Br, or F; Z1, Z2, Z3 is optionally substituted **amino** or heterocyclic **amino**; Z4 is halogenated **pyrimidinyl**. The dye mixts. have good application and fastness properties on cotton. In an example, 1-**amino**-8-naphthol-3,6-disulfonic acid was successively treated with cyanuric fluoride and morpholine and the product was coupled with a diazotized mixt. of 4-(2-sulfatoethylsulfonyl)aniline and 2-**amino**-1-naphthalenesulfonic acid to give a mixt. of 2 reactive dyes which provided a fast red shade on cellulosics.

IT **214897-29-7**, 2-**Amino**-4-(2,4-difluoro-6-**pyrimidylamino**)benzenesulfonic acid
RL: RCT (Reactant); RACT (Reactant or reagent)

(diazo component; prodn. of water-sol. reactive azo dye mixts.)
RN 214897-29-7 CAPLUS
CN Benzenesulfonic acid, 2-amino-4-[(2,6-difluoro-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

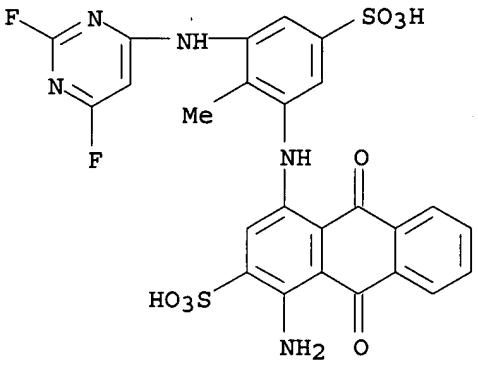


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:50099 CAPLUS
DOCUMENT NUMBER: 132:109358
TITLE: Mixtures of reactive dyes and their use for dyeing material containing **hydroxy** and/or carbonamide groups
INVENTOR(S): Russ, Werner; Ehrenberg, Stefan
PATENT ASSIGNEE(S): Dystar Textilfarben G.m.b.H. and Co. Deutschland K.-G., Germany
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 972810	A1	20000119	EP 1999-113487	19990713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19832220	A1	20000120	DE 1998-19832220	19980717
JP 2000072978	A2	20000307	JP 1999-202552	19990716

PRIORITY APPLN. INFO.: DE 1998-19832220 19980717
OTHER SOURCE(S): MARPAT 132:109358
AB Reactive dye mixts. of dyes contg. 2,4-difluoro-6-**pyrimidyl** and 4,6-difluoro-2-**pyrimidyl** groups (obtained using 2,4,6-trifluoropyrimidine) have good fixation and fastness properties on cellulose and polyamide fibers. In an example, 7-**amino** -1,3-naphthalenedisulfonic acid mono-Na salt.fwdarw.N-(3-aminophenyl)acetamide hydrochloride was prepd. and condensed (1:1) with 2,4,6-trifluoropyrimidine to provide a mixt. of reactive dyes which imparted to cotton a fast golden yellow shade.
IT **148559-68-6P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(blue dye; prodn. of difluoropyrimidine reactive azo dye mixts. for cotton)
RN 148559-68-6 CAPLUS
CN 2-Anthracenesulfonic acid, 1-amino-4-[[3-[(2,6-difluoro-4-pyrimidinyl)amino]-2-methyl-5-sulfophenyl]amino]-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

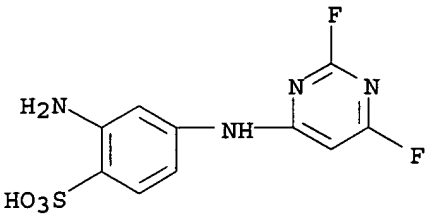
L6 ANSWER 43 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:783772 CAPLUS
DOCUMENT NUMBER: 132:36953
TITLE: Mixtures of reactive azo dyes and their use for dyeing fabrics containing **hydroxy** and/or carbonamide groups
INVENTOR(S): Ehrenberg, Stefan; Russ, Werner
PATENT ASSIGNEE(S): Dystar Textilfarben G.m.b.H. and Co. Deutschland K.-G., Germany
SOURCE: Eur. Pat. Appl., 101 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 962501	A2	19991208	EP 1999-110436	19990529
EP 962501	A3	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19824660	A1	19991209	DE 1998-19824660	19980603
JP 2000007930	A2	20000111	JP 1999-145003	19990525

PRIORITY APPLN. INFO.: DE 1998-19824660 19980603
OTHER SOURCE(S): MARPAT 132:36953

AB Mixts. of reactive azo dyes contg. 2,4-difluoro-6-**pyrimidyl** and 4,6-difluoro-2-**pyrimidyl** groups were obtained using 2,4,6-trifluoropyrimidine (I) as a starting material, and these dyes showed good application and fixation properties, esp. on cotton. Thus, a 1:1:1 condensate of 1-**amino**-8-**hydroxy**-3,6-naphthalenedisulfonic acid, cyanuric fluoride, and morpholine was prepd. as a coupling component. When coupled with the diazotized 1:1 condensate of I with Li 2,4-diaminobenzenesulfonate, a mixt. of 2 red dyes was obtained.

IT **214897-29-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(diazo component; prodn. of mixts. of reactive azo dyes contg. difluoropyrimidyl groups)
RN 214897-29-7 CAPLUS
CN Benzenesulfonic acid, 2-amino-4-[(2,6-difluoro-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 44 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:640840 CAPLUS
DOCUMENT NUMBER: 131:257576
TITLE: Preparation of HIV inhibiting **pyrimidine** derivatives
INVENTOR(S): Andries, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; et al.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950250	A1	19991007	WO 1999-EP2043	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 945442	A1	19990929	EP 1998-201587	19980514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2324919	AA	19991007	CA 1999-2324919	19990324
AU 9935996	A1	19991018	AU 1999-35996	19990324
AU 751573	B2	20020822		
BR 9909191	A	20001205	BR 1999-9191	19990324
EE 200000532	A	20020215	EE 2000-532	19990324
BG 104738	A	20010430	BG 2000-104738	20000830
NO 2000004810	A	20000926	NO 2000-4810	20000926

PRIORITY APPLN. INFO.:
US 1998-79632P P 19980327
EP 1998-201587 A 19980514
EP 1998-203948 A 19981125
WO 1999-EP2043 W 19990324

OTHER SOURCE(S): MARPAT 131:257576
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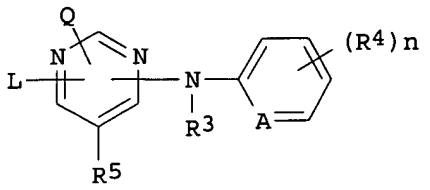

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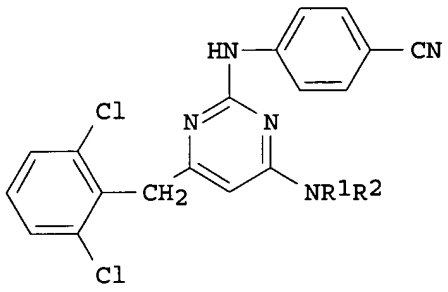


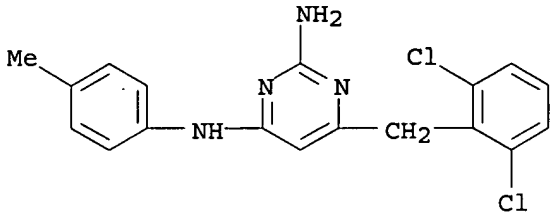
II

AB This invention concerns the use of the N oxides, the pharmaceutically acceptable addn. salts and the stereochem. isomeric forms of title compds I [A = CH, CR4 or N; n = 0 - 4; Q = hydrogen or NR1R2; R1, R2 = H, OH, C1-12alkyl, C1-12alkyloxy, C1-12alkylcarbonyl, C1-12alkyloxycarbonyl, aryl, **amino**, mono or di(C1-12alkyl)**amino**, mono or di(C1-12alkyl)aminocarbonyl wherein each C1-12alkyl may optionally be substituted; or R1 and R2 taken together may form **pyrrolidinyl**, piperidinyl, morpholinyl, azido or mono or di(C1-12alkyl)aminoC1-4alkylidene; R3 = hydrogen, aryl, C1-6alkylcarbonyl, optionally substituted C1-6alkyl, C1-6alkyloxycarbonyl; and R4 = OH, halo, optionally substituted C1-6alkyl, C1-6alkyloxy, CN, aminocarbonyl, NO2, NH2, trihalomethyl, trihalomethyloxy; R5 = hydrogen or C1-4alkyl; L is optionally substituted C1-10alkyl, C3-10alkenyl, C3-10alkynyl, C3-7cycloalkyl; or L = X1-R6 or X2-Alk-R7 wherein R6 and R7 are optionally substituted **phenyl**; X1, X2 = NR3, NHNH, N:N, O, S, S(=O) or S(=O)2; Alk = C1-4alkanediyl; aryl = optionally substituted **phenyl**; Het = an optionally substituted aliph. or arom. heterocyclic radical] for the manuf. of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection. It further relates to new compds. being a subgroup of the compds. of formula I, their prepn. and compds. comprising them. Formulations are given. The title compd. II in vitro showed IC50 of 0.003.mu.M against HIV-1 virus.

IT **244767-19-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of HIV inhibiting **pyrimidine** derivs.)

RN 244767-19-9 CAPLUS

CN 2,4-Pyrimidinediamine, 6-[(2,6-dichlorophenyl)methyl]-N4-(4-methylphenyl)-(9CI) (CA INDEX NAME)

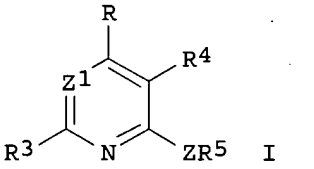


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 45 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:635465 CAPLUS
DOCUMENT NUMBER: 131:243283
TITLE: Preparation of **pyridine** and **pyrimidine** derivatives as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 255,514, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5962479	A	19991005	US 1996-765110	19961206
WO 9533750	A1	19951214	WO 1995-IB439	19950606
W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000001434	A2	20000107	JP 1999-162425	19950606
JP 11246411	A2	19990914	JP 1998-343077	19981202
JP 3223169	B2	20011029		

PRIORITY APPLN. INFO.: US 1994-255514 B2 19940608
WO 1995-IB439 W 19950606
JP 1995-500615 A3 19950606
JP 1996-500615 A3 19950606
OTHER SOURCE(S): MARPAT 131:243283
GI



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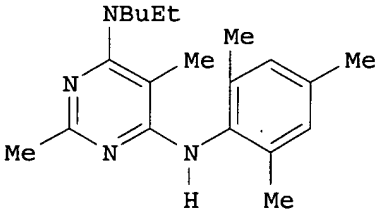


II

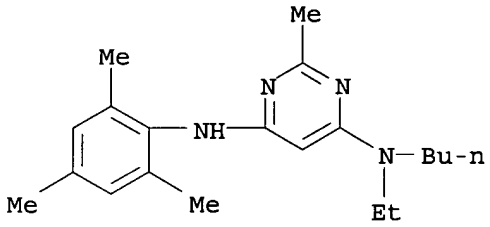
AB Title compds. [I; R = NR1R2, CHR1R2, OCHR1R2, etc.; R1 = (un)substituted alkyl; R2 = (cyclo)alkyl, (hetero)aryl, etc.; R3 = halo, **cyano**, Me, Et, OMe, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = (hetero)aryl; Z = O, CH2, (alkyl)imino, etc.; Z1 = CR7 or N; R7 = H, halo, Me, alkoxy(carbonyl), etc.; R4ZR5 = (un)substituted CH2CH2CHR5, -CH2CH2NR5,

-NHCONR5, -N:CHGNR5, etc.; G = H, OMe, Me, etc.] were prepd. Thus, 2,5-dimethyl-4,6-dichloropyrimidine was aminated by BuNHEt and the product aminated by 2,4,6-Me3C6H2NH2 to give title compd. II. Binding activities for I ,expressed as IC50 values, generally range from about 0.5nM to about 10.mu.M (sic).

IT **175139-10-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **pyridine** and **pyrimidine** derivs. as ACTH releasing factor antagonists)

RN 175139-10-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N-ethyl-2-methyl-N'-(2,4,6-trimethylphenyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:631415 CAPLUS
DOCUMENT NUMBER: 131:257575
TITLE: Preparation of arylaminopyrimidines for treatment of human immunodeficiency virus infection.
INVENTOR(S): Andries, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 945443	A1	19990929	EP 1999-200918	19990324
EP 945443	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 945442	A1	19990929	EP 1998-201587	19980514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1245567	A1	20021002	EP 2002-14566	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.:
US 1998-79632P P 19980327
EP 1998-201587 A 19980514
EP 1998-203948 A 19981125

EP 1999-200918 A3 19990324

OTHER SOURCE(S): MARPAT 131:257575

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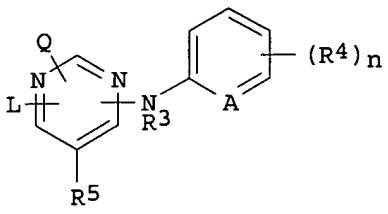


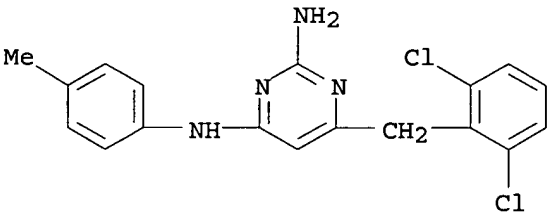
I

AB Use of title compds. [I; A = CH, CR4, N; n = 0-4; Q = H, NR1R2; R1, R2 = H, OH, alkyl, alkyloxy, alkylcarbonyl, alkyloxycarbonyl, aryl, **amino**, etc.; R1R2N = **pyrrolidinyl**, piperidinyl, morpholinyl, N3, diaminoalkylidene; R3 = H, aryl, alkylcarbonyl, (substituted) alkyl, alkyloxycarbonyl; R4 = OH, halo, (substituted) alkyl, alkyloxy, **cyano**, aminocarbonyl, NO2, **amino**, trihalomethyl, trihalomethyloxy; R5 = H, alkyl; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.] for the manuf. of a medicine for the treatment of HIV (Human Immunodeficiency Virus) infection is claimed. 4-[(4-Chloro-2-**pyrimidinyl**)**amino**]benzonitrile, 2,6-dibromo-4-methylbenzeneamine, and HCl in Et2O were heated at 170.degree. in dioxane in a sealed tube to give 15.9% 4-[[4-[(2,6-dibromo-4-methylphenyl)**amino**]-2-**pyrimidinyl**]**amino**]benzonitrile. The latter showed IC50 = 0.0007 .mu.M for protection of MT-4 cells against HIV-1 infection.

IT **244767-19-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines for treatment of HIV infection)

RN 244767-19-9 CAPLUS

CN 2,4-Pyrimidinediamine, 6-[(2,6-dichlorophenyl)methyl]-N4-(4-methylphenyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:631414 CAPLUS

DOCUMENT NUMBER: 131:257574

TITLE: Preparation of arylaminopyrimidines for treatment of human immunodeficiency virus infection.

INVENTOR(S): Kukla, Michael Joseph; Ludovici, Donald W.; Ho, Chih Yung; De Corte, Bart; Janssen, Marcel; Janssen, Paul Adriaan Jan

09/ 922,874

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 945442	A1	19990929	EP 1998-201587	19980514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 945443	A1	19990929	EP 1999-200918	19990324
EP 945443	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2324919	AA	19991007	CA 1999-2324919	19990324
WO 9950250	A1	19991007	WO 1999-EP2043	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9935996	A1	19991018	AU 1999-35996	19990324
AU 751573	B2	20020822		
BR 9909191	A	20001205	BR 1999-9191	19990324
EE 200000532	A	20020215	EE 2000-532	19990324
EP 1245567	A1	20021002	EP 2002-14566	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 232521	E	20030215	AT 1999-200918	19990324
US 6197779	B1	20010306	US 1999-276360	19990325
BG 104738	A	20010430	BG 2000-104738	20000830
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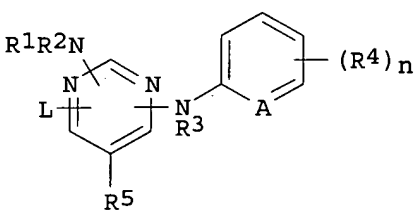
US 2001011094	A1	20010802	US 2000-749181	20001227
US 6440986	B2	20020827		
US 2003083317	A1	20030501	US 2002-185528	20020628

PRIORITY APPLN. INFO.:
US 1998-79632P P 19980327
EP 1998-201587 A 19980514
EP 1998-203948 A 19981125
EP 1999-200918 A3 19990324
WO 1999-EP2043 W 19990324
US 1999-276360 A1 19990325
US 2000-749181 A3 20001227

OTHER SOURCE(S): MARPAT 131:257574
GI



I

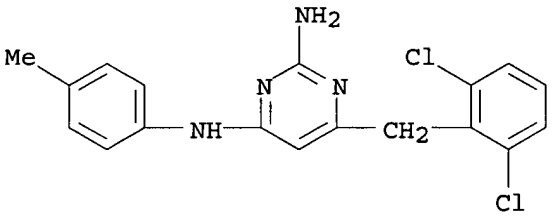
09/ 922,874

AB Use of title compds. [I; A = CH, N; n = 0-5; R1, R2 = H, OH, (substituted) alkyl, alkyloxy, alkylcarbonyl, alkyloxycarbonyl, aryl, **amino**, alkylaminocarbonyl; R1R2N = **pyrrolidinyl**, piperidinyl, morpholinyl, N3, alkylaminoalkylidene; R3 = H, aryl, alkylcarbonyl, (substituted) alkyl, alkyloxycarbonyl; R4 = OH, halo, alkyl, alkyloxy, **cyano**, aminocarbonyl, NO2, **amino**, trihalomethyl, trihalomethyloxy; R5 = H, alkyl; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.] for the manuf. of a medicine for the treatment of subjects suffering from HIV (human immunodeficiency virus) infection is claimed. Thus, 4-[[4-**amino**-6-[(2,6-dichlorophenyl)methyl]-2-**pyrimidinyl**]**amino**]benzonitrile in CH2Cl2 was treated with **pyridine** and octanoyl chloride in CH2Cl2 to give 68.6% N-[6-[(2,6-dichlorophenyl)methyl]-2-[(4-cyanophenyl)**amino**]-4-**pyrimidinyl**]octanamide. I protected HIV-1 infected MT-4 cells with IC50 = 0.001 .mu.M to >100 .mu.M.

IT **244767-19-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines for treatment of human immunodeficiency virus infection)

RN 244767-19-9 CAPLUS

CN 2,4-Pyrimidinediamine, 6-[(2,6-dichlorophenyl)methyl]-N4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

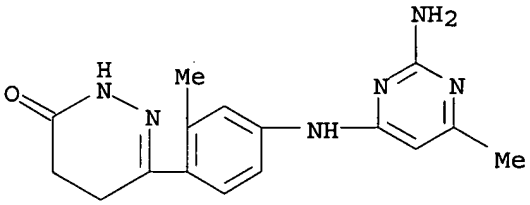
L6 ANSWER 48 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:458499 CAPLUS
DOCUMENT NUMBER: 131:257506
TITLE: Synthesis of 6-(4-(substituted **amino**) **phenyl**)-4,5-dihydropyridazin-3(2H)-ones as potential positive inotropic agents
AUTHOR(S): Abou- Zeid, K. A. M.; Youssef, K. M.; Shaaban, M. A.; El -Telbany, F. A.; Al-Zanfaly, S. H.
CORPORATE SOURCE: Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1998), Volume Date 1997, 38(4-6), 319-331
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The title **pyridazinones** and related compds. were synthesized and evaluated as inhibitors of cardiac cAMP phosphodiesterase.

IT **244625-22-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of **pyridazinones** as inhibitors of cardiac cAMP phosphodiesterase)

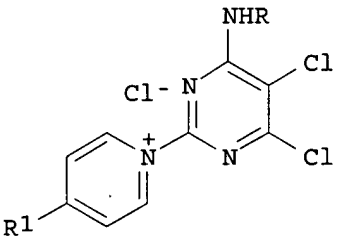
09/ 922,874

RN 244625-22-7 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-[(2-amino-6-methyl-4-pyrimidinyl)amino]-2-methylphenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

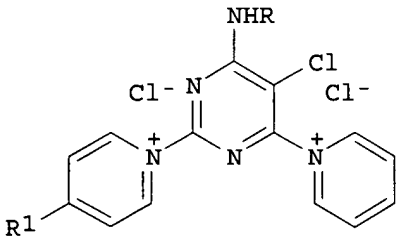


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

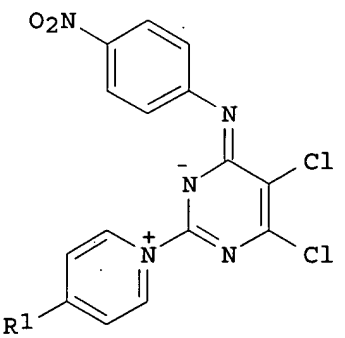
L6 ANSWER 49 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:303371 CAPLUS
DOCUMENT NUMBER: 131:144569
TITLE: New vinylogous mesomeric betaines: synthesis and tautomerism of **pyridiniopyrimidine** appended 5-iminopenta-1,3-dienolates
AUTHOR(S): Schmidt, Andreas; Nieger, Martin
CORPORATE SOURCE: Institut fur Chemie und Biochemie, Ernst-Moritz-Arndt-Universitat Greifswald, Greifswald, D-17487, Germany
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (10), 1325-1332
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



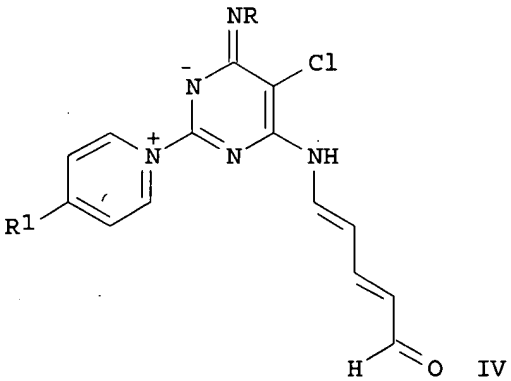
I



II



III



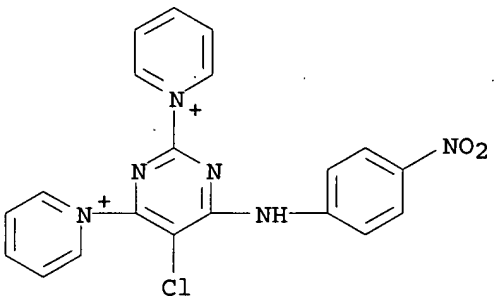
IV

AB The **pyrimidine-pyridinium** salts I (R = H, Ph, 4-O2NC6H4; R1 = H, 4-**pyridinyl**) and II (R = H, Ph, 4-O2NC6H4; R1 = H, 4-**pyridinyl**) were regioselectively prepd. by nucleophilic substitution on the corresponding 4-**amino**-2,5,6-trichloropyrimidines. The mol. structure of I (R = H; R1 = 4-**pyridinyl**) was established by x-ray crystallog. The cross-conjugated mesomeric betaines III (R2 = H, 4-**pyridinyl**) were formed smoothly on treatment of I (R = 4-O2NC6H4; R1 = H, 4-**pyridinyl**) in aq. EtOH with the anion exchange resin Amberlite IRA-400 in its **hydroxy** form. Under similar conditions, pericyclic ring-cleavage of the bispyridinium salts II yielded the title pentadienolates IV (R = H, Ph, 4-O2NC6H4; R1 = H, 4-**pyridinyl**) as a mixed population of tautomers in rapid equil.

IT **236126-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and mol. structure of cross-conjugated mesomeric betaine **pyridiniopyrimidinyliminopentadienolates** and **pyridiniopyrimidinylaminides**)

RN 236126-16-2 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-[(4-nitrophenyl)amino]-2,4-pyrimidinediyl]bis-, dichloride (9CI) (CA INDEX NAME)



●2 Cl⁻

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 50 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:224012 CAPLUS
DOCUMENT NUMBER: 131:5241
TITLE: Synthesis and properties of new coenzyme mimics based on the artificial coenzyme CL4
AUTHOR(S): Ansell, Richard J.; Small, David A. P.; Lowe, Christopher R.
CORPORATE SOURCE: Institut fur Analytische Chemie, Chemo- und Biosensorik, Universitat Regensburg, Regensburg, 93 053, Germany
SOURCE: Journal of Molecular Recognition (1999), 12(1), 45-56
CODEN: JMORE4; ISSN: 0952-3499
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

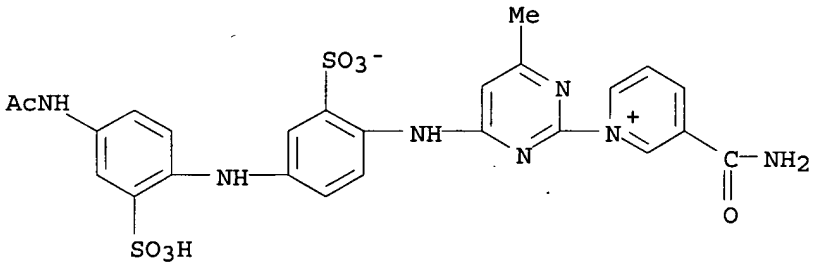
AB It has been shown that a range of nicotinamide contg. biomimetic coenzymes function as active analogs of NAD+ in the oxidn. of alcs. by horse liver

alc. dehydrogenase (HLADH), despite their apparently astonishing lack of structural similarity to the natural coenzyme. The simplest structure as yet shown to exhibit activity is the biomimetic coenzyme CL4. To investigate the effect of the structure of this truncated artificial coenzyme on its activity, a range of close structural analogs of CL4 were designed, synthesized and characterized. The electrochem. redn. potentials of the analogs were strongly influenced by the nature of the groups attached to the **pyridine** ring. All of the analogs could be chem. reduced using sodium borohydride, to give compds. with altered UV-visible absorption and fluorescence properties. An HPLC-based assay suggested that two of the new analogs were coenzymically active in the oxidn. of 1-butanol by HLADH, with one displaying a significantly higher activity than CL4. The results demonstrate which features of the structures of the coenzymes lead to desirable electrochem. and spectroscopic properties, but suggest that the structural requirements for a functional coenzyme are quite stringent. These observations may be used to design an artificial coenzyme which combines the best features of those studied so far.

IT **220960-28-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and properties of coenzyme mimics based on artificial coenzyme CL4)

RN 220960-28-1 CAPLUS

CN Pyridinium, 1-[4-[[4-[[4-(acetylamino)-2-sulfophenyl]amino]-2-sulfophenyl]amino]-6-methyl-2-pyrimidinyl]-3-(aminocarbonyl)-, inner salt (9CI) (CA INDEX NAME)

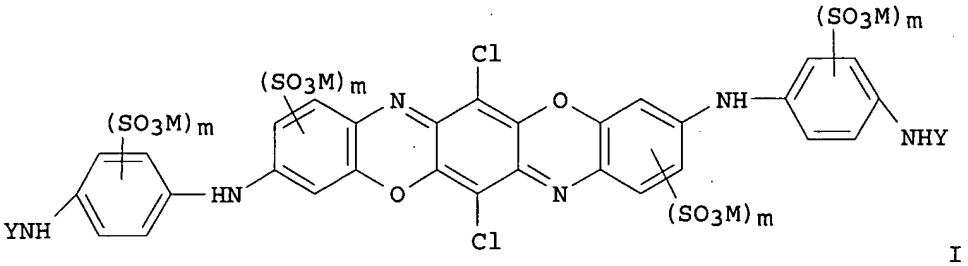


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 51 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:779841 CAPLUS
DOCUMENT NUMBER: 130:53649
TITLE: Reactive triphenodioxazine dyes, their preparation and their use
INVENTOR(S): Reiher, Uwe; Stein, Hans-Walter
PATENT ASSIGNEE(S): Dystar Textilfarben G.m.b.H + Co. Deutschland K.-G., Germany
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 881268	A1	19981202	EP 1998-109395	19980523

EP 881268 B1 20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
DE 19722337 A1 19981203 DE 1997-19722337 19970528
TW 438864 B 20010607 TW 1998-87108172 19980526
JP 10338817 A2 19981222 JP 1998-161550 19980527
US 5972048 A 19991026 US 1998-85308 19980527
PRIORITY APPLN. INFO.: DE 1997-19722337 A 19970528
OTHER SOURCE(S): MARPAT 130:53649
GI



I

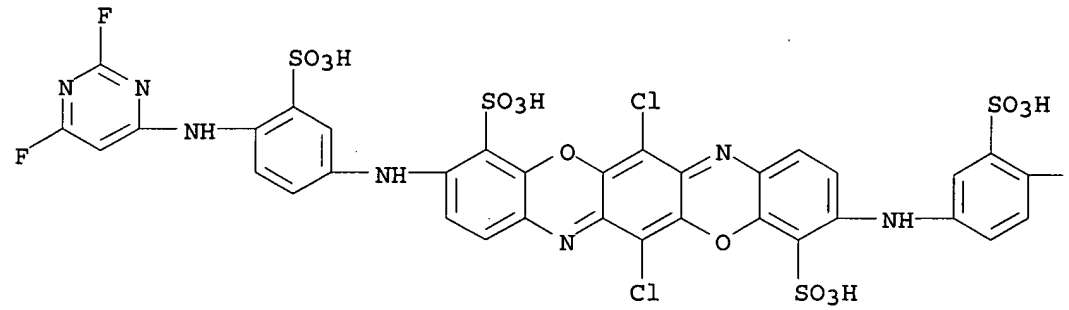
AB Reactive triphenodioxazine dyes (I; Y = 2,4-difluoro-6-**pyrimidyl**, fluorotriazinyl; m, n = 1-2) are obtained from the appropriate 3,10-bis(aminosulfoanilino) precursors and the desired difluorotriazine derivs. or 2,4,6-trifluoropyrimidine and are used for reactive dyeing or printing of fibrous substrates. I provide fast blue shades on cotton. Thus, 3,10-bis(4-**amino**-3-sulfoanilino)-6,13-dichloro-4,11-triphenodioxazinedisulfonic acid was treated with cyanuric fluoride and morpholine in 1:2:2 molar ratio to provide a blue bis(fluoromorpholinotriazine) reactive dye (.lambda.max 602 nm), fast on cotton.

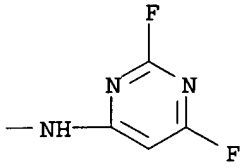
IT **217308-86-6P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(blue dye; prepn. and use of reactive fluorine-contg. triphenodioxazine dyes)

RN 217308-86-6 CAPLUS

CN 4,11-Triphenodioxazinedisulfonic acid, 6,13-dichloro-3,10-bis[[4-[(2,6-difluoro-4-pyrimidinyl)amino]-3-sulfophenyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

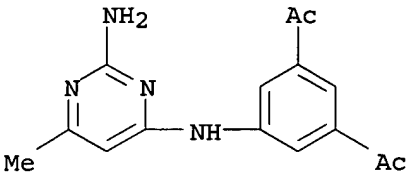
L6 ANSWER 52 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:776605 CAPLUS
DOCUMENT NUMBER: 130:20551
TITLE: Nitrogen-containing heterocyclic aryl alkyl carbonyl compounds for treating infectious diseases, and preparation thereof
INVENTOR(S): Bukrinsky, Michael I.; Cerami, Anthony; Ulrich, Peter; Berger, Bradley J.
PATENT ASSIGNEE(S): The Picower Institute for Medical Research, USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 463,405.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5840893	A	19981124	US 1996-584857	19960105
US 5574040	A	19961112	US 1995-369830	19950106
US 5733932	A	19980331	US 1995-463405	19950605

PRIORITY APPLN. INFO.: US 1995-369830 19950106
US 1995-463405 19950605
OTHER SOURCE(S): MARPAT 130:20551

AB The title compds. (Markush included) are disclosed for treatment of infectious diseases. The compds. of the invention react, under physiol. conditions, with proteins having adjacent or neighboring lysines. Prepn. of e.g. 4-(3-acetylphenyl)**amino**-2-**amino**-6-methylpyrimidine (CNI-1594) is described. Compds. were tested e.g. for ability to inhibit HIV-1, as well as for antimalarial activity.

IT **180741-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(nitrogen-contg. heterocyclic aryl alkyl carbonyl compd. prepn. for treating infectious diseases)
RN 180741-00-8 CAPLUS
CN Ethanone, 1,1'-[5-[(2-amino-6-methyl-4-pyrimidinyl)amino]-1,3-phenylene]bis- (9CI) (CA INDEX NAME)

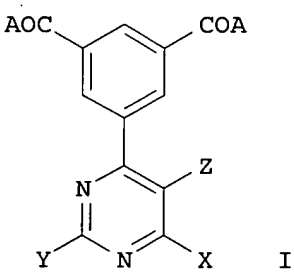


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:604709 CAPLUS
DOCUMENT NUMBER: 129:245162
TITLE: Preparation of diacylphenylaminopyrimidines as inhibitors of nuclear localization of the HIV preintegration complex.
INVENTOR(S): Pan, Senliang; Bukrinsky, Michael; Haffar, Omar K.
PATENT ASSIGNEE(S): The Picower Institute for Medical Research, USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5808068	A	19980915	US 1997-912076	19970815
CA 2300424	AA	19990225	CA 1998-2300424	19980813
WO 9909014	A1	19990225	WO 1998-US16814	19980813
W: AU, CA, IL, JP, NZ				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9889054	A1	19990308	AU 1998-89054	19980813
EP 1012146	A1	20000628	EP 1998-940874	19980813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001515069	T2	20010918	JP 2000-509697	19980813

PRIORITY APPLN. INFO.: US 1997-912076 A 19970815
WO 1998-US16814 W 19980813
OTHER SOURCE(S): MARPAT 129:245162
GI



I

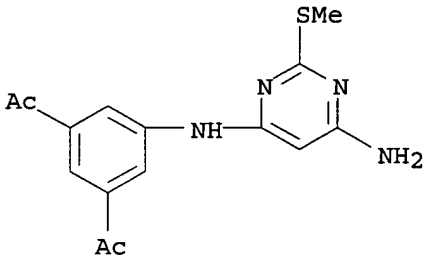
AB Title compds. [I; A = alkyl, alkenyl, alkoxy; Y = SA; X, Z = H, (CH2)nNH2, alkyl, alkenyl, alkoxy; n = 0-6], were prepd. Thus, 4-**amino**-6-chloro-2-methylthiopyrimidine and 3,5-diacetylaniline were added to a soln. prepd. from AcCl in EtOH and the mixt. was refluxed 24 h to give 39.8% 2-methylthio-4-**amino**-6-(3,5-diacetylphenylamino)

pyrimidine. The latter showed anti-HIV activity in H9 cell cultures.

IT **213119-77-8P**, 2-Methylthio-4-**amino**-6-(3,5-diacetylphenylamino)**pyrimidine**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diacylphenylaminopyrimidines as inhibitors of nuclear localization of the HIV preintegration complex)

RN 213119-77-8 CAPLUS

CN Ethanone, 1,1'-[5-[[6-amino-2-(methylthio)-4-pyrimidinyl]amino]-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 54 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:497286 CAPLUS

DOCUMENT NUMBER: 129:230689

TITLE: Synthesis and hypolipidemic activity of 6-alkyl (aryl) **amino**-2-chloropyrimidine-4-carboxylic acid esters

AUTHOR(S): Tumkevicius, Sigitas; Rocka, Valentinas Saulius; Hetzheim, Annemarie; Vainilavicius, Povilas

CORPORATE SOURCE: Fac. Chem., Vilnius Univ., Vilnius, 2006, Lithuania

SOURCE: Chemija (1998), (1), 90-92
CODEN: CHMJES; ISSN: 0235-7216

PUBLISHER: Academia

DOCUMENT TYPE: Journal

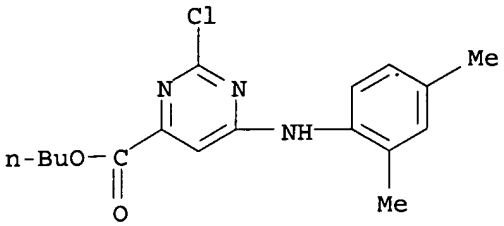
LANGUAGE: English

AB Reaction of 2,6-dichloro-4-**pyrimidinecarboxylic** acid Bu ester with n-hexylamine, **pyrrolidine**, 2,4-xylidene and 3,5-xylidene led to the formation of 2-chloro-6-(substituted **amino**) **pyrimidine**-4-carboxylic acids esters. The synthesized compds. exhibited triglyceride lowering and HDL cholesterol concns. increasing activity. The (**amino**)chloropyrimidinecarboxylates were also found to be safe as indicated by acute toxicity studies in mice.

IT **212706-89-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypolipemic activity of (**amino**)chloropyrimidinecarboxylates)

RN 212706-89-3 CAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-chloro-6-[(2,4-dimethylphenyl)amino]-, butyl ester (9CI) (CA INDEX NAME)

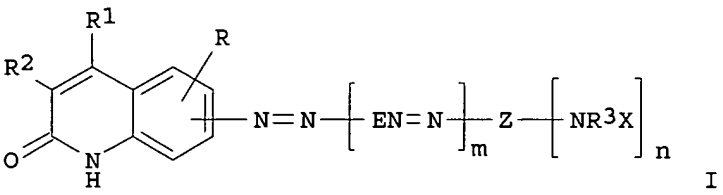


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 55 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:184107 CAPLUS
DOCUMENT NUMBER: 128:218371
TITLE: Water-soluble quinolinone reactive azo dyes, their preparation and their use
INVENTOR(S): Schumacher, Christian
PATENT ASSIGNEE(S): DyStar Textilfarben G.m.b.H. und Co. Deutschland K.-G., Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19636483	A1	19980312	DE 1996-19636483	19960909
DE 19636483	C2	20001214		
US 5770709	A	19980623	US 1997-925540	19970908
JP 11043622	A2	19990216	JP 1997-242732	19970908

PRIORITY APPLN. INFO.: DE 1996-19636483 A 19960909
OTHER SOURCE(S): MARPAT 128:218371
GI



I

AB The dyes (I; E = phenylene or naphthylene deriv.; R = H, C1-4-alkyl or -alkoxy, halogen, sulfo; R1 = H, C1-4-alkyl, halogen, sulfo, carboxy, aminocarbonyl, C2-5-alkoxycarbonyl, Ph; R2 = H, C1-4-alkyl, halogen; R3 = H, optionally substituted C1-4-alkyl, optionally substituted **naphthyl** or Ph; X = fiber-reactive group; Z = phenylene or naphthylene deriv., divalent heterocyclic group; m = 0-2; n = 1-2) contg. .gtoreq.1 sulfo group are obtained from a quinolinone diazo component and are suitable for dyeing and printing of fabrics. I show good application and fastness properties on cellulosics. Thus, cyanuric chloride was condensed with aniline-2,5-disulfonic acid and then with 3-**amino**-8-**hydroxy**-6-sulfonaphthalene to provide a monochloro coupling component which was then treated with diazotized 6-**amino**-4-methyl-2-quinolinol to give a fast red dye (.lambda.max 507 nm) for

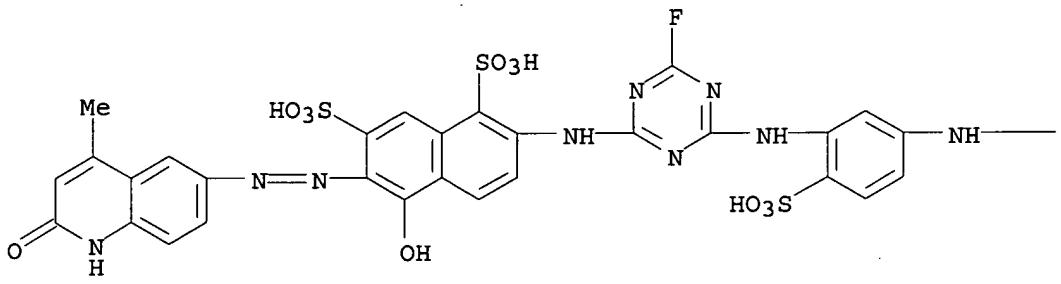
cotton.

IT **204075-14-9P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(red dye; prepn. of quinolinone reactive azo dyes for cellulosics)

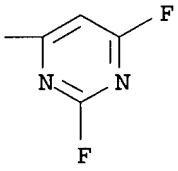
RN 204075-14-9 CAPLUS

CN 1,7-Naphthalenedisulfonic acid, 2-[[4-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfophenyl]amino]-6-fluoro-1,3,5-triazin-2-yl]amino]-6-[(1,2-dihydro-4-methyl-2-oxo-6-quinolinyl)azo]-5-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L6 ANSWER 56 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:42399 CAPLUS

DOCUMENT NUMBER: 128:102083

TITLE: Preparation of N-[4-(heteroarylmethyl)**phenyl**]heteroarylamines as inhibitors of retinoic acid metabolism

INVENTOR(S): Venet, Marc Gaston; Mabire, Dominique Jean-pierre; Lacrampe, Jean Fernand Armand; Sanz, Gerard Charles

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Venet, Marc Gaston; Mabire, Dominique Jean-Pierre; Lacrampe, Jean Fernand Armand; Sanz, Gerard Charles

SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749704	A1	19971231	WO 1997-EP3248	19970619

W: AL, AM, AU, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ,

PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2258165	AA	19971231	CA 1997-2258165	19970619	
AU 9734356	A1	19980114	AU 1997-34356	19970619	
AU 711575	B2	19991014			
EP 907650	A1	19990414	EP 1997-930378	19970619	
EP 907650	B1	20021204			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
CN 1223654	A	19990721	CN 1997-195865	19970619	
CN 1102593	B	20030305			
BR 9710002	A	19990810	BR 1997-10002	19970619	
JP 2000503670	T2	20000328	JP 1998-502321	19970619	
JP 3404749	B2	20030512			
NZ 333382	A	20000526	NZ 1997-333382	19970619	
IL 127740	A1	20010913	IL 1997-127740	19970619	
EE 3688	B1	20020415	EE 1998-437	19970619	
RU 2190611	C2	20021010	RU 1999-101902	19970619	
AT 229019	E	20021215	AT 1997-930378	19970619	
ZA 9705698	A	19990120	ZA 1997-5698	19970626	
KR 2000016196	A	20000325	KR 1998-709764	19981130	
BG 63545	B1	20020430	BG 1998-103013	19981214	
NO 9806017	A	19990219	NO 1998-6017	19981221	
US 6124330	A	20000926	US 1999-214080	19990429	
US 6486187	B1	20021126	US 2000-624966	20000725	

PRIORITY APPLN. INFO.: EP 1996-201781 A 19960627
WO 1997-EP3248 W 19970619
US 1999-214080 A1 19990429

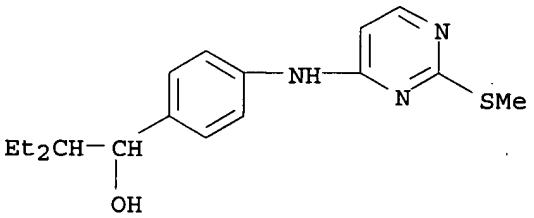
OTHER SOURCE(S): MARPAT 128:102083

AB 4-RNR3C6H4CR1R2(Het) [I, R = mono- or bicyclic heterocyclyl; R1 = H, **hydroxy**, C1-6alkyl or aryl; R2 = H, optionally substituted C1-12alkyl, C3-7cycloalkyl, C2-8alkenyl, optionally substituted **pyrrolidinyl** or aryl; R3 = H, optionally substituted C1-6alkyl or aryl; Het is an optionally substituted unsatd. heterocycle selected from imidazolyl, triazolyl, tetrazolyl, and **pyridinyl**] and their N-oxides or salts were prepd. E.g., reaction of 4-(2-benzothiazolylamino)-.alpha.-(1-ethylpropyl)benzenemethanol methanesulfonate with 1H-1,2,4-triazole gave N-[4-[2-ethyl-1-(1H-1,2,4-triazol-1-yl)butyl] **phenyl**]-2-benzothiazolamine. The inhibitory activity of I on the metab. of retinoic acid in human breast cancer cells was investigated. I were also effective in suppressing induced vaginal keratinization effects in ovariectomized rates.

IT **201410-58-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-[(heteroarylmethyl)**phenyl**]heteroarylamines as inhibitors of retinoic acid metab.)

RN 201410-58-4 CAPLUS

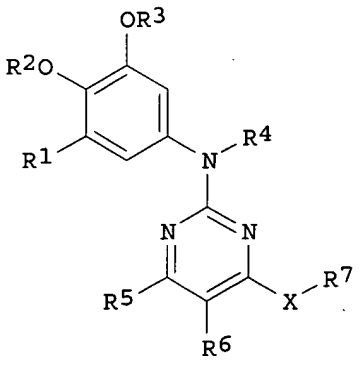
CN Benzenemethanol, .alpha.-(1-ethylpropyl)-4-[[2-(methylthio)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 57 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:457074 CAPLUS
DOCUMENT NUMBER: 127:81461
TITLE: Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors
INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719065	A1	19970529	WO 1996-GB2854	19961120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5958935	A	19990928	US 1996-753041	19961119
AU 9676314	A1	19970611	AU 1996-76314	19961120
EP 862560	A1	19980909	EP 1996-939171	19961120
EP 862560	B1	20030402		
R: CH, DE, ES, FR, GB, IT, LI				
US 6235746	B1	20010522	US 1999-249760	19990216

PRIORITY APPLN. INFO.: GB 1995-23675 A 19951120
US 1996-753041 A3 19961119
WO 1996-GB2854 W 19961120
OTHER SOURCE(S): MARPAT 127:81461
GI



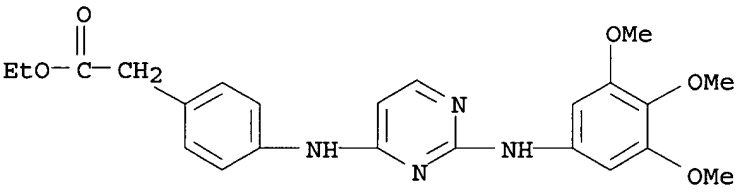
I

AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H,

(un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliph., cycloaliph., heteroaliph., heterocycloaliph., arom. or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepd. Thus, treatment of 4-[3-(3-phthalimidopropoxy) **phenyl**]-N-(3,4,5-trimethoxyphenyl)-2-**pyrimidineamine** with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.

IT **191728-43-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)

RN 191728-43-5 CAPLUS
CN Benzeneacetic acid, 4-[[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



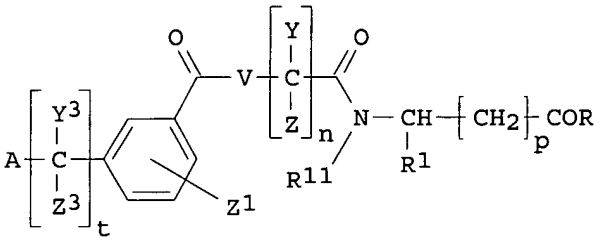
L6 ANSWER 58 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:290093 CAPLUS
DOCUMENT NUMBER: 126:264011
TITLE: Preparation of meta-guanidine, urea, thiourea or azacyclic **amino** benzoic acid derivatives as integrin antagonists
INVENTOR(S): Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard John; Rico, Joseph Gerace; Rogers, Thomas Edward; Russell, Mark Andrew; et al.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard, John
SOURCE: PCT Int. Appl., 930 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9708145	A1	19970306	WO 1996-US13500	19960827

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM
CA 2230209 AA 19970306 CA 1996-2230209 19960827
AU 9671039 A1 19970319 AU 1996-71039 19960827
AU 702487 B2 19990225
EP 850221 A1 19980701 EP 1996-932142 19960827
EP 850221 B1 20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
CN 1201454 A 19981209 CN 1996-197911 19960827
CN 1085980 B 20020605
BR 9610422 A 19990713 BR 1996-10422 19960827
JP 11510814 T2 19990921 JP 1996-510397 19960827
IL 123164 A1 20010319 IL 1996-123164 19960827
AT 203234 E 20010815 AT 1996-932142 19960827
ES 2161373 T3 20011201 ES 1996-932142 19960827
RU 2196769 C2 20030120 RU 1998-105408 19960827
NO 9800817 A 19980424 NO 1998-817 19980226
HK 1021532 A1 20020208 HK 1998-114666 19981228
PRIORITY APPLN. INFO.: US 1995-3277P P 19950830
WO 1996-US13500 W 19960827
OTHER SOURCE(S): MARPAT 126:264011

GI



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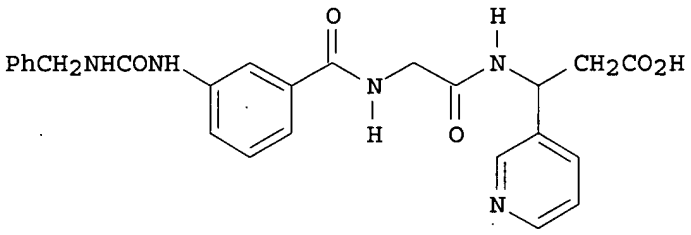
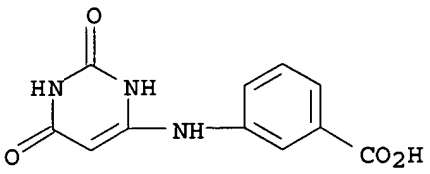


II

AB The title compds. I [A = (un)substituted ureido, guanidino, etc. (generic structures given); Z1 = H, alkyl, OH, alkoxy, halo, (di)(alkyl) **amino**, aryl, etc.; V = NR6; R6 = H, alkyl, etc.; or YR6 forms a 4- to 12-membered mono-N-contg. ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl; or YZ or Y3Z3 form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = XR3; X = O, S, NH, etc.; R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, etc.; R11 = H, alkyl, aralkyl, etc.] are prepd. For example, m-nitrohippuric acid was subjected to a sequence of (1) amidation with Et 3-**amino**-3-(3-**pyridyl**)propanoate-2HCl; (2) hydrogenation of the nitro group; (3) reaction of the formed amine with benzyl isocyanate; and (4) alk. sapon. of the ester, to give title compd. II, isolated as the CF3CO2H or HCl salt. In an in vitro assay for antagonism of human vitronectin receptor (.alpha.V.beta.3), the title compd. II.HCl bound with an IC50 of 0.86 nM.

IT **188813-96-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of meta-guanidino, -ureido, -thioureido, or -azacyclic-**amino** benzoic acid derivs. as integrin

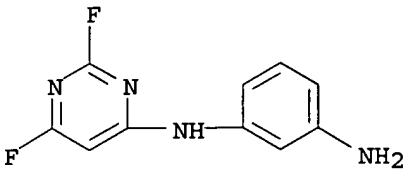
antagonists)
RN 188813-96-9 CAPLUS
CN Benzoic acid, 3-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 59 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:244226 CAPLUS
DOCUMENT NUMBER: 126:226514
TITLE: Aluminum phthalocyanine reactive dyes, their preparation and their use
INVENTOR(S): Harms, Wolfgang; Herd, Karl-Josef; Brust, Willi
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19529853	A1	19970220	DE 1995-19529853	19950814
EP 761767	A1	19970312	EP 1996-112428	19960801
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
US 5780621	A	19980714	US 1996-694041	19960808
JP 09053019	A2	19970225	JP 1996-226143	19960809
TW 412576	B	20001121	TW 1996-85109650	19960809
BR 9603410	A	19980512	BR 1996-3410	19960813
CN 1145381	A	19970319	CN 1996-111819	19960814

PRIORITY APPLN. INFO.: DE 1995-19529853 A 19950814
OTHER SOURCE(S): MARPAT 126:226514
AB Aluminum phthalocyanine dyes with OH or alkoxy or aryloxy groups attached to Al, contain SO3H 0-3, SO2NR1R2 (R1, R2 = H, optionally substituted alkyl or aryl, or fiber-reactive group contg. a sulfonyl or **amino** group) 0-2, and SO2ZY (Y = fiber-reactive group; Z = connecting group with N attached to SO2) 0.5-3 groups and are obtained by treatment of an Al phthalocyanine contg. SO3H and SO2Cl groups, with HZY and HNR1R2. The dyes provide fast green to turquoise shades on cellulosics and natural and synthetic polyamides. In an example, chloroaluminum phthalocyanine was chlorosulfonated and partially hydrolyzed and then treated with ammonium chloride and 2,4-difluoro-6-(3-aminophenyl)**pyrimidine** to give a dye providing a greenish turquoise blue print on cotton.
IT **184294-07-3DP**, 6-[(3-Aminophenyl)**amino**]-2,4-difluorpyrimidine, reaction products with hydrolyzed sulfochlorinated chloroaluminum phthalocyanines
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(prepn. of aluminum phthalocyanine reactive dyes for cellulosics and polyamides)
RN 184294-07-3 CAPLUS
CN 1,3-Benzenediamine, N-(2,6-difluoro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

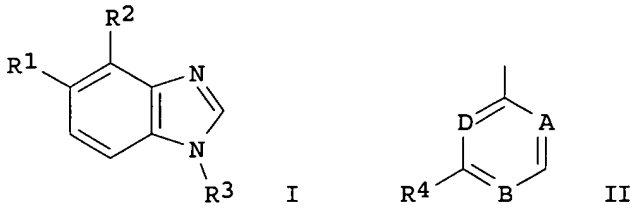


L6 ANSWER 60 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:743723 CAPLUS
DOCUMENT NUMBER: 126:18874
TITLE: Preparation of benzimidazoles as modulators of the GABAA receptor complex
INVENTOR(S): Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.; Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633194	A1	19961024	WO 1996-EP1606	19960417
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2218493	AA	19961024	CA 1996-2218493	19960417
AU 9656891	A1	19961107	AU 1996-56891	19960417
AU 695957	B2	19980827		
EP 821684	A1	19980204	EP 1996-914932	19960417
EP 821684	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI				
CN 1182427	A	19980520	CN 1996-193419	19960417
CN 1072669	B	20011010		
JP 11501320	T2	19990202	JP 1996-531464	19960417
JP 3342874	B2	20021111		
RU 2135493	C1	19990827	RU 1997-119173	19960417
BR 9608048	A	19991130	BR 1996-8048	19960417
CZ 287545	B6	20001213	CZ 1997-3292	19960417
AT 210132	E	20011215	AT 1996-914932	19960417
EP 1164134	A1	20011219	EP 2001-112476	19960417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
SK 282425	B6	20020107	SK 1997-1399	19960417
PL 183853	B1	20020731	PL 1996-322892	19960417
CA 2217601	AA	19961024	CA 1996-2217601	19960419
CA 2217601	C	20020416		
CN 1182426	A	19980520	CN 1996-193420	19960419
NO 9704844	A	19971216	NO 1997-4844	19971020
US 5922724	A	19990713	US 1998-945023	19980205

PRIORITY APPLN. INFO.:
DK 1995-460 A 19950421
EP 1996-914932 A3 19960417
WO 1996-EP1606 W 19960417

OTHER SOURCE(S): MARPAT 126:18874
GI

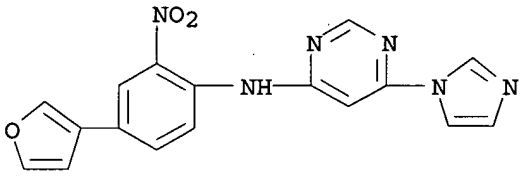


AB The title compds. [I; R1, R2 = H, (un)substituted **furanyl**, isoxazolyl; R3 = II (wherein A, B, D = each CH, or one or two of A, B and D = N and the others are CH; R4 = (un)substituted Ph, benzimidazolyl, or monocyclic heteroaryl)], useful for the treatment of various CNS disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders, were prepd. Thus, cyclization of N-[3-(1-imidazolyl)**phenyl**]-2-**amino**-4-(3-**furanyl**)aniline with HCOOH afforded 84% I [R1 = 3-**furanyl**; R2 = H; A, B, D = CH; R4 = 1-imidazolyl] which showed IC50 of 0.4 nM against the specific binding of 3H-FNM.

IT **184097-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles as modulators of the GABAA receptor complex)

RN 184097-97-0 CAPLUS

CN 4-Pyrimidinamine, N-[4-(3-furanyl)-2-nitrophenyl]-6-(1H-imidazol-1-yl)-(9CI) (CA INDEX NAME)



L6 ANSWER 61 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:544085 CAPLUS
DOCUMENT NUMBER: 125:195682
TITLE: Preparation of arylaminopyrimidines and related compounds as antiinfectives.
INVENTOR(S): Bukrinsky, Michael I.; Cerami, Anthony; Ulrich, Peter; Berger, Bradley J.
PATENT ASSIGNEE(S): Picower Institute for Medical Research, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620932	A1	19960711	WO 1996-US486	19960105

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AZ, BY,

KZ, RU
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

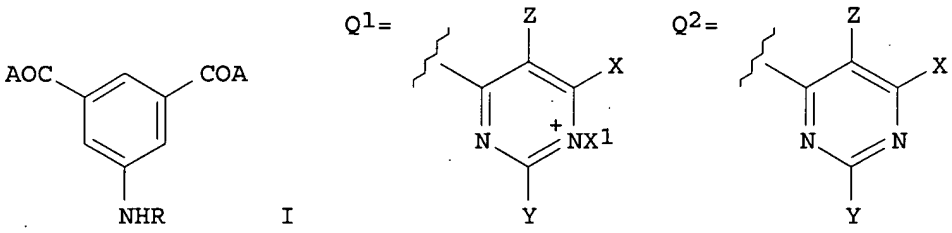
US 5574040	A	19961112	US 1995-369830	19950106
US 5733932	A	19980331	US 1995-463405	19950605
AU 9647559	A1	19960724	AU 1996-47559	19960105
AU 715844	B2	20000210		
EP 865434	A1	19980923	EP 1996-903481	19960105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV

PRIORITY APPLN. INFO.: US 1995-369830 19950106
US 1995-463405 19950605
WO 1996-US486 19960105

OTHER SOURCE(S): MARPAT 125:195682

GI

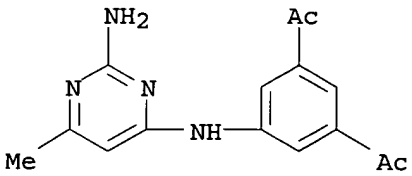


AB Title compds. [I; A = Me, Et; R = C(:NH)NH2, C(:NH)NHC(:NH)NH2, purin-6-yl, Q1, Q2, etc.; ; X = NH2, Me, Et; X1 = Me, Et; Y = NH2, NHMe, NMe2; Z = H, Me, Et], were prepd. Thus, 3,5-diacetylaniline and 2-**amino**-4-chloro-6-methylpyrimidine were heated in aq. HCl at 100.degree. to give 2-**amino**-4-(3,5-diacetylphenylamino)-6-methylpyrimidine, which was converted to 2-**amino**-4-(3,5-diacetylphenylamino)-1,6-dimethylpyrimidinium chloride. The latter inhibited replication of HIV-1 in macrophages with IC50 = 1 nM.

IT **180741-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines and related compds. as antiinfectives)

RN 180741-00-8 CAPLUS

CN Ethanone, 1,1'-[5-[(2-amino-6-methyl-4-pyrimidinyl)amino]-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



L6 ANSWER 62 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:294756 CAPLUS

DOCUMENT NUMBER: 124:319677

TITLE: Bifunctionally reactive monoazo dyes, their preparation and use

INVENTOR(S): Lehr, Friedrich

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-Gmbh;

09/ 922,874

	Sandoz-Erfindungen Verwaltungsgesellschaft Mbh
SOURCE:	PCT Int. Appl., 31 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

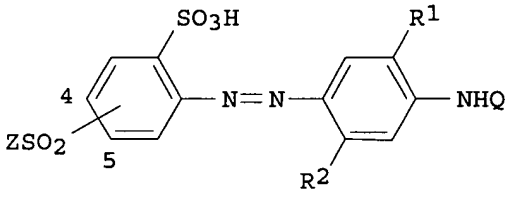
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9602593	A1	19960201	WO 1995-EP2779	19950714
W: BR, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4425222	A1	19960118	DE 1994-4425222	19940716
DE 4435380	A1	19960411	DE 1994-4435380	19941004
EP 772652	A1	19970514	EP 1995-926893	19950714
EP 772652	B1	20010829		
R: CH, DE, ES, FR, GB, IT, LI, PT				
BR 9508283	A	19971223	BR 1995-8283	19950714
JP 10504330	T2	19980428	JP 1995-504698	19950714
US 5747657	A	19980505	US 1997-765786	19970114

PRIORITY APPLN. INFO.:	DE 1994-4425222	A	19940716
	DE 1994-4435380	A	19941004
	WO 1995-EP2779	W	19950714

OTHER SOURCE(S): MARPAT 124:319677

GI



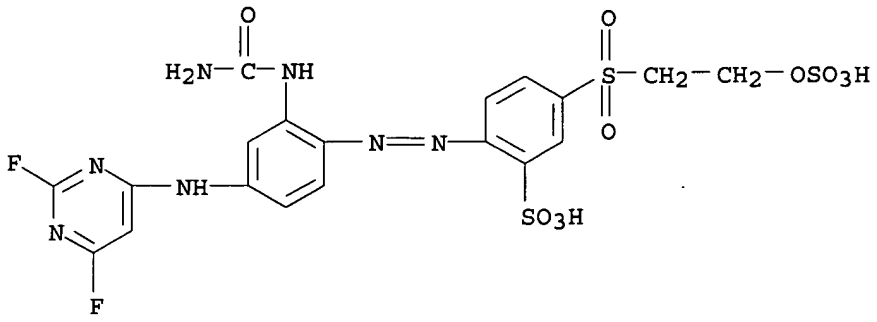
I

AB The dyes have the formula I, where R1 signifies H, Me, OMe, or OEt, R2 signifies H, Me, NHCONH2 or NHAc, Q signifies 2,6-dichloro-5-**cyano**-4-**pyrimidinyl**, (5-chloro-)2,6-difluoro-4-**pyrimidinyl**, or 4-fluoro-6-morpholino-s-triazin-2-yl, Z signifies CH:CH2 or a precursor and the SO2Z group may be bonded in position 4 or 5. The I are useful in printing or dyeing HO- or N-contg. org. substrates, esp. cotton and leather. Thus, 3-HO3SOCH2CH2SO2C6H4NH2 was sulfonated, diazotized, and coupled with 3-H2NCONHC6H4NH2, and the product was condensed with 5-chloro-2,4,6-trifluoropyrimidine to give I (R1 = H, R2 = NHCONH2, Q = 5-chloro-2,6-difluoro-4-**pyrimidinyl**, Z = CH2CH2OSO3H, SO2Z in position 5), .lambda.max 378 nm in H2O, fast golden yellow on cotton.

IT **176449-21-1P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(prepn. of bifunctionally reactive monoazo dyes for cotton and leather)

RN 176449-21-1 CAPLUS

CN Benzenesulfonic acid, 2-[[2-[(aminocarbonyl)amino]-4-[(2,6-difluoro-4-pyrimidinyl)amino]phenyl]azo]-5-[[2-(sulfooxy)ethyl]sulfonyl]-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L6 ANSWER 63 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:211758 CAPLUS
DOCUMENT NUMBER: 124:261062
TITLE: Preparation of **pyridine** and **pyrimidine** derivatives as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533750	A1	19951214	WO 1995-IB439	19950606
W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2192354	AA	19951214	CA 1995-2192354	19950606
AU 9524530	A1	19960104	AU 1995-24530	19950606
AU 692548	B2	19980611		
EP 764166	A1	19970326	EP 1995-918715	19950606
EP 764166	B1	20000913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1150428	A	19970521	CN 1995-193476	19950606
CN 1049659	B	20000223		
HU 75774	A2	19970528	HU 1996-3391	19950606
JP 09507249	T2	19970722	JP 1995-500615	19950606
JP 2000001434	A2	20000107	JP 1999-162425	19950606
AT 196295	E	20000915	AT 1995-918715	19950606
ES 2150567	T3	20001201	ES 1995-918715	19950606
JP 3193055	B2	20010730	JP 1996-500615	19950606
BR 9502708	A	19960430	BR 1995-2708	19950607
ZA 9504677	A	19961209	ZA 1995-4677	19950607
FI 9604894	A	19961205	FI 1996-4894	19961205
NO 9605237	A	19970206	NO 1996-5237	19961206
US 5962479	A	19991005	US 1996-765110	19961206
JP 11246411	A2	19990914	JP 1998-343077	19981202
JP 3223169	B2	20011029		
CN 1246475	A	20000308	CN 1999-106922	19990521
NO 2000002391	A	20000508	NO 2000-2391	20000508

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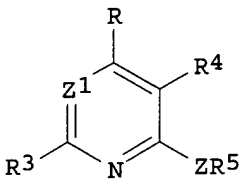
PRIORITY APPLN. INFO.:

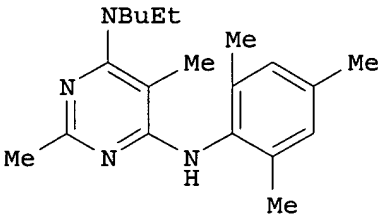
US 1994-255514	A	19940608
JP 1995-500615	A3	19950606
JP 1996-500615	A3	19950606
WO 1995-IB439	W	19950606
NO 1996-5237	A	19961206

OTHER SOURCE(S): MARPAT 124:261062

GI



I



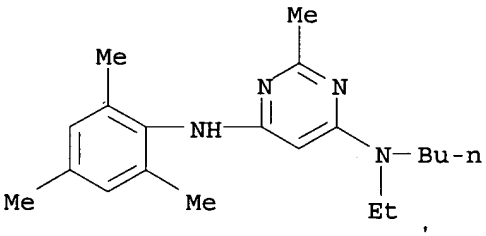
II

AB Title compds. [I; R = NR1R2, CHR1R2, OCHR1R2, etc.; R1 = (un)substituted alkyl; R2 = (cyclo)alkyl, (hetero)aryl, etc.; R3 = halo, **cyano**, Me, Et, OMe, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = (hetero)aryl; Z = O, CH2, (alkyl)imino, etc.; Z1 = CR7 or N; R7 = H, halo, Me, alkoxy(carbonyl), etc.; R4ZR5 = (un)substituted CH2CH2CHR5, -CH2CH2NR5, -NHCONR5, -N:CHGNR5, etc.; G = H, OMe, Me, etc.] were prepd. Thus, 2,5-dimethyl-4,6-dichloropyrimidine was aminated by BuNHEt and the product aminated by 2,4,6-Me3C6H2NH2 to give title compd. II. Binding activities for I ,expressed as IC50 values, generally range from about 0.5nM to about 10.mu.M (sic).

IT **175139-10-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **pyridine** and **pyrimidine** derivs. as ACTH releasing factor antagonists)

RN 175139-10-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N-ethyl-2-methyl-N'-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 64 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:898879 CAPLUS

DOCUMENT NUMBER: 123:313998

TITLE: Preparation of N-**phenyl**-2-**pyrimidinamines** and analogs as corticotropin releasing factor antagonists

INVENTOR(S): Aldrich, Paul Edward; Arvanitis, Argyrios Georgios; Cheeseman, Robert Scott; Chorvat, Robert John; Christos, Thomas Eugene; Gilligan, Paul Joseph; Grigoriadis, Dimitri Emil; Hodge, Carl Nicholas; Krenitsky, Paul John; et al.

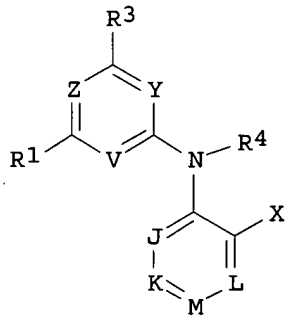
09/ 922,874

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510506	A1	19950420	WO 1994-US11050	19941006
W: AU, BR, CA, CN, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174080	AA	19950420	CA 1994-2174080	19941006
AU 9480122	A1	19950504	AU 1994-80122	19941006
AU 692484	B2	19980611		
EP 723533	A1	19960731	EP 1994-931298	19941006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74464	A2	19961230	HU 1996-932	19941006
CN 1142817	A	19970212	CN 1994-194465	19941006
BR 9407799	A	19970506	BR 1994-7799	19941006
JP 09504520	T2	19970506	JP 1995-511860	19941006
JP 3398152	B2	20030421		
RU 2153494	C2	20000727	RU 1996-109047	19941006
ZA 9407921	A	19960411	ZA 1994-7921	19941011
FI 9601599	A	19960607	FI 1996-1599	19960411
NO 9601425	A	19960612	NO 1996-1425	19960411
US 6342503	B1	20020129	US 1998-4150	19980107

PRIORITY APPLN. INFO.: US 1993-134209 A 19931012
US 1994-297274 A 19940826
US 1994-315660 19940929
WO 1994-US11050 W 19941006

OTHER SOURCE(S): MARPAT 123:313998
GI



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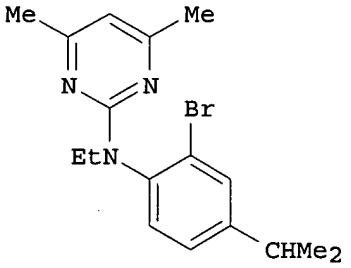
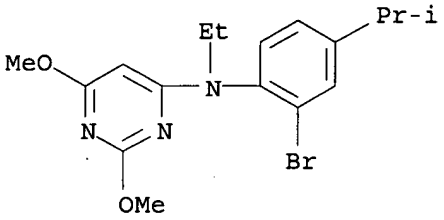


II

AB Title compds. [I; J,K,L = N or (un)substituted CH; M = N or CR5; R1 = halo, (halo)alkyl, alkoxy, etc.; R3 = halo, alkyl, (hetero)aryl, etc.; R4 = (alkoxy)alkyl, alkanoyloxyalkyl, allyl, etc.; R5 = halo, (ar)alkyl, alkanoyl, etc.; V = CR1a or N; X = halo, alkyl, (hetero)aryl, alkanoyl, etc.; Y = N, CR3a, CR29; Z = N or CR2; R1a,R2,R3a = H, halo, alkyl, halomethyl, **cyano**; R4R29 = atoms to form a ring] were prepd. Thus, 2-chloro-4,6-dimethylpyrimidine was aminated by 2-bromo-4-(1-methylethyl)aniline and the product N-alkylated to give title compd. II which had Ki of <500nM against ACTH releasing factor binding at rat cortex prepn. in vitro.

09/ 922,874

IT **169881-82-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-**phenyl**-2-**pyrimidinamines** and analogs as ACTH releasing factor antagonists)
RN 169881-82-7 CAPLUS
CN 4-Pyrimidinamine, N-[2-bromo-4-(1-methylethyl)phenyl]-N-ethyl-2,6-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)

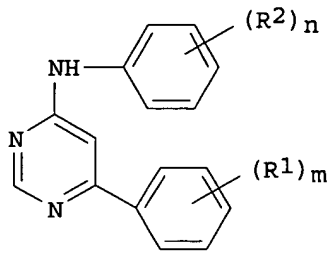


● HCl

L6 ANSWER 65 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:874728 CAPLUS
DOCUMENT NUMBER: 123:286077
TITLE: Preparation of 4,6-dianilinopyrimidine derivatives as tyrosine kinase inhibitors.
INVENTOR(S): Thomas, Andrew Peter
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9515952	A1	19950615	WO 1994-GB2659	19941205
W: AU, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KR, LT, LV, MD, NO, NZ, PL, RO, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9409546	A	19950623	ZA 1994-9546	19941130
AU 9511948	A1	19950627	AU 1995-11948	19941205
EP 733045	A1	19960925	EP 1995-902854	19941205
EP 733045	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09506363	T2	19970624	JP 1994-516031	19941205
AT 204260	E	20010915	AT 1995-902854	19941205
ES 2163492	T3	20020201	ES 1995-902854	19941205
US 5880130	A	19990309	US 1996-663200	19960607

PRIORITY APPLN. INFO.: GB 1993-25217 A 19931209
WO 1994-GB2659 W 19941205
OTHER SOURCE(S): MARPAT 123:286077
GI



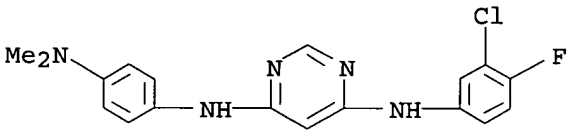
I

AB Title compds. (I; m, n = 1, 2, 3; R1 = H, OH, **amino**, NO2, halo, **cyano**, CO2H, carbamoyl, ureido, alkoxycarbonyl, alkyl, alkoxy, alkylenedioxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyloxy, etc.; R2 = H, OH, halo, CF3, OCF3, **amino**, NO2, **cyano**, alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoylamino, alkanoyl, alkylenedioxy), were prepd. Thus, 4,6-dichloropyrimidine was refluxed with 3-chloro-4-fluoroaniline and Et3N in EtOH to give 44% 6-chloro-4-(3-chloro-4-fluoroanilino) **pyrimidine**. This was heated with 4-methoxyaniline at 140.degree. for 2 h to give 4-(3-chloro-4-fluoroanilino)-6-(4-methoxyanilino) **pyrimidine**. 4,6-Bis(3-methylanilino)**pyrimidine** inhibited growth of KB cancer cells with IC50 = 1.1 .mu.M.

IT **169286-69-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,6-dianilinopyrimidine derivs. as tyrosine kinase inhibitors)

RN 169286-69-5 CAPLUS

CN 4,6-Pyrimidinediamine, N-(3-chloro-4-fluorophenyl)-N'-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 66 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:803012 CAPLUS

DOCUMENT NUMBER: 123:220666

TITLE: The influence of the extent of target organs on sensitivities of methods for screening rodent carcinogens

AUTHOR(S): Fu, Zhong-Dian; Chen, Wan-Rong; Gu, Lu-Jin; Gu, Zu-Wei

CORPORATE SOURCE: Section of Preventive Medicine, Department of Basic Medical Sciences, Shanghai Railway Medical College, 1238 Gong He Xin Road, Shanghai, 200070, Peop. Rep. China

SOURCE: Mutation Research (1995), 331(1), 99-117
CODEN: MUREAV; ISSN: 0027-5107

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

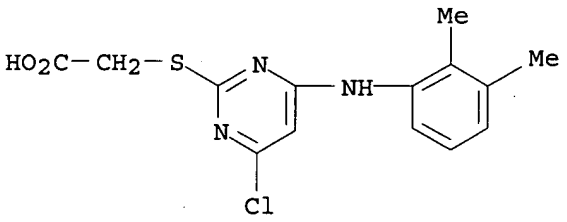
AB Two hundred and thirty-three rodent carcinogens from the Carcinogenic Potency Database (CPDB) were analyzed with CASE (Computer Automated Structure Evaluation), and a comparison of the extents of target organs with the sensitivities for long-term carcinogenic bioassays in rats and

mice, Salmonella assay (Sty), electrophilic substructure alert anal. (ESAA) and CASE was made. The carcinogenicity of 233 chems. was evaluated in both rat and mouse bioassays. The present study showed that the sensitivities of the five methods for screening carcinogens were related to the extents of target organs of carcinogens. Among the carcinogens that did not induce tumors (extent = 0) in rats, the sensitivities of Sty and ESAA were 46 and 53, resp. Among the carcinogens which induced tumors at a single organ (extent = 1) in rats, the sensitivities were 57 and 64 resp.; and 71 and 80 at multiple organs (extent.rtbbrac.1) resp. The sensitivities of CASE were 76, 82, and 89 resp. at these three different extents. Similar results were obtained with these carcinogens in mice. The results indicate that mutagenic or electrophilic carcinogens are more likely to induce tumors at multiple target organs; in contrast, most carcinogens which induced tumors at only a single target organ in one species are rarely mutagenic or electrophilic. The sensitivities of Sty and ESAA were lower than that of the CASE method in these carcinogens. CASE analyzed chem. structures of many carcinogens and non-carcinogens and then established a database of key fragments, and its parameters are not only based on mutagenicity or electrophilicity of chems., and this resulted in a more exact detection of the carcinogenicity of chems. with the CASE method.

IT **50892-23-4**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(target organ influence on sensitivities of methods for screening rodent carcinogens)

RN 50892-23-4 CAPLUS

CN Acetic acid, [[4-chloro-6-[(2,3-dimethylphenyl)amino]-2-pyrimidinyl]thio]- (9CI) (CA INDEX NAME)



L6 ANSWER 67 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:782515 CAPLUS

DOCUMENT NUMBER: 124:29691

TITLE: Synthesis of certain 1,2,3-selenadiazole, 1,2,3-thiadiazole and 1,2-oxazoline derivatives of anticipated antibacterial activity

AUTHOR(S): Kandeel, Manal M.; El-Meligie, Salwa; Omar, Refaat H.; Roshdy, Sameha A.; Youssef, Khairia M.

CORPORATE SOURCE: Faculty of Pharmacy, Cairo University, Cairo, 11562, Egypt

SOURCE: Zagazig Journal of Pharmaceutical Sciences (1994), 3(3B), 197-205
CODEN: ZJPSEV; ISSN: 1110-5089

PUBLISHER: University of Zagazig, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

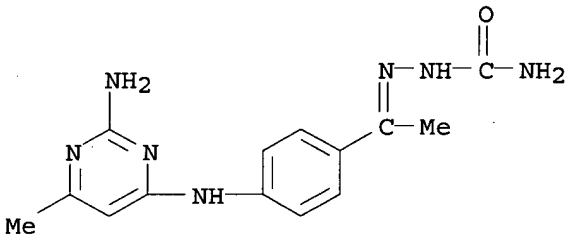
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1,2,3-Selena(or thia-)diazoles, e.g. I and II [R = 2-**amino**-6-methyl-4-**pyrimidinyl** (Q), 4-**amino**-2-quinazolinyl (Q1); X = Se, S], were prepd. by reaction of chloro **pyrimidines** and quinazolines, e.g. 2,4-dichloro-6-methylpyrimidine, with II (R = H; X = Se, S) . As a second approach, semicarbazones, e.g. III, react with SeO2 to give selenadiazoles, e.g. II. Chalcone analogs IV and 1,2-oxazolines V (Ar = Q, Q1, Ar1 = Ph, 2-ClC6H4, 4-MeOC6H4, etc.) were prepd. Antimicrobial screening of some of these compds. showed significant activity.

IT **171797-41-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bactericidal activity of selenadiazoles, thiadiazoles, and oxazolines)

RN 171797-41-4 CAPLUS

CN Hydrazinecarboxamide, 2-[1-[4-[(2-amino-6-methyl-4-pyrimidinyl)amino]phenyl]ethylidene]- (9CI) (CA INDEX NAME)



L6 ANSWER 68 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:739898 CAPLUS

DOCUMENT NUMBER: 123:339790

TITLE: N1,N3-Diaryl sulfonylureas as possible anticancer agents

AUTHOR(S): Youssef, Khairia M.; Shouman, Samia

CORPORATE SOURCE: Dep. of Organic Chemistry, Cairo Univ., Cairo, Egypt

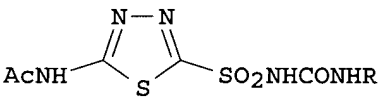
SOURCE: Alexandria Journal of Pharmaceutical Sciences (1994), 8(3), 223-5
CODEN: AJPSES; ISSN: 1110-1792

PUBLISHER: University of Alexandria, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

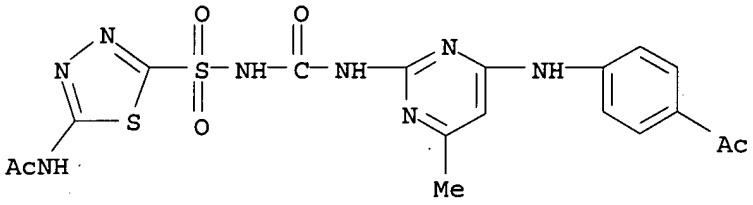
AB This study describes the synthesis of a no. of Sulofenur thiadiazole analogs, e.g. I [R = (un)substituted Ph, 2-**naphthyl**]. Two different methods were adopted in order to prep. the target compds. The new compds. were evaluated for in vitro cytotoxic activity. Two compds. showed 100% activity against Ehrlich ascites tumor cells.

IT **170648-54-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antitumor activity of N1,N3-diarylsulfonylureas)

RN 170648-54-1 CAPLUS

CN Acetamide, N-[5-[[[[[4-[(4-acetylphenyl)amino]-6-methyl-2-pyrimidinyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



L6 ANSWER 69 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:468793 CAPLUS

DOCUMENT NUMBER: 122:278023

TITLE: Silver halide photographic material

INVENTOR(S): Arai, Naoki

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

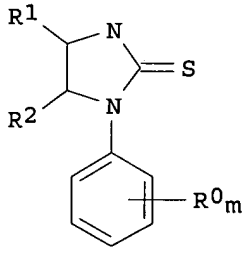
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07013289	A2	19950117	JP 1993-153911	19930624

PRIORITY APPLN. INFO.: JP 1993-153911 19930624

GI



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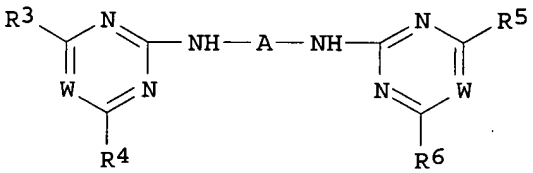


II

AB In the material comprising a hydrophilic colloidal layers contg. .gtoreq.1 Ag halide emulsion layer on a transparent support, .gtoreq.1 of the emulsion layer has max. spectral sensitivity at .gtoreq.700 nm, and the layer or its adjacent layer contains .gtoreq.1 of I [R0 = H, halo, (substituted) alkyl, (substituted) cycloalkyl, (substituted) alkoxy,

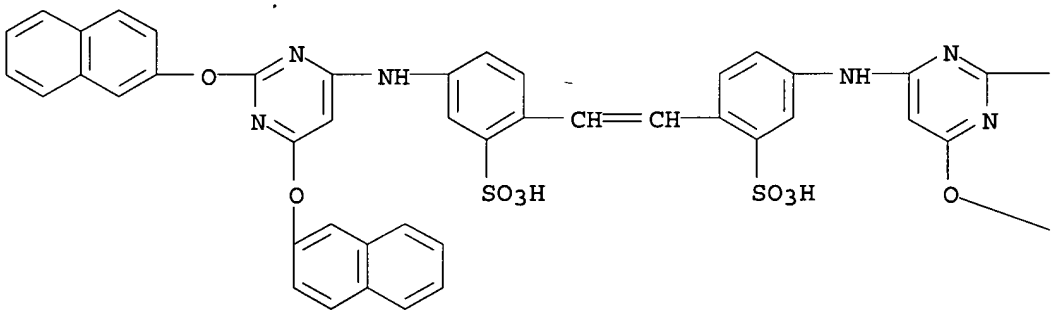
(substituted) alkylsulfonyl, (substituted) arylsulfonyl, sulfamoyl, alkyl- or arylsulfonamide, carbamoyl, carbonamide, heterocycle, (substituted) aryl, acyl, (substituted) alkoxycarbonyl, (substituted) acyloxy, (substituted) alkylthio, (substituted) arylthio, primary amine or its salt, alkyl- or aryl-substituted secondary- or tertiary-amine or its salt, nitro, OH, COOH, sulfonic acid, CN; R1-2 = H, (substituted) alkyl, aryl,; m = 1-4]. The Ag halide emulsion layer may contain II [A = divalent arom. group; R3-6 = H, OH, alkyl, alkoxy, aryloxy, halo, heterocycle, heterocyclylhtio, arylthio, **amino**, (substituted) alkylamino, (substituted) arylamino, (substituted) aralkylamino, aryl, mercapto; .gtoreq.1 of A and R3-6 has sulfo group; W = CH, N]. The material shows high sensitivity, good storage stability, and is suitable for rapid processing.

IT **125348-03-0**, 4,4'-Bis[2,6-di(2-naphthoxy)-**pyrimidine**-4-yl-**amino**]stilbene-2,2'-sulfonic acid
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(super-sensitizer; photog. film contg. stabilizer and super-sensitizer)

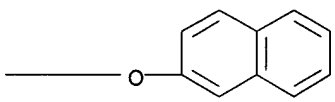
RN 125348-03-0 CAPLUS

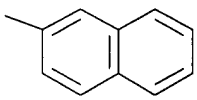
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B





L6 ANSWER 70 OF 215 CAPLUS COPYRIGHT 2003 ACS

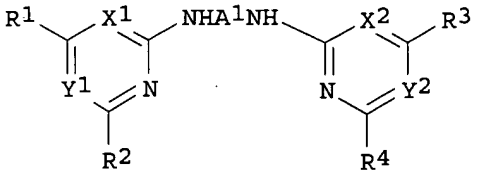
ACCESSION NUMBER: 1995:453413 CAPLUS
DOCUMENT NUMBER: 122:302908
TITLE: Silver halide photographic materials
INVENTOR(S): Kato, Mariko; Ishikawa, Wataru
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF

09/ 922,874

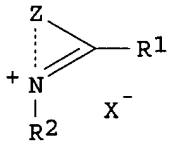
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06347938	A2	19941222	JP 1993-134743	19930604

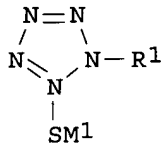
PRIORITY APPLN. INFO.: JP 1993-134743 19930604
GI



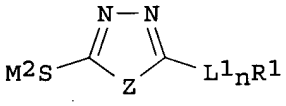
I



II



III



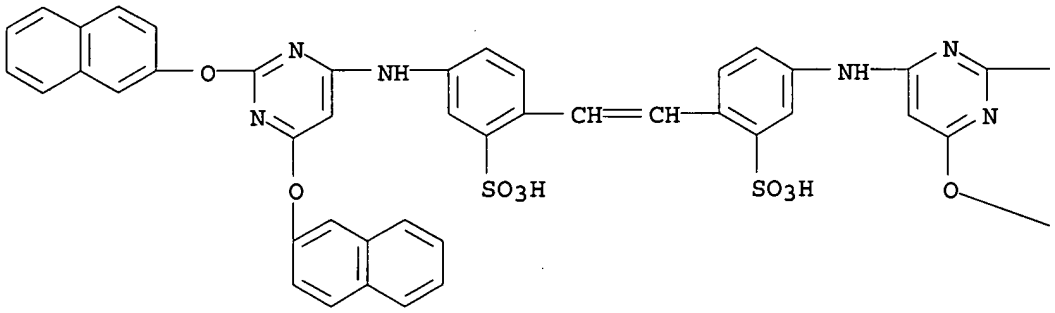
IV

AB The photog. materials contain in the Ag halide emulsion and/or hydrophilic colloid layers hydrazine derivs., nucleating agents, and compds. I (A1 = arom. residue; R1-4 = H, OH, alkyl, alkoxy, aryloxy, halo, heterocycle, aryl, alkylthio, arylthio, **amino**, etc.; A1 and R1-4 may contain sulfo groups; X1, Y1, X2, Y2 = CH, N), II (Z atoms to form a 5- or 6-membered rings, which may be condensed with benzene or naphthalene rings; R1, R2 = H, alkyl; X1 = anion), III (R1 = alkyl, aryl; M1 = H, alkali metal, ammonium), IV [Z = O, S, NH, N(L2)mR2 (R1, R2 = H, alkyl, aryl; M2 = H, alkali metal, ammonium)], and phenol resins obtained by condensation of phenols and aldehydes with acids or alkalis. The materials show good storage stability and provide high-contrast images with high sensitivity and without black spots. Thus, a photog. film was prepd. by using a Ag(Cl,I,Br) emulsion contg. a hydrazine deriv., a nucleating agent, and 4,4'-bis[2,6-di(2-naphthoxy)**pyrimidine**-4-ylamino]stilbene-2,2'-disulfonic acid di-Na salt.

IT **113952-54-8**
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(photog. film with high-contrast images)

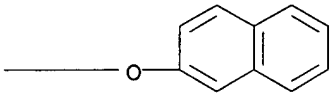
RN 113952-54-8 CAPLUS
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

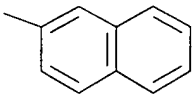
PAGE 1-A



●2 Na

PAGE 1-B





L6 ANSWER 71 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:508818 CAPLUS
DOCUMENT NUMBER: 121:108818
TITLE: Pesticidal **pyrimidine** compounds
INVENTOR(S): Munro, David; Davis, Royston; Day, Janet Anne; Wilkin, Jacqueline Ann; Wood, William Wakefield
PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V., Neth.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

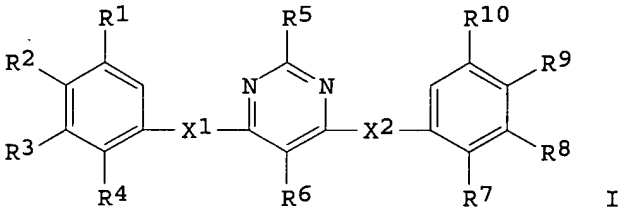
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9402470	A1	19940203	WO 1993-EP1880	19930715
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 106324	A1	19980924	IL 1993-106324	19930713

EP 650482	A1	19950503	EP 1993-915936	19930715	
EP 650482	B1	20011004			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
HU 70086	A2	19950928	HU 1995-127	19930715	
JP 07508999	T2	19951005	JP 1993-504138	19930715	
AU 671845	B2	19960912	AU 1993-45700	19930715	
AU 9345700	A1	19940214			
CZ 282275	B6	19970611	CZ 1995-30	19930715	
PL 173021	B1	19980130	PL 1993-307131	19930715	
PL 173066	B1	19980130	PL 1993-317716	19930715	
PL 173091	B1	19980130	PL 1993-317718	19930715	
PL 173056	B1	19980130	PL 1993-317719	19930715	
PL 173467	B1	19980331	PL 1993-317720	19930715	
PL 173717	B1	19980430	PL 1993-317717	19930715	
SK 279516	B6	19981202	SK 1995-32	19930715	
AT 206404	E	20011015	AT 1993-915936	19930715	
ES 2164666	T3	20020301	ES 1993-915936	19930715	
JP 3353895	B2	20021203	JP 1994-504138	19930715	
CN 1087085	A	19940525	CN 1993-116763	19930716	
ZA 9305155	A	19940620	ZA 1993-5155	19930716	
US 5707995	A	19980113	US 1995-351477	19950724	

PRIORITY APPLN. INFO.: EP 1992-306600 A 19920717
WO 1993-EP1880 W 19930715

OTHER SOURCE(S): MARPAT 121:108818

GI



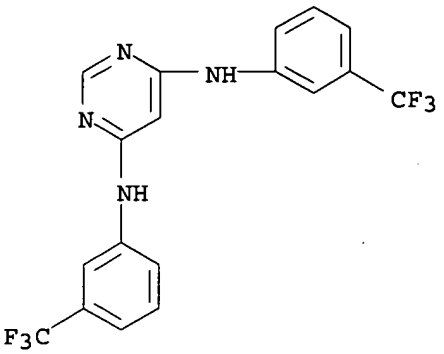
I

AB Title compds. I (X1, X2 = O, S(O)n, n = 0-2, CO, CH2, NR, R = H, alkyl; R1, R10 = H, halo; R2, R9 = H, halo, **cyano**, nitro, alkyl, haloalkyl, alkoxy, alkylthio, **amino**, mono- or di-alkylamino, alkoxyalkyl, haloalkoxyalkyl, alkoxycarbonyl; R3, R8 = H, Cl, alkyl, haloalkyl, haloalkenyl, haloalkynyl, haloalkoxy, haloalkoxycarbonyl, haloalkylthio, haloalkoxyalkyl, haloalkylsulfinyl, haloalkylsulfonyl, nitro, **cyano**; R4, R7 = H, halo, alkyl, alkoxy; R5 = H, halo, **cyano**, alkyl, haloalkyl, alkoxy, alkylthio, alkylsulfinyl, Ph; R6 = H, or when R5 = H, alkyl; provided that either each Ph is unsubstituted or at least one of R3 and R8 is not hydrogen), having useful pesticidal activity, were prepd. Thus, condensation of 4-chloro-3-trifluoromethylphenol with 4,6-dichloropyrimidine in the presence of K2CO3 in DMSO gave 94% title compd., 4,6-bis(4-chloro-3-trifluoromethylphenoxy) **pyrimidine**. The prepd. compds. were tested for acaricidal, insecticidal, and ectoparasiticidal activities (with data).

IT **156591-88-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pesticidal activity of)

RN 156591-88-7 CAPLUS

CN 4,6-Pyrimidinediamine, N,N'-bis[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



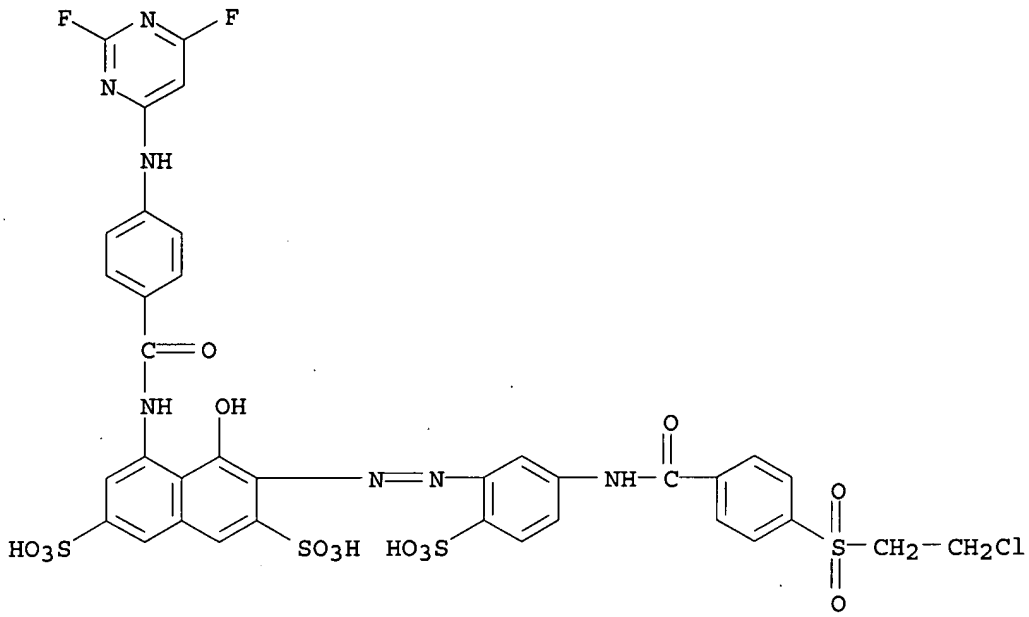
L6 ANSWER 72 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:673402 CAPLUS
DOCUMENT NUMBER: 119:273402
TITLE: Reactive dyes and dyeing and printing therewith
INVENTOR(S): Bootz, Konrad; Herd, Karl Josef
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 552629	A2	19930728	EP 1993-100268	19930111
EP 552629	A3	19931006		
EP 552629	B1	19970226		
R: CH, DE, FR, GB, LI				
DE 4201609	A1	19930729	DE 1992-4201609	19920122
JP 05263001	A2	19931012	JP 1993-23496	19930120
US 5591834	A	19970107	US 1994-363568	19941222

PRIORITY APPLN. INFO.: DE 1992-4201609 19920122
US 1993-6068 19930115
OTHER SOURCE(S): MARPAT 119:273402

AB The dyes MSO2C6H4CON(R)ZX[Z1N(R1)Y]1-2 [M = vinyl or precursor; R, R1 = H, (un)substituted alkyl; X = dye residue; Y = fiber-reactive CN-free **pyrimidine** group; Z, Z1 = direct bond, org. connecting group] are obtained for use on cellulosics. Thus, 1-**amino**-8-**hydroxy**-3,6-naphthalenedisulfonic acid was condensed with 2,4,6-trifluoropyrimidine and the product was coupled with diazotized 3-[4-(2-chloroethylsulfonyl)benzamido]-6-anilinesulfonic acid to give a reactive dye conferring red shades on cotton.

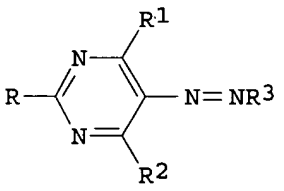
IT **151669-03-3P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of, as red dye for cotton)
RN 151669-03-3 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 3-[[5-[[4-[(2-chloroethyl)sulfonyl]benzoyl]amino]-2-sulfophenyl]azo]-5-[[4-[(2,6-difluoro-4-pyrimidinyl)amino]benzoyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 73 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:562370 CAPLUS
DOCUMENT NUMBER: 119:162370
TITLE: **Pyrimidine** reactive azo dyes, their preparation and use
INVENTOR(S): Tzikas, Athanassios; Klier, Herbert
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4231537	A1	19930325	DE 1992-4231537	19920921
GB 2259710	A1	19930324	GB 1992-19711	19920917
FR 2681605	A1	19930326	FR 1992-11244	19920922
JP 05222308	A2	19930831	JP 1992-276759	19920922

PRIORITY APPLN. INFO.: CH 1991-2810 19910923
OTHER SOURCE(S): MARPAT 119:162370
GI



I

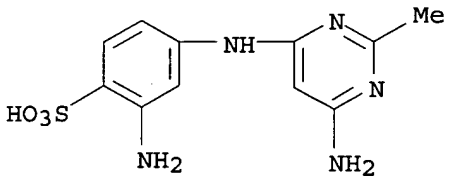
09/ 922,874

AB The dyes [I; R = H, (un)substituted alkyl, alkoxy, alkylthio, or **amino**; R1, R2 = NR4R5 where R4, R5 = H, (un)substituted alkyl or aryl, or NR4R5 = heterocycle; R3 = diazo component residue; .gtoreq.1 of R1-R3 contains a fiber-reactive group] are obtained for dyeing and printing of cellulosics. The **pyrimidine** coupling components as intermediates and their prepn. are also claimed. Thus, 4-H2NC6H4SO2CH2CH2OSO3H was diazotized and coupled with 4,6-bis(2-sulfatoethylamino)-2-methylpyrimidine, and the product was used to dye cotton in golden yellow shades.

IT **150147-23-2**
RL: USES (Uses)
(condensation of, with dichloropyrimidinecarbonyl chloride)

RN 150147-23-2 CAPLUS

CN Benzenesulfonic acid, 2-amino-4-[(6-amino-2-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 74 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:533233 CAPLUS

DOCUMENT NUMBER: 119:133233

TITLE: The Influence of chemical structure on the extent and sites of carcinogenesis for 522 rodent carcinogens and 55 different human carcinogen exposures

AUTHOR(S): Ashby, J.; Paton, D.

CORPORATE SOURCE: Cent. Toxicol. Lab., ICI, Macclesfield/Ches., SK10 4TJ, UK

SOURCE: Mutation Research (1993), 286(1), 3-74
CODEN: MUREAV; ISSN: 0027-5107

DOCUMENT TYPE: Journal

LANGUAGE: English

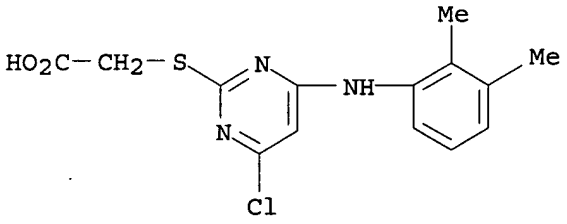
AB L. S. Gold et al. (1991) tabulated the results of rodent bioassays on 522 chems. and analyzed the data. The present study complements those analyses by providing a perspective from the viewpoint of the chem. structure of the carcinogens. The chem. structure of each of the carcinogens is displayed and the Gold database is represented with the test agents as the primary variable. The carcinogens are gathered into 6 chem. classes and each chem. is assessed for structural alerts to DNA reactivity. The database is then analyzed using an integration of the following parameters: bioassay in rat, mouse or both; structural alert status; chem. class; sites and multiplicity of carcinogenesis, and trans-species carcinogenicity. A series of figures is presented that enables rapid acquaintance with what represents the core database of rodent carcinogenicity. The several analyses presented combine in endorsing the reality of two broad classes of rodent carcinogen, presumed DNA-reactive and others (putative genotoxic and non-genotoxic carcinogens, but semantics have been largely avoided). H. M. Vainio et al. (1991) and his colleagues have tabulated 55 situations in which humans have succumbed to chem. induced cancer and have listed the tissues affected. This database of human carcinogens has been analyzed in the present study as done for the rodent carcinogen database, and comparisons made between the two. The predominance of putative genotoxic carcinogens in the human database was confirmed, as was the reality of putative non-genotoxic carcinogenicity in humans. It is concluded that putative genotoxic rodent

carcinogenesis can be correlated both with chem. structure and the extent and nature of the induced effect, and that it is of clear relevance to humans. In contrast, it is concluded that putative non-genotoxic rodent carcinogenesis is more closely related to the test species than to the test chem., and that it is essentially unpredictable in the absence of mechanistic models.

IT **50892-23-4**
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL (Biological study)
(neoplasm from, of tissues, in lab. animals, structure role in, human in relation to)

RN 50892-23-4 CAPLUS

CN Acetic acid, [[4-chloro-6-[(2,3-dimethylphenyl)amino]-2-pyrimidinyl]thio]- (9CI) (CA INDEX NAME)



L6 ANSWER 75 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:429965 CAPLUS
DOCUMENT NUMBER: 119:29965
TITLE: Multifunctional reactive dyes and dyeing and printing therewith
INVENTOR(S): Reddig, Wolfram; Herd, Karl Josef
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 99 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

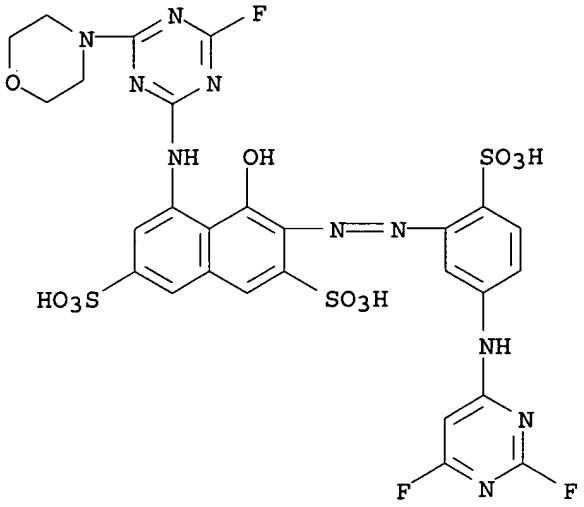
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 525572	A2	19930203	EP 1992-112360	19920720
EP 525572	A3	19931013		
EP 525572	B1	19960904		
R: CH, DE, FR, GB, LI				
DE 4125266	A1	19930204	DE 1991-4125266	19910731
US 5342927	A	19940830	US 1992-917548	19920721
JP 05222305	A2	19930831	JP 1992-217358	19920724
JP 3310340	B2	20020805		
US 5401277	A	19950328	US 1994-245105	19940517

PRIORITY APPLN. INFO.: DE 1991-4125266 A 19910731
US 1992-917548 A3 19920721
OTHER SOURCE(S): MARPAT 119:29965

AB The dyes XNRZ[A](Z1NR1Y)n [I; A = chromophore; R, R1 = H, (un)substituted C1-6-alkyl; X = 2,6-difluoro-4-**pyrimidinyl**; Y = heterocyclic fiber-reactive group; Z, Z1 = direct bond, bridging group; n = 1, 2] are obtained for use on cotton. Thus, 1-**amino**-8-**hydroxy**-3,6-naphthalenedisulfonic acid was condensed 1:1:1 with cyanuric fluoride and morpholine and the product was coupled with diazotized 4-(3-**amino**-4-sulfophenyl)-2,6-difluoropyrimidine to provide an azo dye, .lambda.max 515, 532 nm in H2O, red on cotton.

09/ 922,874

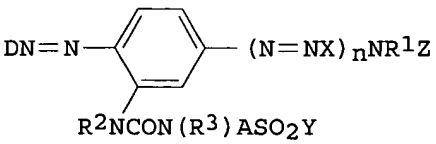
IT **148440-22-6P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of, as red dye for cotton)
RN 148440-22-6 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 3-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfophenyl]azo]-5-[[4-fluoro-6-(4-morpholinyl)-1,3,5-triazin-2-yl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 76 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:410448 CAPLUS
DOCUMENT NUMBER: 119:10448
TITLE: Azo dyes with several reactive groups and their use
INVENTOR(S): Siegel, Bernd; Patsch, Manfred
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4116785	A1	19921126	DE 1991-4116785	19910523
EP 515844	A1	19921202	EP 1992-107098	19920425
EP 515844	B1	19951227		
R: CH, DE, FR, GB, IT, LI				
JP 05194872	A2	19930803	JP 1992-125756	19920519
US 5276148	A	19940104	US 1992-886835	19920522

PRIORITY APPLN. INFO.: DE 1991-4116785 19910523
OTHER SOURCE(S): MARPAT 119:10448
GI



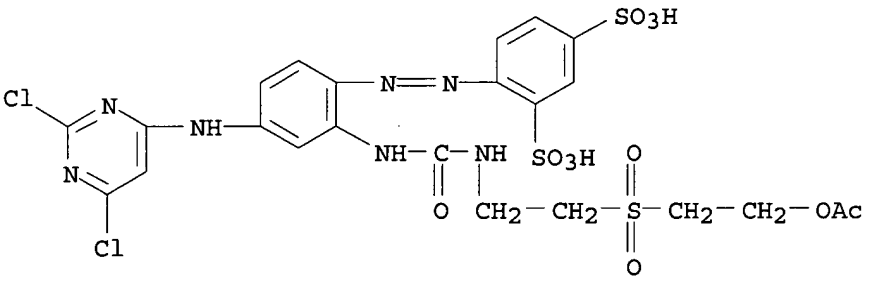
I

AB The dyes [I; A = C2-8-alkylene, optionally contg. O or imino; D = (un)substituted Ph or **naphthyl**; R1, R2, R3 = H, C1-4-alkyl, Ph; X = (un)substituted phenylene or naphthylene; Y = CH:CH2, CH2CH2Q, where Q is removable under alk. conditions; Z = reactive group] are obtained for dyeing and printing of N- or OH-contg. org. substrates, esp. cotton. Thus, H2NC6H3(SO3H)2-2,4 was diazotized and coupled with m-H2NC6H4NHCOC2H4SO2C2H4OAc, and the product was condensed with tetrachloropyrimidine to give a dye which imparted to cotton light- and wetfast yellow shades.

IT **148103-11-1P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of, as yellow dye for cotton)

RN 148103-11-1 CAPLUS

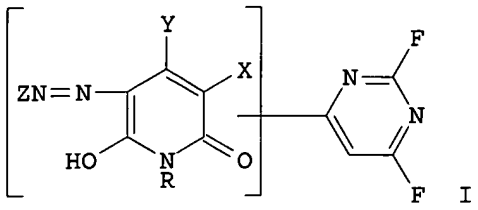
CN 1,3-Benzenedisulfonic acid, 4-[[2-[[[[2-[[2-(acetyloxy)ethyl]sulfonyl]ethyl]amino]carbonyl]amino]-4-[(2,6-dichloro-4-pyrimidinyl)amino]phenyl]azo]-(9CI) (CA INDEX NAME)



L6 ANSWER 77 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:256597 CAPLUS
DOCUMENT NUMBER: 118:256597
TITLE: **Pyridone** reactive azo dyes with difluoropyrimidine groups, their preparation and use
INVENTOR(S): Reddig, Wolfram; Herd, Karl Josef
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 522399	A2	19930113	EP 1992-110961	19920629
EP 522399	A3	19930512		
EP 522399	B1	19960821		
R: CH, DE, FR, GB, LI				
DE 4122866	A1	19930114	DE 1991-4122866	19910711
US 5359041	A	19941025	US 1992-907277	19920701
JP 05222307	A2	19930831	JP 1992-200183	19920706

PRIORITY APPLN. INFO.: DE 1991-4122866 19910711
OTHER SOURCE(S): MARPAT 118:256597
GI



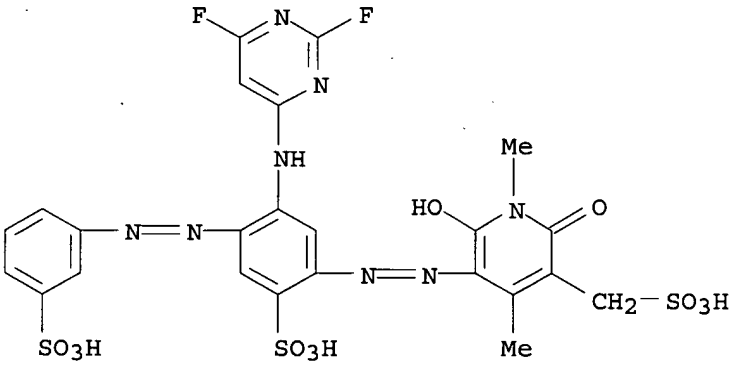
I

AB The dyes (I; R = H, org. group; X = H, Cl, Br, Me, CH2SO3H, CHMeSO3H, CONH2, CN, SO2Me, Ac, SO3H, **pyridinio**, methylpyridinio, carboxypyridinio; Y = H, OH, org. group; Z = diazo component residue) are obtained for use on cotton. Thus, 2,4-diaminobenzenesulfonic acid was condensed with 2,4,6-trifluoropyrimidine and the product was diazotized and coupled with 1,4-dimethyl-3-carbamoyl-5-(sulfomethyl)-6-**hydroxy**-2-**pyridone** Na salt to give a dye (.lambda.max 420 nm, H2O), brilliant greenish yellow on cotton.

IT **148053-90-1P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of, as orange dye for cotton)

RN 148053-90-1 CAPLUS

CN 3-Pyridinemethanesulfonic acid, 5-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfo-4-[(3-sulfophenyl)azo]phenyl]azo]-1,2-dihydro-6-hydroxy-1,4-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



L6 ANSWER 78 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:40813 CAPLUS

DOCUMENT NUMBER: 118:40813

TITLE: Bifunctional reactive dyes containing vinyl sulfone and **pyrimidine** groups, printing and coloring therewith, and the printed or colored articles obtained thereby

INVENTOR(S): Reddig, Wolfram; Herd, Karl Josef; Kysela, Ernst

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 497174	A1	19920805	EP 1992-100825	19920120

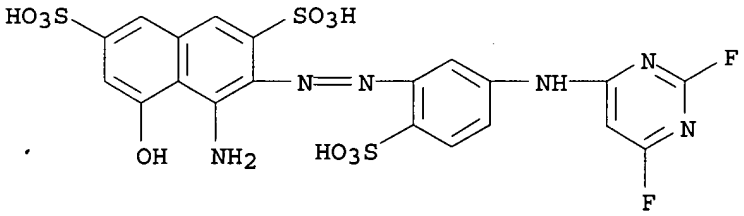
EP 497174 B1 19970305
R: CH, DE, FR, GB, LI
DE 4102777 A1 19920806 DE 1991-4102777 19910131
US 5319074 A 19940607 US 1992-825239 19920124
JP 04337361 A2 19921125 JP 1992-33941 19920127
JP 3022673 B2 20000321
PRIORITY APPLN. INFO.: DE 1991-4102777 A 19910131
OTHER SOURCE(S): MARPAT 118:40813

AB The dyes (XO2SZ)nA[Z'N(R)Y]m and their metal complexes, where A = dye nucleus (azo, anthraquinone, phthalocyanine, formazan, azomethine, benzodioxazine, xanthene, thioxanthone, naphthoquinone, stilbene, triphenylmethane); R = optionally substituted alkyl; X = CH2:CH or precursor; Y = di- or trifluoropyrimidinyl; Z, Z' = bridging group or direct link; m, n = 1 or 2, are obtained. Thus, 0.1 mol H acid was condensed with 0.105 mol 2,4,6-trifluoropyrimidine and the product was coupled with 0.095 mol diazotized 2-**amino**-6-(sulfatoethylsulfonyl)-1-naphthalenesulfonic acid to give a dye providing bluish red shades on cotton.

IT **145081-22-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with diazotized **amino** (sulfatoethylsulfonyl)naphthalenesulfonic acid)

RN 145081-22-7 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 4-amino-3-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfophenyl]azo]-5-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 79 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:651318 CAPLUS

DOCUMENT NUMBER: 117:251318

TITLE: Novel piperazinyl-substituted **pyrimidines** as antihypertensive and vasodilators

AUTHOR(S): Badran, M. M.; Youssef, K.

CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Revue Roumaine de Chimie (1992), 37(2), 283-8
CODEN: RRCHAX; ISSN: 0035-3930

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

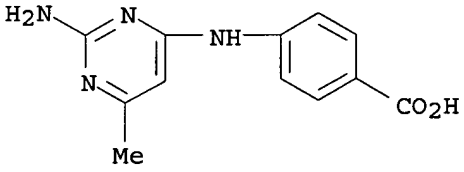
AB Three series of piperazinyl-substituted **pyrimidine** derivs. having the general formulas I, II, and III (R = 2-EtOC6H4, 2-MeOC6H4, 2-ClC6H4, 4-ClC6H4, 3-CF3C6H4, PhCH2) were synthesized. Reaction of 2-**amino**-4-chloro-6-methylpyrimdine (IV) with a no. of 1-arylpiperazines afforded the corresponding 4-aryl-1-piperazinyl-substituted **pyrimidines** I. The second series was prepd. by treating 2-**amino**-4-(p-carboxyanilino)-6-methylpyrimidine (V, R1

= CO2H), prepd. via reaction of IV and p-aminobenzoic acid, with thionyl chloride to give the corresponding key intermediate V (R1 = COCl). The latter was treated with the appropriate 1-arylpiperazines to furnish the desired products II. Addnl., the third series of target compds. III was prepd. by reacting IV with 4-aminophenol to give V (R1 = OH). Application of the acid-catalyzed Mannich reaction to V (R1 = OH), using formaldehyde and different 1-arylpiperazines gave the corresponding Mannich bases III.

IT **144646-76-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acid-chlorination of)

RN 144646-76-4 CAPLUS

CN Benzoic acid, 4-[(2-amino-6-methyl-4-pyrimidinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 80 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:612434 CAPLUS

DOCUMENT NUMBER: 117:212434

TITLE: Synthesis of some 4-substituted-**pyrimidinylaminophenyl**-6-aryl-1,2,5,6-tetrahydro-2-thioxopyrimidine derivatives as possible antimicrobial agents

AUTHOR(S): Youssef, K. M.; Badran, M. M.

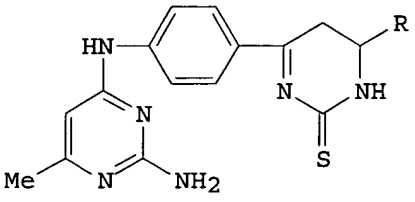
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(1-2), 121-8
CODEN: EJPSBZ; ISSN: 0301-5068

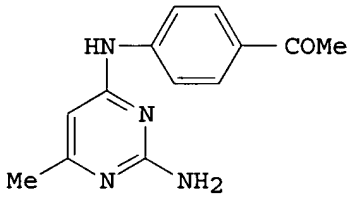
DOCUMENT TYPE: Journal

LANGUAGE: English

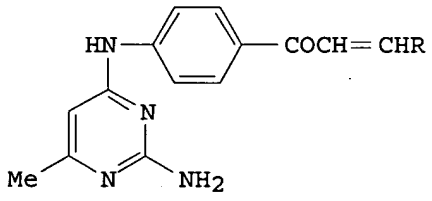
GI



I



II



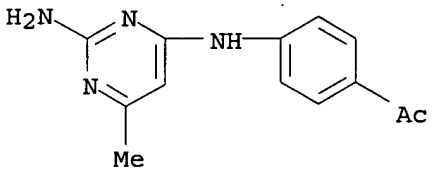
III

AB A novel series of title compds. I [R = Ph, 2-, 4-ClC6H4, 2-MeOC6H4, 2,6-(MeO)2C6H3, 3-O2NC6H4) was synthesized. Treatment of 2-**amino**-4-chloro-6-methylpyrimidine with p-aminoacetophenone afforded the corresponding key intermediate II. The latter reacted with RCHO to yield the chalcone analogs III which underwent cyclocondensation with thiourea to furnish the target compds. I. Preliminary antimicrobial screening showed that some of these novel thioxopyrimidines and III possess moderate activity against certain gram pos. bacteria.

IT **131554-47-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aldehydes)

RN 131554-47-7 CAPLUS

CN Ethanone, 1-[4-[(2-amino-6-methyl-4-pyrimidinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 81 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:448480 CAPLUS

DOCUMENT NUMBER: 117:48480

TITLE: Synthesis and biological activities of some new **pyrimidine** derivatives

AUTHOR(S): Seada, M.; Abdel-Halim, A. M.; Ibrahim, S. S.; Abdel-Megid, M.

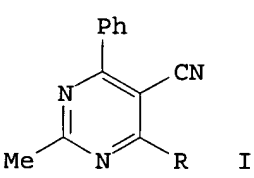
CORPORATE SOURCE: Fac. Educat., Ain Shams Univ., Roxy, Egypt

SOURCE: Asian Journal of Chemistry (1992), 4(3), 544-52
CODEN: AJCHEW; ISSN: 0970-7077

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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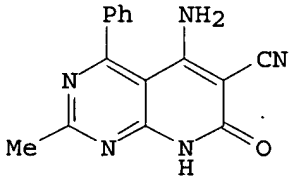
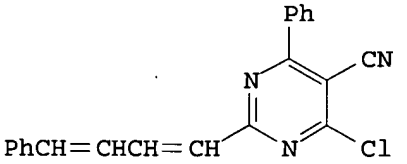


II



III

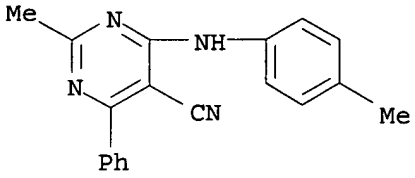
AB Synthesis of 4-chloro-5-**cyano**-2-methyl-6-phenylpyrimidine (I, R = Cl) and its reactions with acetamide hydrochloride, guanidine hydrochloride, cyanoacetamide, benzil monohydrazone, sodium azide, semicarbazide hydrochloride, acid hydrazides, active methylene compds.,

arom. amines and thiourea were investigated. Also, the reactions of 5-**cyano**-2-methyl-6-**phenyl**-4(3H)-**pyrimidinethione** I (R = SH) with Et iodide, Et chloroacetate, phenacyl bromide, acrylonitrile and heterocyclic chlorides are reported. A no. of products from these two series of reactions, including aminocyanopyridopyrimidinone II and (phenylbutadienyl)**pyrimidine** III were evaluated for bactericidal and fungicidal activity.

IT **142271-18-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activity of)

RN 142271-18-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-methyl-4-[(4-methylphenyl)amino]-6-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 82 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:255629 CAPLUS

DOCUMENT NUMBER: 116:255629

TITLE: Preparation of 4-anilinopyrimidines as agrochemical fungicides

INVENTOR(S): Minn, Klemens; Braun, Peter; Sachse, Burkhard; Wicke, Heinrich

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

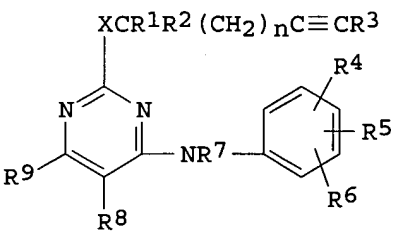
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4029648	A1	19920326	DE 1990-4029648	19900919
ZA 9107428	A	19920429	ZA 1991-7428	19910918
WO 9205158	A1	19920402	WO 1991-EP1791	19910919

W: BR, CA, CS, FI, NO, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

PRIORITY APPLN. INFO.: DE 1990-4029648 19900919

OTHER SOURCE(S): MARPAT 116:255629

GI



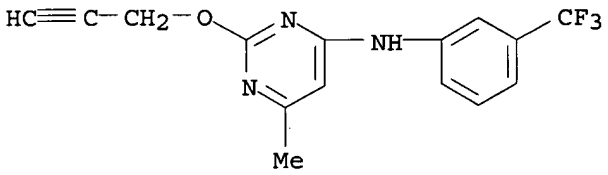
I

AB Title compds. I [R1, R2 = H, C1-9 alkyl, substituted C1-4 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-9 cycloalkyl, etc. or R1R2 = 4-10 membered (hetero)cyclic ring; R3 = H, halo, (substituted) C1-4 alkyl, C1-4 alkylthio, etc.; R4-R6 = H, halo, OH, NH2, NO2, **cyano**, C1-4 alkyl, etc. or 2 of R4-R6 = 4-10 membered (hetero)cyclic ring; R7 = H, CHO, (substituted) C1-4 alkyl, -C1-4 alkoxy, -**amino**, etc.; R8, R9 = H, halo, (substituted) C1-4 alkyl, -C1-4 alkoxy, -C1-4 alkylthio, etc. or R8R9 = 4-10 membered (hetero)cyclic ring; X = O, S; n = 0-8] were prepd. as agrochem. fungicides. Thus, HCO2Et, MeOCH2CO2Me and thiourea were cyclocondensed to give 5-methoxy-2-mercapto-1,3-dihydropyrimidin-4-one. This was S-alkylated by BrCH2C.tplbond.CH and the product was converted to the 4-chloro deriv. by POCl3. This was treated with aniline to give I (R1-R7 = H; R8 = OMe; R9 = H; X = S; n = 0] (II). II at 60 ppm gave complete control of Pseudocercosporella herbotrichoides on wheat.

IT **141598-11-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agrochem. fungicide)

RN 141598-11-0 CAPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(2-propynyloxy)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 83 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:214528 CAPLUS

DOCUMENT NUMBER: 116:214528

TITLE: Preparation of [(**pyrimidinyloxy**)**phenyl**]methoxypropenoates and related compounds as agrochemical fungicides

INVENTOR(S): Clough, John Martin; Godfrey, Christopher Richard Ayles; Streeting, Ian Thomas; Cheetham, Rex; De Fraine, Paul John; Bartholomew, David; Eshelby, James John

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK

SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468695	A1	19920129	EP 1991-306512	19910717
EP 468695	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9105512	A	19920429	ZA 1991-5512	19910715
IL 98830	A1	19960131	IL 1991-98830	19910715
AU 9180437	A1	19920130	AU 1991-80437	19910716
AU 632425	B2	19921224		
AT 142626	E	19960915	AT 1991-306512	19910717
CA 2047510	AA	19920128	CA 1991-2047510	19910722

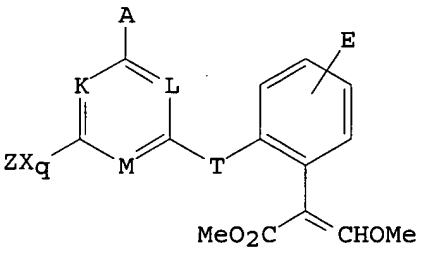
HU 58299	A2	19920228	HU 1991-2441		19910722
HU 212117	B	19960228			
CN 1060289	A	19920415	CN 1991-105782		19910724
CN 1036519	B	19971126			
BR 9103225	A	19920526	BR 1991-3225		19910726
JP 05163249	A2	19930629	JP 1991-212941		19910729
JP 3041315	B2	20000515			
US 2003060626	A1	20030327	US 2002-87984		20020305

PRIORITY APPLN. INFO.:

GB 1990-16583	A	19900727
GB 1990-20748	A	19900924
GB 1991-15480		19910717
US 1991-736159	B1	19910726
US 1993-146822	B1	19931101
US 1995-486060	B1	19950607

OTHER SOURCE(S): MARPAT 116:214528

GI



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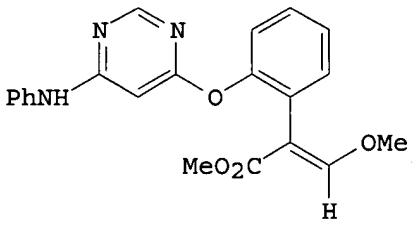


II

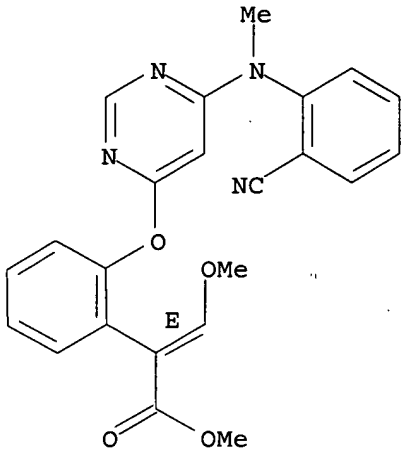
AB Title compds. [I; any 2 of K, L, M = N, the other = CB; T = O, S; Z = (substituted) aryl, heterocyclyl; X = O, S, SO, SO2, COS, CS2, NR4N:CR1, N(CHO), NR4, CO, CR1R2, CO2, OCHR1CHR2, CR1:NO, COCO, CONR4, N:N, SCO, etc.; A,B,E = H, OH, halo, (halo)alkyl, (halo)alkoxy, alkylcarbonyl, alkoxycarbonyl, PhO, NO2, **cyano**; R1,R2 = H, alkyl, Ph; R4 = H, alkyl, COR1], were prepd. Thus, formanilide was stirred 2 h with NaH in DMF; the mixt. was cooled to 0.degree. and Me E-2-[2-(6-methanesulfonylpyrimidin-4-yloxy)**phenyl**]-3-methoxypropenoate in DMF was added. The mixt. was stirred 16 h to give 20% title compd. II. II as a 0.05% spray gave complete control of Puccinia recordita, Erysiphe graminis hurdei, Venturia inaequalis, Plasmopara viticola, etc.

IT **141189-96-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agrochem. fungicide)

RN 141189-96-0 CAPLUS

CN Benzeneacetic acid, 2-[[6-[(2-cyanophenyl)methylamino]-4-pyrimidinyl]oxy]-.alpha.-(methoxymethylene)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

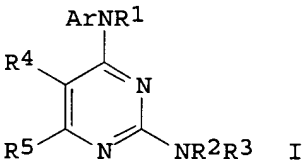
Double bond geometry as shown.



L6 ANSWER 84 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:128961 CAPLUS
DOCUMENT NUMBER: 116:128961
TITLE: Preparation of diaminopyrimidines as gastric acid secretion inhibitors
INVENTOR(S): Ife, Robert John; Brown, Thomas Henry; Leach, Colin Andrew
PATENT ASSIGNEE(S): SmithKline Beecham Intercredit B. V., Neth.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118887	A1	19911212	WO 1991-EP1007	19910601
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9179716	A1	19911231	AU 1991-79716	19910601

PRIORITY APPLN. INFO.: GB 1990-12592 19900606
WO 1991-EP1007 19910601
OTHER SOURCE(S): MARPAT 116:128961
GI



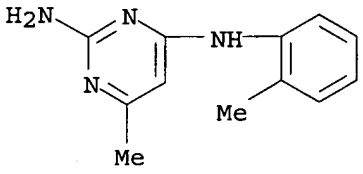
AB Title compds. I [each Ar = (substituted) Ph; R1 = H, C1-4 alkyl; R2, R3 = H, C1-4 alkyl, Ar; NR2R3 = (un)satd. heterocyclyl which may contain other hetero atoms; one of R4, R5 = H, C1-4 alkyl and the other = H, (substituted) C1-4 alkyl, NH2, C1-4 alkanoyl, (CH2)mAr; m = 1-4; or R4R5 = atoms to complete a 5-6-membered (heterocyclyl) ring] were prepd. as H+/K+ ATPase inhibitors useful for inhibition of gastric acid secretion (no data). Thus, 2-**amino**-4-chloro-6-methylpyrimidine was mixed with

excess o-toluidine at room temp. then heated for 2 h at 165.degree. to give 2-**amino**-4-methyl-6-[(2-methylphenyl)**amino**] **pyrimidine**.HCl after workup. I have IC50's of <50 .mu.M against H+K+ATPase.

IT **139296-11-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as gastric acid secretion inhibitor)

RN 139296-11-0 CAPLUS

CN 2,4-Pyrimidinediamine, 6-methyl-N4-(2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 85 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:59167 CAPLUS

DOCUMENT NUMBER: 116:59167

TITLE: Chemotherapeutic agents. XXI. Synthesis of .pi.-deficient **pyrimidines** as leishmanicides

AUTHOR(S): Ram, Vishnu J.

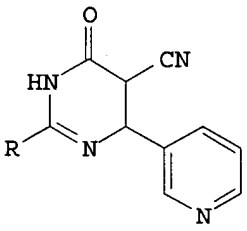
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, India

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1991), 324(11), 837-9
CODEN: ARPMAS; ISSN: 0365-6233

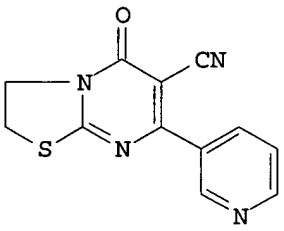
DOCUMENT TYPE: Journal

LANGUAGE: English

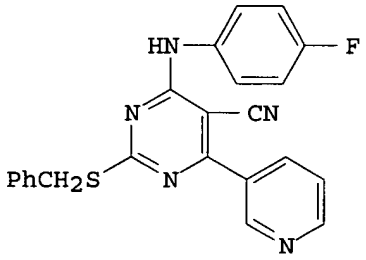
GI



II



III

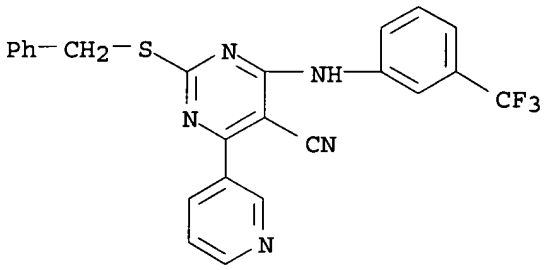


IV

AB 5-**Cyano**-6-(3-**pyridyl**)-2-thiouracil (I) was prepd. from 3-**pyridinecarboxaldehyde**, thiourea, and Et cyanoacetate.

Alkylation of I with mono- and dihaloalkanes under different conditions, gave alkylated derivs. e.g. II (R = MeS, PhCH2S) and III. Halogenation of II (R = PhCH2S) with POCl3 followed by nucleophilic substitution with amines gave the corresponding amines, e.g. IV. Fusion of II (R = MeS) with arom. and heterocyclic amines at 160.degree. gave the substitution products e.g. II (R = 4-methylpiperazino). Some of the compds. were screened for antileishmanial activity but only one of them IV demonstrated very significant activity.

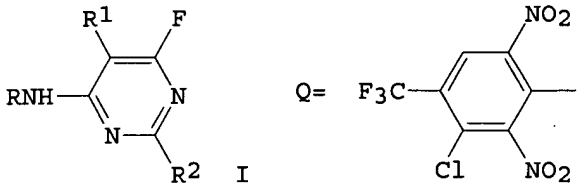
IT **138429-74-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 138429-74-0 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-[(phenylmethyl)thio]-4-(3-pyridinyl)-6-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 86 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:6576 CAPLUS
DOCUMENT NUMBER: 116:6576
TITLE: Preparation of N-(2,6-dinitro-3-chloro-4-trifluoromethylphenyl)-4-**amino**-6-fluoropyrimidines as agrochemical fungicides
INVENTOR(S): Zondler, Helmut; Meyer, Alfred; Riebli, Peter; Hubele, Adolf
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 445074	A1	19910904	EP 1991-810111	19910220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2036976	AA	19910828	CA 1991-2036976	19910225
JP 04211668	A2	19920803	JP 1991-53294	19910225
BR 9100774	A	19911029	BR 1991-774	19910226

PRIORITY APPLN. INFO.: CH 1990-628 19900227
OTHER SOURCE(S): MARPAT 116:6576
GI

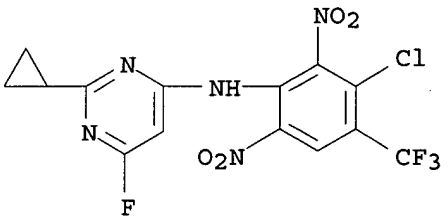


AB The title compds. (I; R = substituted Ph group Q; R1, R2 = H, alkyl, cyclopropyl) (II) were prepd. Thus, I (R = H, R1 = R2 = Et) was condensed with QCl to give II (R1 = R2 = Et) which gave 90-100% control of Cercospora arachidicola on peanut plants when sprayed at 0.006% 48 h prior to inoculation.

IT **137783-43-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agrochem. fungicide)

RN 137783-43-8 CAPLUS

CN 4-Pyrimidinamine, N-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]-2-cyclopropyl-6-fluoro- (9CI) (CA INDEX NAME)



L6 ANSWER 87 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:482150 CAPLUS

DOCUMENT NUMBER: 115:82150

TITLE: Spectrally sensitized silver halide photographic material containing bisaminopyrimidine derivative

INVENTOR(S): Takei, Haruo; Ikeda, Tadashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03015042	A2	19910123	JP 1989-150264	19890613

PRIORITY APPLN. INFO.: JP 1989-150264 19890613

GI For diagram(s), see printed CA Issue.

AB A photog. material contains .gtoreq.1 spectral sensitizer(s) of the formula I(R, R1 = (substituted)alkyl; A = naphtho[2, 1-d]thiazole, naphtho[1,2-d]thiazole, naphtho[2,3-d]thiazole,naphtho[2,1-d]selenazole, naphtho[1,2-d]selenazole, naphtho[2,3-d]serenazole; B = benzoselenazole, naphtho[2,1-d]thiazole, naphtho[1,2-d]thiazole, naphtho[2,3-d]thiazole; X = anion; m = 1, but when intramol. salt is formed, m = 0), and .gtoreq.1

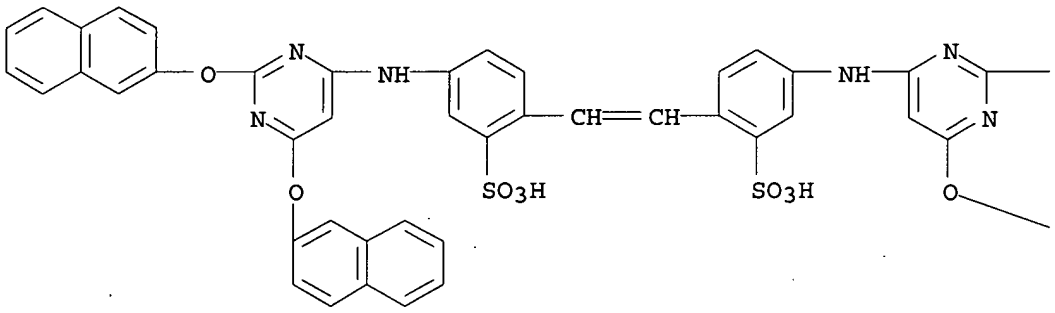
compd. of the formula II (R, R1-3 = H, lower alkyl, lower alkoxy, halo, OH, aryl, aryloxy, mercapto, arylthio, lower alkylthio, **amino**, lower alkylamino, arylamino, heterocyclylamino, acylamino, heterocyclic group; heterocyclyloxy, heterocyclylthio; Z = phenylene or phenylene-contg. linkage; .gtoreq.1 of R, R1 -3 and Z must contain sulfo or its salt). It has good sensitivity at 620-660 nm and an excellent storage stability. Thus, in prepg. a photog. film for laser beam image recording, sensitizer III and 4,4'-bis{[2-(benzothiazolyl-2-thio)-6-(2-hydroxyethyl)**pyrimidine**-4-yl]**amino**}stylbene-2,2'-disulfonicacid disodium salt were added to a chem. sensitized Ag(Cl,Br,I) emulsion.

IT **113952-54-8**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. emulsion contg.)

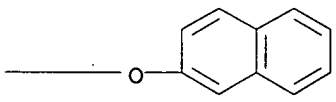
RN 113952-54-8 CAPLUS

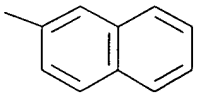
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B





L6 ANSWER 88 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:185568 CAPLUS
DOCUMENT NUMBER: 114:185568
TITLE: Preparation of anti-inflammatory 4-(heterocyclylamino)phenol derivatives

09/ 922,874

INVENTOR(S): Bantick, John Raymond; Hardern, David Norman; Appleton, Richard Anthony; Dixon, John; Wilkinson, David John
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9014338	A1	19901129	WO 1990-GB762	19900517
W: AU, FI, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9056682	A1	19901218	AU 1990-56682	19900517
AU 630196	B2	19921022		
ZA 9003802	A	19910130	ZA 1990-3802	19900517
EP 425650	A1	19910508	EP 1990-908298	19900517
EP 425650	B1	19950809		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 06502384	T2	19940317	JP 1990-507734	19900517
JP 07116155	B4	19951213		
ES 2077066	T3	19951116	ES 1990-908298	19900517
RU 2049779	C1	19951210	RU 1990-4894663	19900517
CA 2017169	AA	19901120	CA 1990-2017169	19900518
HU 54119	A2	19910128	HU 1990-3094	19900518
HU 206323	B	19921028		
DD 300544	A5	19920617	DD 1990-340830	19900518
PL 164432	B1	19940729	PL 1990-285248	19900518
PL 164480	B1	19940831	PL 1990-289487	19900518
IL 94433	A1	19950315	IL 1990-94433	19900518
CZ 280637	B6	19960313	CZ 1990-2444	19900518
CN 1047497	A	19901205	CN 1990-103739	19900519
RO 105958	B1	19930130	RO 1990-145922	19900912
NO 9100198	A	19910312	NO 1991-198	19910117
US 5428044	A	19950627	US 1993-138375	19931015

PRIORITY APPLN. INFO.:
GB 1989-11654 A 19890520
GB 1989-11655 A 19890520
GB 1990-3044 A 19900210
WO 1990-GB762 A 19900517
US 1991-634182 B1 19910301
US 1992-978041 B1 19921118

OTHER SOURCE(S): MARPAT 114:185568
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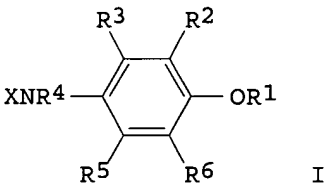


I

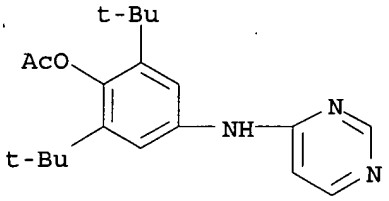
AB The title compds. [I; R1 = C(O)YZ, SO2R10; Y = single bond, O, NH, alkylimino, CO; Z = H, alkyl, alkyl substituted by .ltoreq.1 substituents selected from OH, alkoxy, acyloxy, CO2H, alkoxycarbonyl, (un)substituted CONH2 or NH2, heterocyclyl, (un)substituted aryl, etc.; R10 = alkyl; R2, R3, R5, R6 = H, alkyl, alkoxy, halo; R4 = H, alkyl; X = (un)substituted

heterocyclyl] are prepd. as antiinflammatories (no data). Thus, acetylation of 2,6-dimethyl-4-nitrophenol with AcCl in CH2Cl2 contg. Et3N followed by hydrogenation over PtO2 in EtOH gave 4-**amino**-2,6-dimethylphenyl acetate which was refluxed with 3-**amino**-4,5-dihydro-1-**phenyl**-1H-pyrazole in PhMe contg. 4-MeC6H4SO3H for 8 h to give 4-(4,5-dihydro-1-**phenyl**-1H-pyrazol-3-yl) **amino**-2,6-dimethylphenyl acetate. A total of 117 I contg. heterocycles, i.e., pyrazole, benzimidazole, quinoline, **pyrimidine**, pyrazine, oxazole, 1,2,3-triazole, **pyridazine**, imidazole, 1,2,4-thiadiazole, thiophene, isoxazole, 1,2,4-triazine, and 1,3,4-thiadiazole, were prepd.

IT **133356-05-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiinflammatory)

RN 133356-05-5 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(4-pyrimidinylamino)-, acetate (ester) (9CI) (CA INDEX NAME)



L6 ANSWER 89 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:164274 CAPLUS

DOCUMENT NUMBER: 114:164274

TITLE: Preparation of 4-(substituted **amino**)-**pyrimidinium** salts as cardiovascular agents

INVENTOR(S): Hargreaves, Rodney Brian; Marshall, Paul William; McLoughlin, Bernard Joseph; Mills, Stuart Dennett

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK

SOURCE: Brit. UK Pat. Appl., 77 pp.
CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

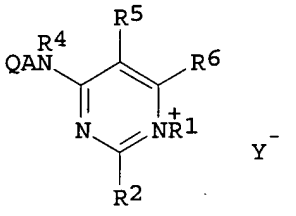
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2230527	A1	19901024	GB 1990-7964	19900409
GB 2230527	B2	19930505		
ZA 9002753	A	19901228	ZA 1990-2753	19900410
IL 94062	A1	19951127	IL 1990-94062	19900411
CA 2014457	AA	19901021	CA 1990-2014457	19900412
WO 9012790	A1	19901101	WO 1990-GB595	19900419
W: AU, BB, BG, FI, HU, JP, KR, LK, MC, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9054354	A1	19901116	AU 1990-54354	19900419
AU 635260	B2	19930318		
EP 422178	A1	19910417	EP 1990-906289	19900419
EP 422178	B1	19941005		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
HU 56080	A2	19910729	HU 1990-3555	19900419
HU 209586	B	19940829		

JP 03505741	T2	19911212	JP 1990-506034	19900419
JP 2528218	B2	19960828		
DD 297406	A5	19920109	DD 1990-339897	19900419
ES 2064727	T3	19950201	ES 1990-906289	19900419
RU 2108329	C1	19980410	RU 1990-4894489	19900419
US 5223505	A	19930629	US 1990-513304	19900420
PL 165502	B1	19941230	PL 1990-284871	19900420
PL 165917	B1	19950331	PL 1990-301231	19900420
CN 1047080	A	19901121	CN 1990-103931	19900421
CN 1024793	B	19940601		
BR 9005295	A	19920421	BR 1990-5295	19901019
NO 9005519	A	19910220	NO 1990-5519	19901220
NO 177054	B	19950403		
FI 95377	B	19951013	FI 1990-6307	19901220
FI 95377	C	19960125		

PRIORITY APPLN. INFO.: GB 1989-9054 A 19890421
GB 1989-10548 A 19890508
WO 1990-GB595 A 19900419

OTHER SOURCE(S): MARPAT 114:164274

GI



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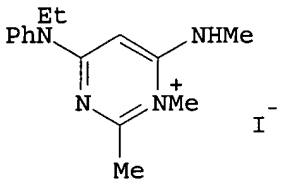


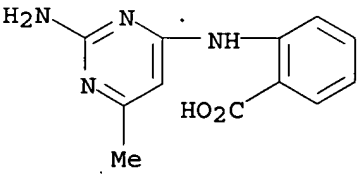
II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl(alkyl), **phenyl**(alkyl), 1 of R2, R6 = **amino**, **pyrrolidino**, piperidino, morpholino, the other = H, (alkoxy)alkyl, **phenyl**(alkyl), cycloalkyl(alkyl), alkenyl; R4 = H, cycloalkylalkyl, alkyl, alkenyl, alkynyl, phenylalkyl; or R4 = (substituted) alkylene or alkenylene bound to QA; R5 = H, alkyl, alkenyl; R5R6 = alkylene, atoms to complete a benzene ring; A = bond, (oxy)alkylene; Q = **pyridyl**, furyl, **thienyl**, Ph; Y = physiol. acceptable cation], were prepd. Thus, a mixt. of 4-chloro-2-methyl-6-methylaminopyrimidine and PhNHEt were heated at 160.degree. for 3 h to give 2-methyl-6-methylamino-4-N-ethylanilinopyrimidine.HCl. The free base of the latter was refluxed with MeI in dioxane to give title compd. II which in rats had an ED30 of 0.3 mg/kg i.v. for bradycardic activity.

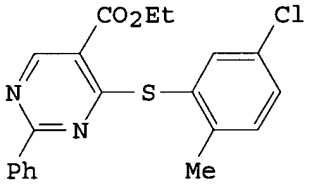
IT **13208-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for bradycardic)

RN 13208-07-6 CAPLUS

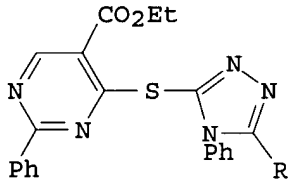
CN Benzoic acid, 2-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



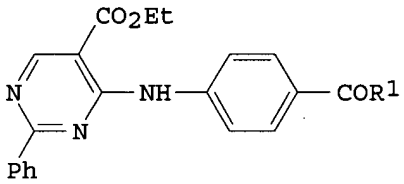
L6 ANSWER 90 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:101905 CAPLUS
DOCUMENT NUMBER: 114:101905
TITLE: Synthesis of certain mercapto- and aminopyrimidine derivatives as potential antimicrobial agents
AUTHOR(S): El-Kerdawy, M. M.; Eisa, H. M.; El-Emam, A. A.; Massoud, M. A.; Nasr, M. N.
CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
SOURCE: Archives of Pharmacal Research (1990), 13(2), 142-6
CODEN: APHRDQ; ISSN: 0253-6269
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



II



III

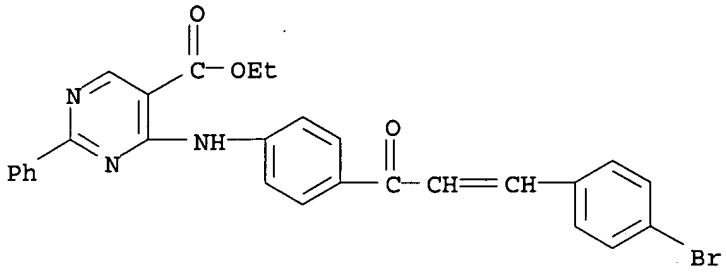


IV

AB Reaction of Et 4-chloro-2-phenylpyrimidine-4-carboxylate (I) with 5-chloro-2-methylthiophenol or 3-aryl-4-**phenyl**-1,2,4-triazole-5-thiols yielded the corresponding thioethers II and III (R = 4-**pyridyl**, 2-**thienyl**). Careful alk. hydrolysis of II yielded the corresponding carboxylic acid. Reaction of I with p-aminoacetophenone yielded compd. IV (R1 = Me), which reacted with arom. aldehydes to afford the .alpha.,.beta.-unsatd. ketones IV (R1 = CH:CHC6H4R2; R2 = 2-Cl, 4-Cl, 3-Br, 4-Br, 4-NO2) (V). Condensation of I with malononitrile or phenylhydrazine yielded the corresponding 2-**amino**-3-cyanopyridines or the 2-pyrazolines, resp. Seven representative compds. were tested for their in vitro antimicrobial activity against some pathogenic bacteria and fungi.

IT **132165-77-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activities of)

RN 132165-77-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[4-[3-(4-bromophenyl)-1-oxo-2-propenyl]phenyl]amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



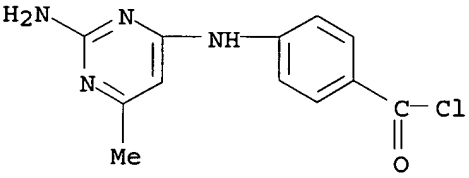
L6 ANSWER 91 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:81760 CAPLUS
DOCUMENT NUMBER: 114:81760
TITLE: Novel piperazinyl-substituted **pyrimidines** as possible antihypertensives and vasodilators
AUTHOR(S): Badran, M. M.; Youssef, K.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1990), 31(1-4), 407-15
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The prepn. of 3 series of title compds. I (Z = bond, R = 3-EtO, 4-Cl, 2-Cl, 3-CF3; Z = CH2, R = H), II (Z = bond, R = 2-MeO, 4-Cl, 2-Cl, 3-CF3; Z = CH2, R = H) and III (Z = bond, R = 2-Cl, 4-Cl, 2-EtO; Z = CH2, R = H) from 2-**amino**-4-chloro-6-methylpyrimidine is reported.

IT **131999-86-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of, with arylpiperazines)

RN 131999-86-5 CAPLUS
CN Benzoyl chloride, 4-[(2-amino-6-methyl-4-pyrimidinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

L6 ANSWER 92 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:64114 CAPLUS
DOCUMENT NUMBER: 114:64114

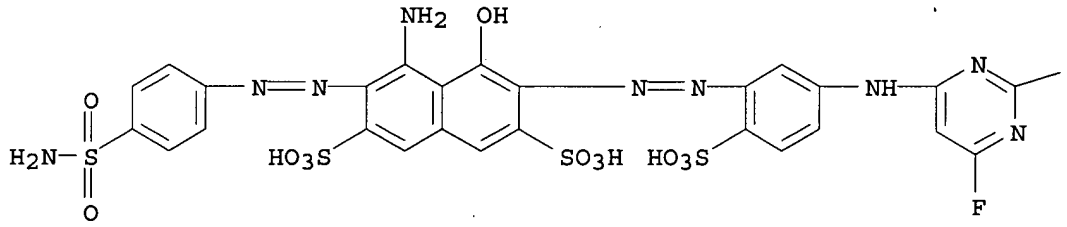
09/ 922,874

TITLE: Synthesis and application of reactive dyes with heterocyclic reactive systems
AUTHOR(S): Lehr, F.
CORPORATE SOURCE: Chem. Div., Sandoz Ltd., Basel, CH-4002, Switz.
SOURCE: Dyes and Pigments (1990), 14(4), 239-63, 2 plates
CODEN: DYPIDX; ISSN: 0143-7208
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reactive dyes based on triazine or **pyrimidine** with chromophoric substituents were synthesized and used for dyeing cotton according to a uniform dyeing process. Parameters such as the most favorable dyeing temps. and exhaust and fixing values were detd. The stabilities of bonding between dyes and fiber under both acidic and alk. conditions were estd. Among the triazine dyes, the highest av. relative fixation values (70%) were exhibited by the 2-aryl and 2-heteroaryl derivs. Among the reactive dyes based on **pyrimidine**, the 5-**cyano** -2,4-dichloro derivs. had an even higher level with 73%, while the 2,4-difluoropyrimidines had the highest level with 84%. The 2,4-difluoropyrimidine dyes also had the best overall hydrolysis fastness properties, showing that the dye-fiber bonds are stable under both acid and alk. conditions.

IT **131670-37-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dye fixation of, on cotton, structure effect on)
RN 131670-37-6 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 4-amino-3-[[4-(aminosulfonyl)phenyl]azo]-6-[[5-[(2,6-difluoro-4-pyrimidinyl)amino]-2-sulfophenyl]azo]-5-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

F

L6 ANSWER 93 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:62037 CAPLUS
DOCUMENT NUMBER: 114:62037
TITLE: Synthesis of some Mannich bases of 2- and 4-**amino**- and 2,4-diamino-6-methylpyrimidines as potential biodynamic agents
AUTHOR(S): Ghoneim, Khadiga M.; El-Telbany, Farag A.; Youssef, Khairia
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1989), Volume Date 1987, 30(6), 295-304
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English

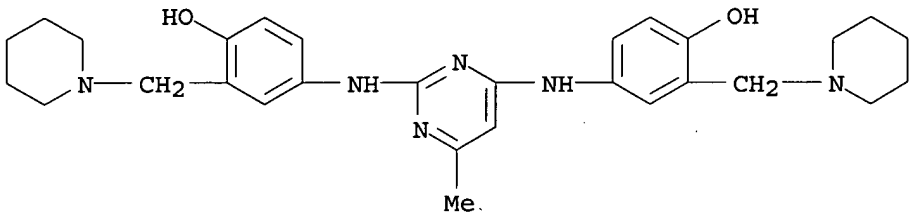
09/ 922,874

AB The synthesis of certain Mannich bases of 2- and 4-**amino**- and 2,4-diamino-6-methylpyrimidines and their antimicrobial and antileukemic activities are described. Likewise, application of the Mannich conditions to 2-**amino**-4-(p-hydroxyanilino)-, 4-**amino**-2-(p-hydroxyanilino)-, and 2-**amino**-4-(p-acetylanilino)-6-methylprimidines using piperazine as the secondary amine afforded the corresponding bis-Mannich bases.

IT **131554-46-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anitleukemia activity of)

RN 131554-46-6 CAPLUS

CN Phenol, 4,4'-[(6-methyl-2,4-pyrimidinediyl)diimino]bis[2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

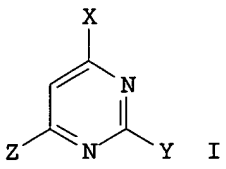


L6 ANSWER 94 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:612003 CAPLUS
DOCUMENT NUMBER: 113:212003
TITLE: Preparation of arylenediamino-substituted **pyrimidines** useful as antioxidants and antiozonants for rubber
INVENTOR(S): Wheeler, Edward Lockwood; Franko, Robert John; Barrows, Franklin Herbert
PATENT ASSIGNEE(S): Uniroyal Chemical Co., Inc., USA
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 363002	A1	19900411	EP 1989-308739	19890830
EP 363002	B1	19940622		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4946956	A	19900807	US 1988-247143	19880921
CA 1339846	A1	19980428	CA 1989-609896	19890830
BR 8904702	A	19900501	BR 1989-4702	19890919
KR 120735	B1	19971030	KR 1989-13422	19890919
US 5068271	A	19911126	US 1990-559768	19900730

PRIORITY APPLN. INFO.: US 1988-247143 A 19880921
OTHER SOURCE(S): CASREACT 113:212003; MARPAT 113:212003
GI

09/ 922,874



I

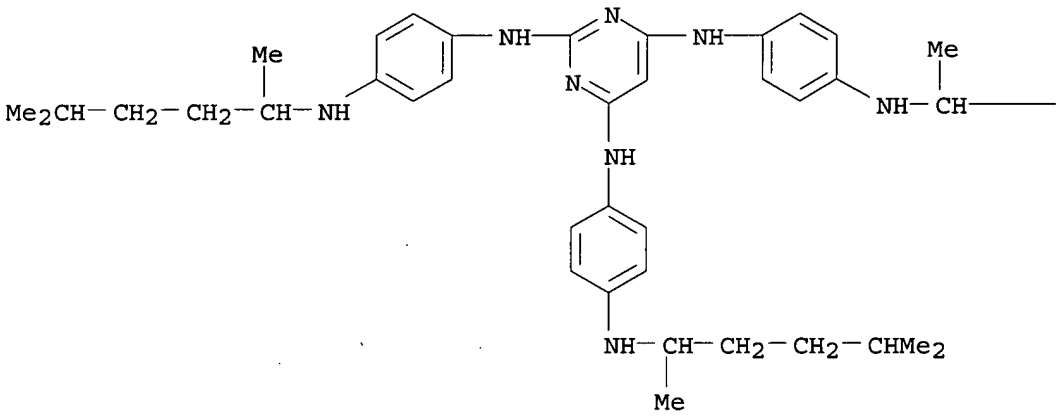
AB Title compds. I (X = substituted phenylenediamino; Y = X, H, C1-4 alkyl, HS, HO, C1-12 alkoxy or alkylthio, heterocyclyl, etc.; Z = X or Y, substituted **pyrimidinyl**) are prepd. 4-H2NC6H4NHCHMe(CH2)2CHMe2 in Me2CHCH2OH was refluxed with 2,4,6-trichloropyrimidine for 40 h to give I [X = Y = Z = 4-[Me2CH(CH2)2CHMeNH]C6H4NH] (II). In a dynamic ozone test continuing flexing II resulted in very slight cracks (1519 kilocycles).

IT **130186-15-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antioxidant and antiozonant for rubber)

RN 130186-15-1 CAPLUS

CN 2,4,6-Pyrimidinetriamine, N,N',N''-tris[4-[(1,4-dimethylpentyl)amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— CH_2— CH_2— $CHMe_2$

L6 ANSWER 95 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:440293 CAPLUS

DOCUMENT NUMBER: 113:40293

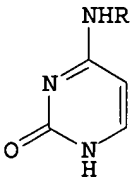
TITLE: Stabilization of even-electron ions in 4-**amino**-substituted cytosines. Appearance of the strong ortho effect between an aryl substituent and the **pyrimidinyl** ring

AUTHOR(S): Plaziak, Adam S.; Spychala, Jaroslaw; Golankiewicz, Krzysztof

CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.

09/ 922,874

SOURCE: Organic Mass Spectrometry (1989), 24(12), 1045-50
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



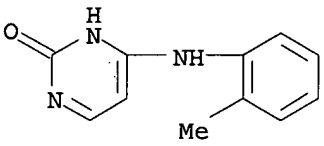
I

AB The mass fragmentation of N4-arylcytosine derivs. I (R = Ph, MeC6H4, ClC6H4, CH2Ph, 2-**naphthyl**) was investigated and it was found that the ortho effect is mainly responsible for the strong stabilization of even-electron ions formed during fragmentation. The ortho effect in this class of compds. completely eliminates other possible fragmentation patterns. This effect disappears when the aryl substituent is sepd. from the 4-**amino** group of the cytosine moiety by a methylene group.

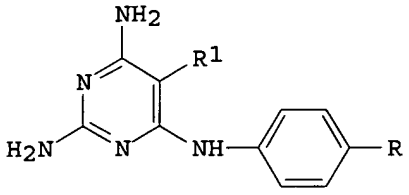
IT **127970-29-0**
RL: PRP (Properties)
(mass spectrum of)

RN 127970-29-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 96 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:178847 CAPLUS
DOCUMENT NUMBER: 112:178847
TITLE: **Pyrimidine** antagonists. Part III. Synthesis of some 2,4-diamino-5-substituted-phenylazo-6-substituted-aminopyrimidines and evaluation of their anticancer property against leukemia P388
AUTHOR(S): Debi, Maitreyee
CORPORATE SOURCE: Coll. Sci., Univ. Calcutta, Calcutta, 700 009, India
SOURCE: Journal of the Indian Chemical Society (1989), 66(6), 418-21
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:178847
GI



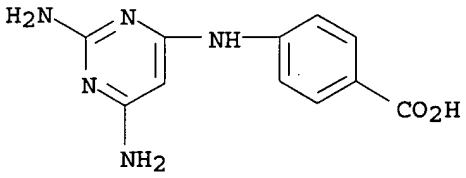
I

AB The reaction of **pyrimidines** I (R = OEt, CO2H, SONH2, SO3H, NO2, Br, Cl; R1 = H) with p-R2C6H4N2+ Cl- (R2 = Me, SO2NH2, SO3H, NO2) gave 10-99% 28 I (R1 = p-R2C6H4N:N).

IT **115782-10-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diazotized anilines)

RN 115782-10-0 CAPLUS

CN Benzoic acid, 4-[(2,6-diamino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 97 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:178044 CAPLUS

DOCUMENT NUMBER: 112:178044

TITLE: Synthesis and antifilarial activity of N1-(4-substituted **amino**-6-trifluoromethyl-2-**pyrimidinyl**)-N3-(p-halophenyl)guanidines

AUTHOR(S): Yu, Xiong; Chen, Baozhen; Duan, Wenhu; Su, Zengshuan

CORPORATE SOURCE: Shanghai Inst. Pharm. Ind., Shanghai, Peop. Rep. China

SOURCE: Zhongguo Yiyao Gongye Zazhi (1989), 20(7), 313-18
CODEN: ZYGZEA; ISSN: 1001-8255

DOCUMENT TYPE: Journal

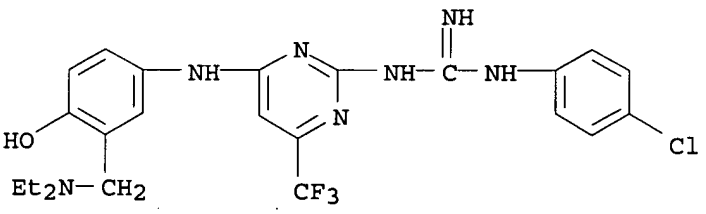
LANGUAGE: Chinese

AB Twenty four title compds. were prepd. Their micro- and/or macrofilaricidal activities were tested for Litomosoides carinii.

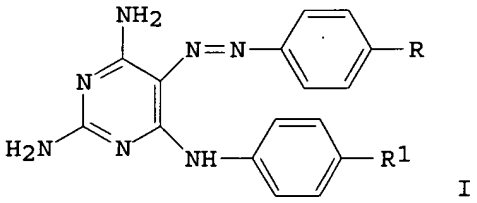
IT **86177-17-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antifilarial activity of)

RN 86177-17-5 CAPLUS

CN Guanidine, N-(4-chlorophenyl)-N'-[4-[[3-[(diethylamino)methyl]-4-hydroxyphenyl]amino]-6-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

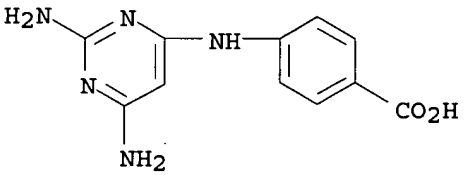


L6 ANSWER 98 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:158193 CAPLUS
DOCUMENT NUMBER: 112:158193
TITLE: **Pyrimidine** antagonists, Part-II. Synthesis of some 2,4-diamino-5-arylazo-6-(substituted-**amino**)**pyrimidines** and studies of their anticancer activity
AUTHOR(S): Debi, Maitreyee
CORPORATE SOURCE: Coll. Sci. Technol., Calcutta Univ., Calcutta, 700 009, India
SOURCE: Journal of the Indian Chemical Society (1989), 66(7), 489-92
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:158193
GI



I

AB The title **pyrimidines** I (R = Br, iodo, OEt, OMe; R1 = OEt, CO2H, SO2NH2, SO3H, NO2, Br, Cl) were prepd. in 25-99% yields by coupling of trisubstituted **pyrimidines** with arenediazonium salts. I (R = Br, R1 = CO2H, SO3H; R = OMe, R1 = OEt, SO3H; R = OEt, R1 = Cl) were tested for antitumor activity against murine lymphocytic leukemia P-388 and were inactive.
IT **115782-10-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(azo coupling of, with phenyldiazonium salts)
RN 115782-10-0 CAPLUS
CN Benzoic acid, 4-[(2,6-diamino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 99 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:55912 CAPLUS
DOCUMENT NUMBER: 112:55912
TITLE: Diuretic and antihypertensive substituted-5-**pyrimidinesulfonylureas**
INVENTOR(S): Dolak, Terence M.; Lee, Sung J.; Bullington, James L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

09/ 922,874

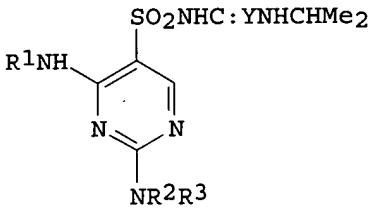
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4853389	A	19890801	US 1988-186499	19880426
US 4914203	A	19900403	US 1989-333476	19890405

PRIORITY APPLN. INFO.: CA 1987-542916 19870724
US 1988-186499 19880426

OTHER SOURCE(S): MARPAT 112:55912

GI



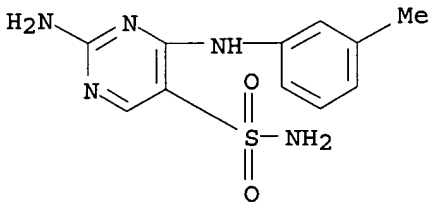
I

AB Title compds. (R1 = C3-6 alkyl, alkylene, C4-10 cycloalkyl, bicycloalkyl, tricycloalkyl, alicycloalkyl, (un)substituted Ph; R2, R3 = H, Me; Y = O, S) or a pharmaceutically acceptable salt thereof, are prepd. To N-[[(1-methylethyl)**amino**]carbonyl]-4-(methylsulfinyl)-2-**amino**-5-**pyridinesulfonamide** (prepn. given) in EtOH was added exo-2-aminonorbornane to give 48% exo-I [R1 = bicyclo[2.2.1]hept-2-yl, R2, R3 = H, Y = O] (II). In rat II had a dose-dependent diuretic-natriuretic response at 1.88-60 mg/kg, and as an antihypertensive this compd. shows activity in spontaneously-hypertensive rat and the DOCA-hypertensive rat.

IT **124788-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and addn. of, to iso-Pr isocyanate)

RN 124788-50-7 CAPLUS

CN 5-Pyrimidinesulfonamide, 2-amino-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 100 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:20961 CAPLUS

DOCUMENT NUMBER: 112:20961

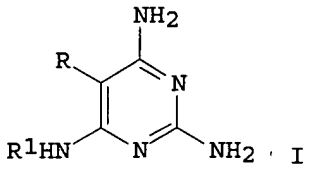
TITLE: Synthesis of some 2,4-diamino-6-substituted-**amino**-5-arylpyrimidines

AUTHOR(S): Shishoo, C. J.; Devani, M. B.; Jain, K. S.; Bhadti, V. S.; Shishoo, S. M.; Pathak, U. S.; Ananthan, S.; Rathod, I. S.

CORPORATE SOURCE: Dep. Pharm. Chem., L. M. Coll. Pharm., Ahmedabad, 380 009, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(1), 42-7

CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:20961
GI



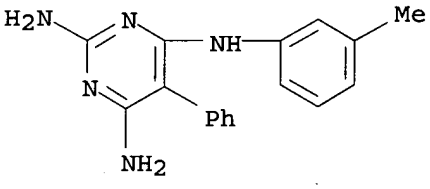
I

AB Condensation reaction of .alpha.-cyanoketene S,N-acetals with guanidine gave 25 5-aryl, -arylthio and -arylsulfonyl-2,4-diamino-6-substituted-aminopyrimidines I as potential antimalarial compds. Of the 13 diaminopyrimidines tested for antimalarial activity only one compd. (R = 4-ClC6H4SO2, R2 = 4-MeOC6H4) exhibits significant activity in in vitro screening tests against Indochina W-2 clone of P. falciparum. 2,4-Diaminopyrimidines I (R = 4-ClC6H4, R1 = 2-MeOC6H4; R = 4-MeC6H4S, R1 = 2-MeC6H4) have shown broad spectrum antibacterial activity.

IT **124392-38-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 124392-38-7 CAPLUS

CN 2,4,6-Pyrimidinetriamine, N4-(3-methylphenyl)-5-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 101 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:553832 CAPLUS
DOCUMENT NUMBER: 111:153832
TITLE: Preparation of 4-anilino-6-fluoro-2-alkylthiopyrimidine derivatives as agricultural and horticultural fungicides

INVENTOR(S): Tanaka, Eiichi; Hayashi, Seiichi; Okuma, Noriko; Nakagawa, Taizo
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan

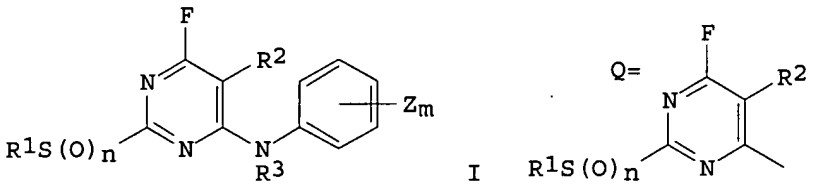
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01052761	A2	19890228	JP 1987-208212	19870824

PRIORITY APPLN. INFO.: JP 1987-208212 19870824
OTHER SOURCE(S): MARPAT 111:153832

09/ 922,874

GI



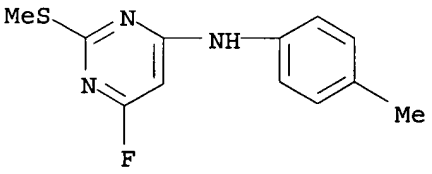
I

AB The title compds. [I; R1 = C1-3 alkyl; R2 = H, C1-3 alkyl; R3 = H, lower alkyl, Q; Z = H, halo, lower alkyl, lower alkenyl, lower alkoxy, CF3, (alkyl)**amino**; n, m = 0-12; when m = 2, Z may represent different groups] were prepd. as agricultural and horticultural fungicides. PhNH2 and Et3N were added to a soln. of 4,6-difluoro-2-methylthiopyrimidine in AcNMe2 and the mixt. was heated 6 h at 80-100.degree. to give 59% I (R1 = Me, R2 = R3 = Zm = H, n = 0). I (R1 = R2 = Me, R3 = Zm = H, n = 0) (II) at 125 ppm as a dild. wettable powder completely prevented young leaves of kidney beans from infection with benzimidazole-resistant strain of Botrytis cinerea. A powder contg. II 46, talc 46, and clay 49 parts was formulated.

IT **122814-81-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as plant fungicide)

RN 122814-81-7 CAPLUS

CN 4-Pyrimidinamine, 6-fluoro-N-(4-methylphenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



L6 ANSWER 102 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:515128 CAPLUS

DOCUMENT NUMBER: 111:115128

TITLE: Azolopyrimidines and **pyrimidoquinazolines** from 4-chloropyrimidines

AUTHOR(S): El-Reedy, A. M.; Ali, A. S.; Ayyad, A. O.

CORPORATE SOURCE: Fac. Sci., Univ. Cairo, Giza, Egypt

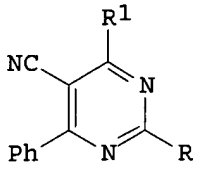
SOURCE: Journal of Heterocyclic Chemistry (1989), 26(2), 313-16
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:115128

GI



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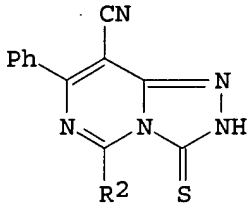

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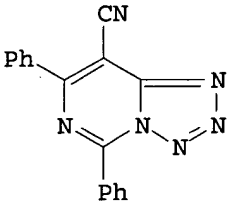

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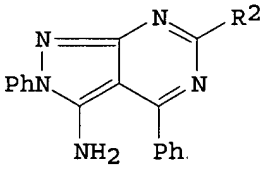


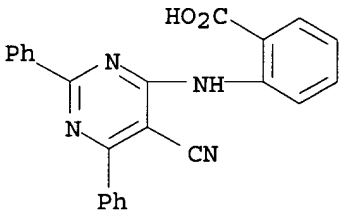
IV

AB 5-**Cyano**-3,4-dihydro-6-**phenyl**-2-substituted **pyrimidinones** reacted with phosphorus oxychloride to give the corresponding 4-chloropyrimidine derivs. I (R = Ph, NHPh, NHCH2Ph, R1 = Cl). Compds. I (R1 = Cl) reacted with aniline and hydrazine to yield I (R = Ph, NHPh, NHCH2Ph; R1 = NHPh, NHNH2). The hydrazino derivs. could be converted into the triazolo- and tetrazolopyrimidines II (R2 = Ph, NHCH2Ph) and III by the action of CS2 and nitrous acid, resp. The reaction of I (R = NHPh, NHCH2Ph; R1 = Cl) with phenylhydrazine afforded directly the 5-**amino**-4,6-diphenyl-6H-2-substituted pyrazolopyrimidines IV (same R2). The 4-chloro deriv. I (R = Ph, R1 = Cl) reacted with anthranilic acid to form the 5-**cyano** -2,4-diphenyl-6-(o-carboxyphenylamino)**pyrimidine**, which could be cyclized into the 4-**cyano**-1,3-diphenyl-10H-**pyrimido** [6,1-b]quinazolin-10-one by heating with acetic anhydride.

IT **122379-76-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

RN 122379-76-4 CAPLUS

CN Benzoic acid, 2-[(5-cyano-2,6-diphenyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 103 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:478021 CAPLUS

DOCUMENT NUMBER: 111:78021

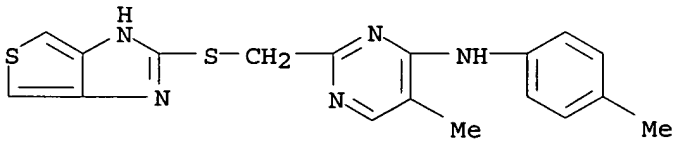
TITLE: Substituted **thienoimidazole** derivatives, process for their preparation, pharmaceutical compositions containing them and their use as gastric secretion inhibitors, gastric protecting agents, and as medicaments against intestinal inflammation

09/ 922,874

INVENTOR(S): Nimmesgern, Hildegard; Weidmann, Klaus; Lang, Hans Jochen; Rippel, Robert; Herling, Andreas W.
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 299389	A2	19890118	EP 1988-110991	19880709
EP 299389	A3	19900530		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3723327	A1	19890202	DE 1987-3723327	19870715
FI 8803342	A	19890116	FI 1988-3342	19880713
US 4956366	A	19900911	US 1988-218386	19880713
DK 8803937	A	19890116	DK 1988-3937	19880714
NO 8803145	A	19890116	NO 1988-3145	19880714
NO 167980	B	19910923		
NO 167980	C	19920102		
AU 8819032	A1	19890119	AU 1988-19032	19880714
AU 618540	B2	19920102		
JP 01031785	A2	19890202	JP 1988-173859	19880714
ZA 8805093	A	19890329	ZA 1988-5093	19880714
HU 201078	B	19900928	HU 1988-3709	19880715

PRIORITY APPLN. INFO.: DE 1987-3723327 19870715
OTHER SOURCE(S): CASREACT 111:78021; MARPAT 111:78021
GI For diagram(s), see printed CA Issue.
AB **Thienoimidazoles** I [A = Q, Q1, Q2; T = S, SO, SO2; R1, R2 = H, halo, **cyano**, NO2, CF3, alkyl, etc.; R1R2 = (CH2)n, CH:CHCH:CH, their O, S, SO, or SO2 analogs when A = Q, Q2; R3 = H, alkanoyl, alkylcarbamoyl, cleavable N-protective group; R4, R5 = H, alkyl; X = N, Y = CR6 or X = CR6, Y = N; R6 = H, halo, alkyl, CF3 aryl, etc.; Z = NR7R8, OR10, SR10; R7, R8 = H, alkyl, aryl, aralkyl, cycloalkyl; NR7R8 = azetidino, **pyrrolidino**, piperidino, (N-alkyl) piperazino, morpholino, (un)substituted with alkyl; R9 = H, halo, alkyl, alkoxy, PhCH2O, alkoxyalkyl; n = 3,4] and their physiol. tolerable salts, useful as stomach acid secretion inhibitors, stomach protectants, and drugs for treating intestinal inflammation (no data), were prepd. 2-(4-Morpholino-2-**pyrimidinylmethylsulfinyl**)-1H-**thieno**[3,4-d]imidazole Na salt was prepd. in 7 steps from MeOCH2C(:NH)NH2 and HCOCH2CO2Et Na salt in H2O at room temp.
IT **121242-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as stomach acid secretion inhibitor)
RN 121242-29-3 CAPLUS
CN 4-Pyrimidinamine, 5-methyl-N-(4-methylphenyl)-2-[(1H-thieno[3,4-d]imidazol-2-ylthio)methyl]- (9CI) (CA INDEX NAME)



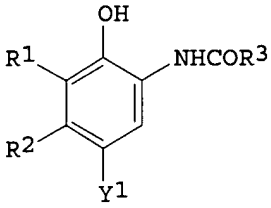
L6 ANSWER 104 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:467805 CAPLUS
DOCUMENT NUMBER: 111:67805

09/ 922,874

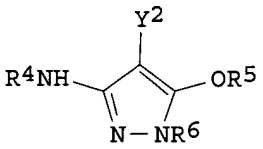
TITLE: Silver halide color photographic photosensitive materials
INVENTOR(S): Sakai, Nobuo; Sakai, Minoru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63250648	A2	19881018	JP 1987-85449	19870407
JP 2631466	B2	19970716		
US 5011764	A	19910430	US 1988-178937	19880407

PRIORITY APPLN. INFO.: JP 1987-85449 19870407
GI



I



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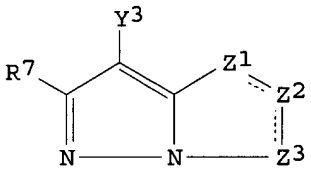

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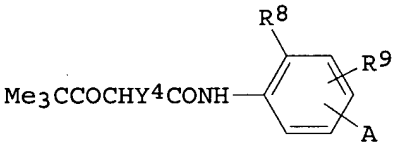
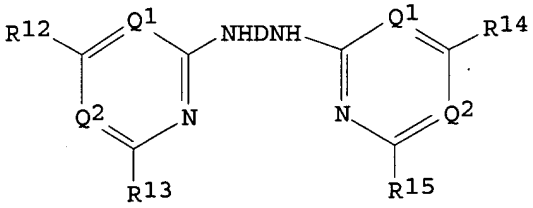


IV



V

AB The title color photog. materials contain (1) a dispersion of lipophilic particles obtained by emulsifying an soln. contg. .gtoreq.1 cyan coupler of the formula I (R1 = H, halo; R2 = alkyl, R3 = ballast group; Y1 = H, a group released during coupling reaction) and .gtoreq.1 (co)polymer having acid group-free structural repeating units which is insol. in water and sol. in an org. solvent in a red-sensitive emulsion layer, (2) .gtoreq.1 magenta coupler selected from II and III (R4, R6 = aryl; R5 = H, sulfonyl, acyl; R7 = H, substituent; Z1, Z2, Z3 = methyne, N, NH; Z1Z2 or Z2Z3 bond is a double bond and the other is a single bond; Y2, Y3 = Y1; III may be an oligomer) in a green-sensitive emulsion layer, (3) .gtoreq.1 yellow coupler of the formula IV (R8 = halo, alkoxy; R9 = H, R8; A = NHCOR10, NHSO2R10, SO2NHR10, CO2R10, SO2NR10R11; R10, R11 = alkyl; Y4 = Y1) in a blue-sensitive emulsion layer, and (4) .gtoreq.1 compd. of the formula V (D = arylene; R12, R13, R14, R15 = H, OH, alkoxy, aryloxy, halo, heterocyclyl, mercapto, alkylthio, arylthio, heterocyclylthio, **amino**, alkylamino, arylamino, heterocyclylamino, aryl, aralkylamino; one of Q1 and Q2 is N and the other is N or CH). The

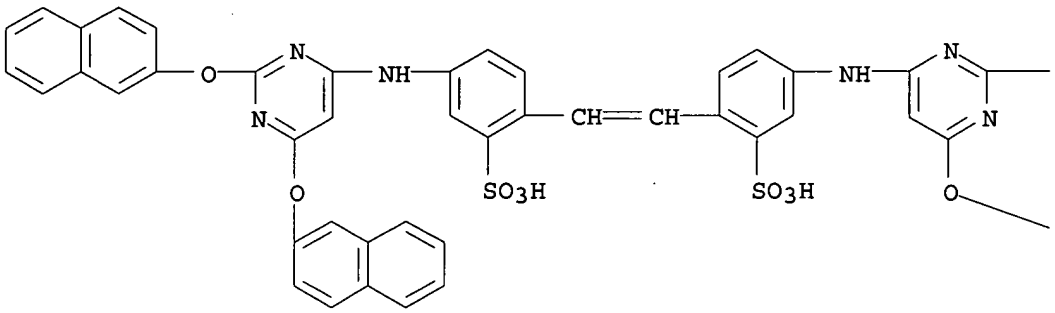
photog. materials show good coloration, and give images with good color balance and storage stability.

IT **113952-54-8**
RL: USES (Uses)
(color photog. UV absorber)

RN 113952-54-8 CAPLUS

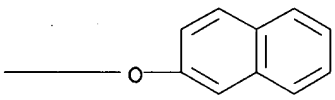
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

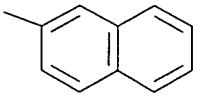
PAGE 1-A



●2 Na

PAGE 1-B

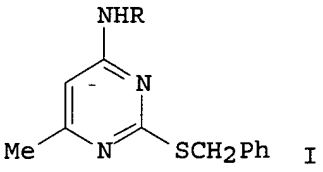




L6 ANSWER 105 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1989:423469 CAPLUS
DOCUMENT NUMBER:	111:23469
TITLE:	Synthesis of some novel 2-benzylthio-4-substituted **amino**-6-methylpyrimidines of expected antileukemic activity
AUTHOR(S):	Ghoneim, K. G.; El-Telbany, F. A.; El-Enany, M.; Youssef, K.
CORPORATE SOURCE:	Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE:	Egyptian Journal of Pharmaceutical Sciences (1988), 29(1-4), 169-78 CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE:	Journal
LANGUAGE:	English

OTHER SOURCE(S): CASREACT 111:23469
GI



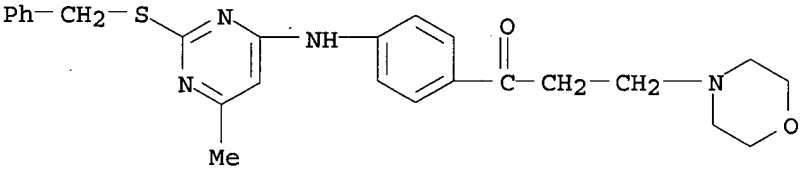
I

AB Several series of 2-benzylthio-4-substituted **amino** -6-methylpyrimidines I (R = (un)substituted Ph) have been synthesized by the condensation of 2-benzylthio-4-chloro-6-methylpyrimidine with RNH2. Reacting I (R = 4-C6H4COMe, 4-C6H4OH) with formaldehyde and some secondary amines yielded the expected Mannich bases I [R = 4-C6H4CO(CH2)2R1, 4,3-C6H3(OH)(CH2R1); R1 = **pyrrolidino**, piperidino, morpholino], resp. Addn. of I (R = 4-C6H4SO2NH2) to aryl isocyanates and isothiocyanates gave the corresponding sulfonylureas and thioureas I [R = 4-C6H4SO2NHC(X)NHR2; X = O, R2 = 4-C6H4Me, 4-C6H4Br; X = S, R2 = Ph, .alpha.-**naphthyl**]. Nine I were screened against selected bacteria and most of them showed a moderate activity against Bacillus subtilis and Neisseria.

IT **121180-71-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 121180-71-0 CAPLUS

CN 1-Propanone, 1-[4-[[6-methyl-2-[(phenylmethyl)thio]-4-pyrimidinyl]amino]phenyl]-3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 106 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:194643 CAPLUS
DOCUMENT NUMBER: 110:194643
TITLE: **Pyrimidinyl** group-containing yellow reactive azo dyes which can be used at low temperatures
INVENTOR(S): Tzikas, Athanassios; Burdeska, Kurt
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 298041	A2	19890104	EP 1988-810445	19880627
EP 298041	A3	19900822		
EP 298041	B1	19940608		

R: BE, CH, DE, ES, FR, GB, IT, LI

US 4900813	A	19900213	US 1988-210537	19880623
ES 2054865	T3	19940816	ES 1988-810445	19880627
JP 01024867	A2	19890126	JP 1988-162759	19880701
BR 8803273	A	19890131	BR 1988-3273	19880701
US 4975530	A	19901204	US 1989-441132	19891122

PRIORITY APPLN. INFO.: CH 1987-2510 19870702
US 1988-210537 19880623

OTHER SOURCE(S): MARPAT 110:194643

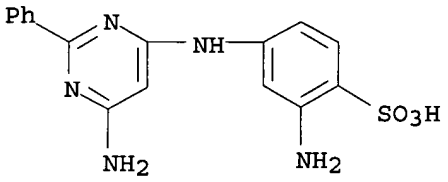
GI For diagram(s), see printed CA Issue.

AB The title reactive azo dyes I [A1, A2 = NR1R2; R1, R2 = H, (un)substituted C1-6 alkyl, (un)substituted aryl; D = diazo component; Q = (un)substituted Ph, (un)substituted **naphthyl**, (un)substituted arom. heterocyclic residue; such that >1 of A1, A2, and D contains a fiber-reactive group; R1 + R2 + N may form a heterocyclic substituent, useful for low-temp. dyeing or printing of cellulose-contg. fabrics, are prepd. 2-(4-Aminophenylsulfonyl)ethyl H sulfate was diazotized and coupled with 4,6-bis(2-sulfatoethylamino)-2-phenylpyrimidine forming I [A1 = A2 = NH(CH2)2OSO3H, D = 4-C6H4SO2(CH2)2OSO3H, Q = Ph], which dyed cellulose fibers in a fast golden-yellow shade.

IT **120439-68-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with diazotized **amino**
(chloroaminotriazinylamino)benzenesulfonic acid)

RN 120439-68-1 CAPLUS

CN Benzenesulfonic acid, 2-amino-4-[(6-amino-2-phenyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 107 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:116656 CAPLUS

DOCUMENT NUMBER: 110:116656

TITLE: **Pyrimidine** compounds for dyeing and printing fiber materials

INVENTOR(S): Morimitsu, Toshihiko; Omura, Takashi

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

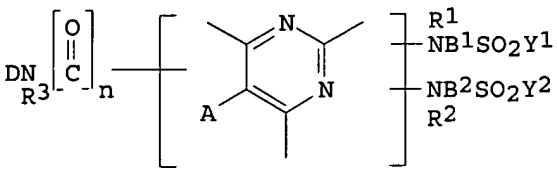
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63207860	A2	19880829	JP 1987-42224	19870224
JP 08026237	B4	19960313		

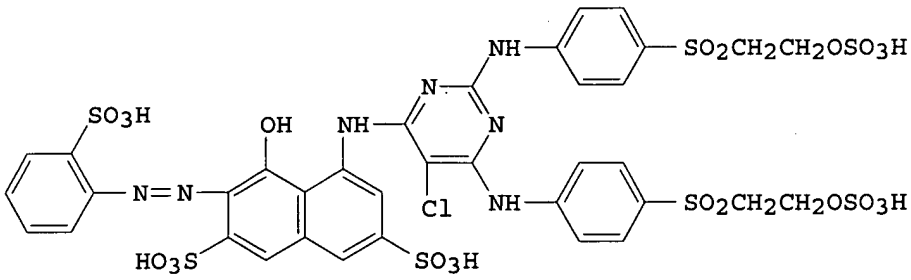
PRIORITY APPLN. INFO.: JP 1987-42224 19870224

OTHER SOURCE(S): MARPAT 110:116656

GI



I



II

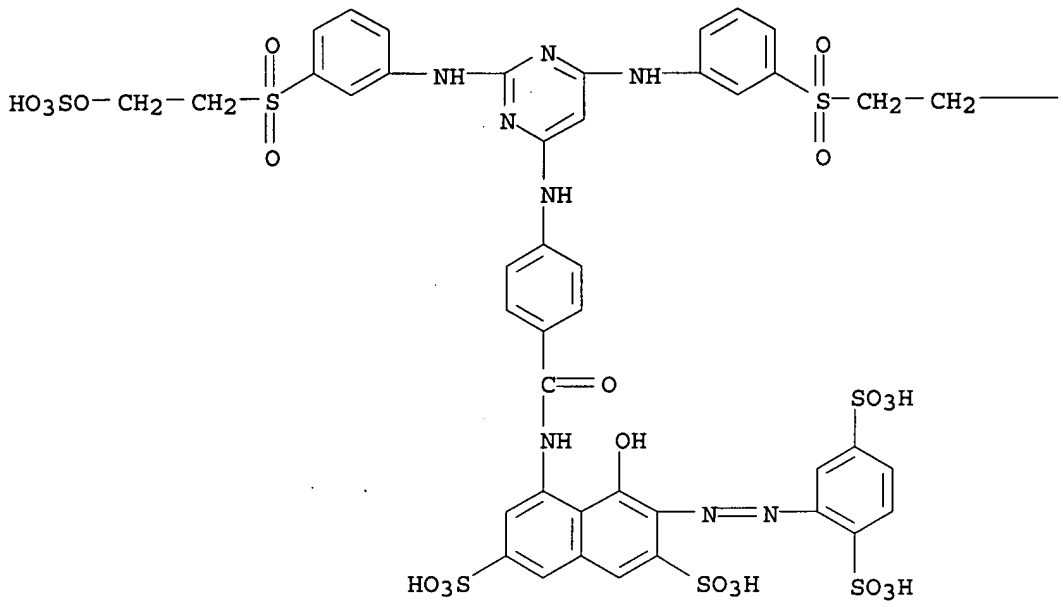
AB The title reactive dyes I [D = dye residue; n = 0.1; A = H, Cl, Br, Me, NO2, CN, carboxy, sulfo; R1-R3 = H, (un)substituted alkyl; B1, B2 = (un)substituted phenylene, naphthylene; Y1, Y2 = CH2CH2L, vinyl; L = alkali-removable group], useful for dyeing and printing cotton, are prepd.. 2,4,6-Trifluoro-5-chloropyrimidine was condensed with 1-**amino**-8-**hydroxy**-7-(o-sulfophenylazo)-3,6-naphthalenedisulfonic acid, p-H2NC6H4SO2CH2CH2OSO3H, and m-H2NC6H4SO2CH2CH2OSO3H, and salted to give II (Na salt), .lambda.max 540 nm (fabric color not specified).

IT **118521-58-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(manuf. of, as reactive dye for cotton)

RN 118521-58-7 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-[[4-[[2,6-bis[[3-[[2-(sulfooxy)ethyl]sulfonyl]phenyl]amino]-4-pyrimidinyl]amino]benzoyl]amino]-3-[(2,5-disulfophenyl)azo]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

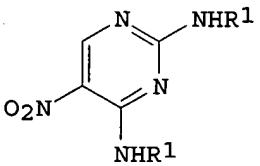


PAGE 1-B

—OSO_3H

L6 ANSWER 108 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:570352 CAPLUS
DOCUMENT NUMBER: 109:170352
TITLE: 2,4-bis(substituted) 5-nitropyrimidines of expected diuretic action
AUTHOR(S): El-Kerdawy, M. M.; Zayed, A. A.; Abou Hamid, M. M.
CORPORATE SOURCE: Natl. Org. Drug Control Res., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1987), Volume Date 1986, 29(2), 247-51
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

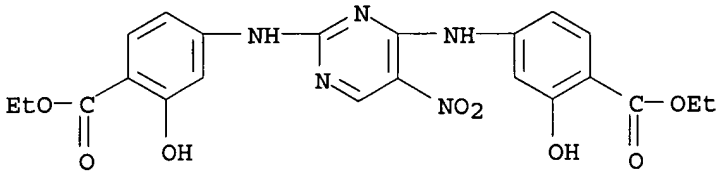
09/ 922,874

AB 2,4-Dichloro-5-nitropyrimidine was treated with amines to give **pyrimidinediamines** I [R1 = H2NSO2C6H4, substituted sulfamoylphenyl, HO(EtO2C)C6H3, H2NC6H4, HOC6H4, Cl(HO)C6H3, CH2CH2NH2, furfuryl, antipyrinyl, substituted **pyrimidinyl**]. Also prepd., from I (R1 = NH2), were I (R1 = NHCO2Et) and I (R1 = N:CHC6H4NO2-4).

IT **116859-98-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 116859-98-4 CAPLUS

CN Benzoic acid, 4,4'-[(5-nitro-2,4-pyrimidinediyl)diimino]bis[2-hydroxy-, diethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 109 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:570349 CAPLUS

DOCUMENT NUMBER: 109:170349

TITLE: Reaction of 4-(arylamino)-5-cyanopyrimidines with some aliphatic amines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Fak., Sofia, 1431, Bulg.

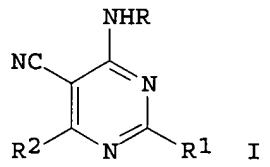
SOURCE: Doklady Bolgarskoi Akademii Nauk (1987), 40(11), 75-8
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 109:170349

GI



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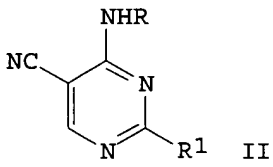

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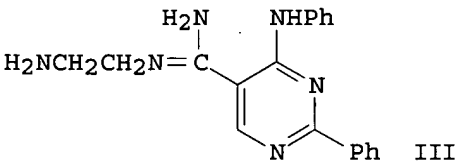
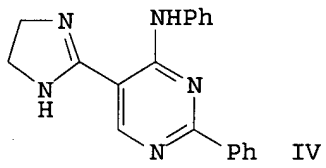


III

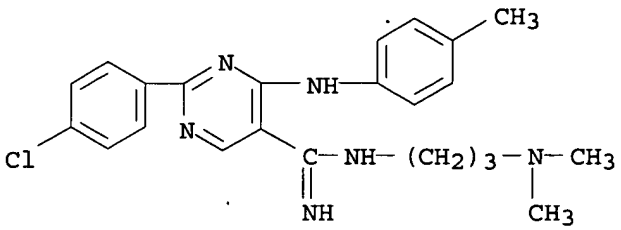


IV

AB Reactions of a range of aminopyrimidinecarbonitriles with aliph. amines, esp. H2NCH2CH2NH2 and Me2NCH2CH2CH2NH2, were studied. I (e.g., R = R1 = R2 = Ph) underwent simple amine exchange, while II formed amidines, e.g., III, which cyclized on heating to give IV.

IT **116749-75-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

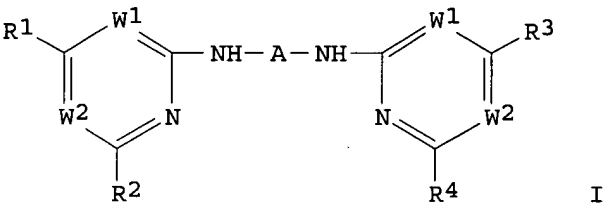
RN 116749-75-8 CAPLUS
CN 5-Pyrimidinecarboximidamide, 2-(4-chlorophenyl)-N-[3-(dimethylamino)propyl]-4-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 110 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:519518 CAPLUS
DOCUMENT NUMBER: 109:119518
TITLE: Photothermographic materials containing infrared sensitizer
INVENTOR(S): Sawada, Satoru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63023145	A2	19880130	JP 1986-226294	19860926
JP 07119952	B4	19951220		

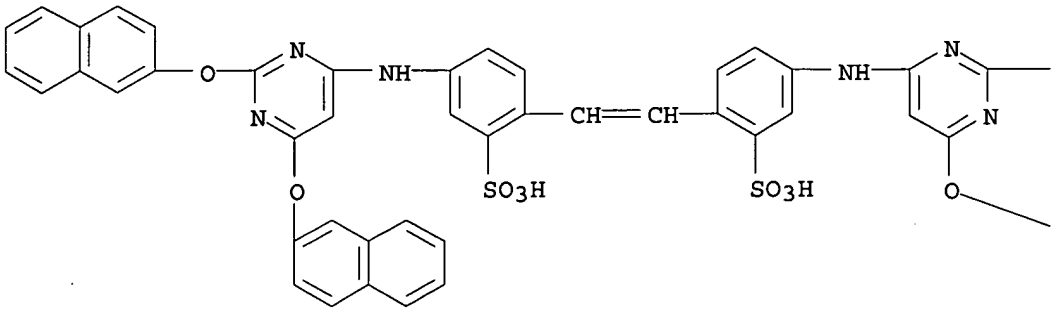
PRIORITY APPLN. INFO.: JP 1986-51395 19860311
GI



I

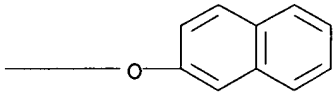
AB Photothermog. materials contain an IR sensitizer dye and a compd. of the formula I (A = divalent arom. moiety; R1-R4 = H, OH, alkyl, alkoxy, aryloxy, halo, heterocyclyl, heterocyclylthio, arylthio, **amino**, alkylamino, arylamino, aralkylamino, aryl, mercapto; .gtoreq.1 of A, R1-R4 is substituted with sulfo groups; W1, W2 = CH, N; .gtoreq.1 of W1, W2 is N) in a Ag halide emulsion layer. The photothermog. materials show excellent IR sensitivity, storage stability, and rapid developability.
IT **113952-54-8**
RL: USES (Uses)
(photothermog. stabilizer)
RN 113952-54-8 CAPLUS
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

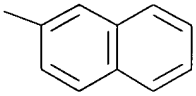
PAGE 1-A



●2 Na

PAGE 1-B

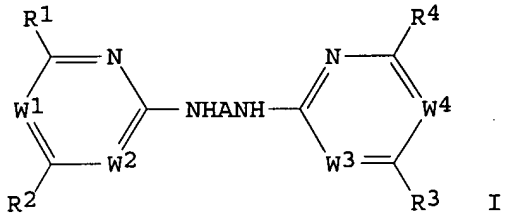




L6 ANSWER 111 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:176995 CAPLUS
DOCUMENT NUMBER: 108:176995
TITLE: Silver halide photographic emulsions
INVENTOR(S): Hasebe, Kazunori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62174738	A2	19870731	JP 1986-231498	19860930

PRIORITY APPLN. INFO.: JP 1985-232628 19851018
GI



I

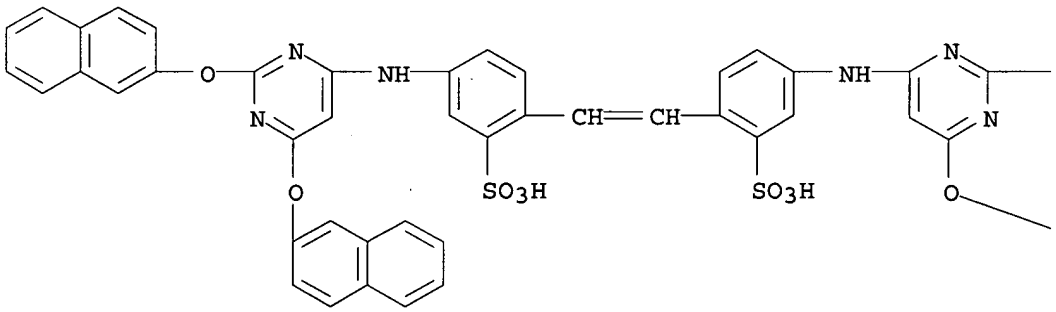
AB The title photog. emulsions are prepd. in the presence of a compd. of the formula I (A = divalent arom. moiety; R1-R4 = H, OH, alkyl, alkoxy, aryloxy, halo, heterocyclyl, heterocyclylthio, arylthio, **amino**, alkylamino, arylamino, aralkylamino, aryl, mercapto; .gtoreq.1 of R1-R4 is substituted with a sulfo group; W1-W4 = CH, N; .gtoreq.1 of W1 and W2 and .gtoreq.1 of W3 and W4 are N) and contain AgClxBryIz (x = 0.03-0.5; y = 0.5-0.97, z .ltoreq. 0.02) grains with 80% of the surface being (100) plane. The emulsions show high sensitivity and improved gradient.

IT **113952-54-8**
RL: USES (Uses)
(silver halide photog. emulsion crystal habit control by)

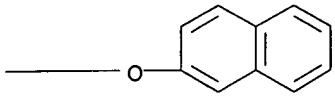
RN 113952-54-8 CAPLUS

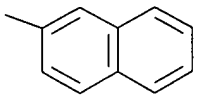
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[[2,6-bis(2-naphthalenyloxy)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



●2 Na





L6 ANSWER 112 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:112490 CAPLUS
DOCUMENT NUMBER: 108:112490
TITLE: Nitrophenylaminopyrimidines, procedure for their preparation, and their use as agrochemical fungicides
INVENTOR(S): Giencke, Wolfgang; Heubach, Guenther; Mildenberger, Hilmar; Fuss, Andreas; Sachse, Burkhard; Waltersdorfer, Anna; Knauf, Werner; Kern, Manfred
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3644799	A1	19871210	DE 1986-3644799	19861231
EP 248349	A2	19871209	EP 1987-107730	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DD 263220	A5	19881228	DD 1987-303273	19870528
DK 8702749	A	19871205	DK 1987-2749	19870529
AU 8773642	A1	19871217	AU 1987-73642	19870529
BR 8702771	A	19880301	BR 1987-2771	19870529
HU 44407	A2	19880328	HU 1987-2486	19870529
JP 62292769	A2	19871219	JP 1987-133540	19870530
CN 87103906	A	19880224	CN 1987-103906	19870530
ZA 8703966	A	19880127	ZA 1987-3966	19870603
PRIORITY APPLN. INFO.:			DE 1986-3618815	19860604
			DE 1986-3644799	19861231

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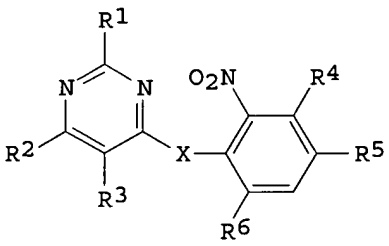

I

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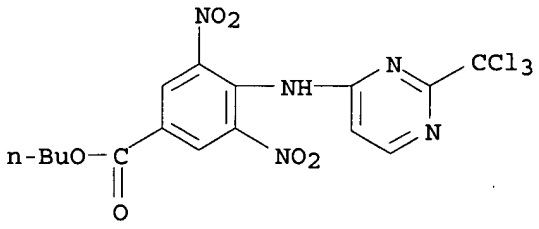
AB The title compds. I [R1, R2 = H, halo, **cyano**, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, cycloalkenyl, **amino**, alkylthio, alkoxy, Ph, PhO, alkylsufinyl, alkylsulfonyl; R3 = H, halo, **cyano**, NO2, (halo)alkyl, (halo)alkenyl, (substituted) Ph, PhO; R4 = H, halo, alkoxy, alkylthio, **amino**, (halo)phenoxy; R5 = alkyl, alkoxycarbonyl, alkenyloxycarbonyl, carboxy, carboxamido, halo, haloalkoxy, sulfonamido, etc.; R6 = NO2, CF3; X = O, imino] were prepd. as pesticides. KOH was added to a -5.degree. soln. of 5-chloro-2-(1,1,2,2-tetrafluoroethyl)-4-**pyrimidinylamine** in THF. Et 4-chloro-3,5-dinitrobenzoate in THF was added to the mixt., which was stirred 3 h at 0.degree. and allowed to warm to room temp. over 2 h to give K 5-chloro-N-(2,6-dinitro-4-ethoxycarbonylphenyl)-2-(1,1,2,2-tetrafluoroethyl)-4-**pyrimidinylamine** salt (II). At 200 ppm, II gave complete control of Iprodione-resistant Botrytis cinerea.

IT **112939-77-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agrochem. fungicide)

RN 112939-77-2 CAPLUS

CN Benzoic acid, 3,5-dinitro-4-[[2-(trichloromethyl)-4-pyrimidinyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 113 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:94589 CAPLUS

DOCUMENT NUMBER: 108:94589

TITLE: Preparation of aryloxy-, arylamino-, and arylhydrazinopyrimidines as fungicides and pesticides

INVENTOR(S): Giencke, Wolfgang; Heubach, Gunther; Mildenberger, Hilmar; Fuss, Andreas; Sachse, Burkhard; Kern, Manfred; Knauf, Werner; Waltersdorfer, Anna

PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 248349	A2	19871209	EP 1987-107730	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3644799	A1	19871210	DE 1986-3644799	19861231

PRIORITY APPLN. INFO.: DE 1986-3618815 19860604
DE 1986-3644799 19861231

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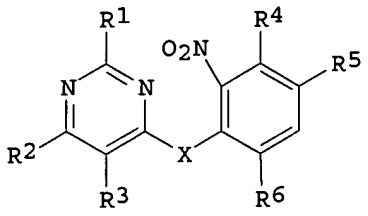


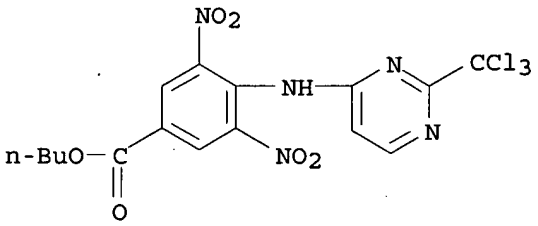
I

AB The title compds. [I; R1, R2 = H, **cyano**, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl **amino**, alkylthio, alkoxy, Ph, PhO, etc.; R3 = H, halo, **cyano**, NO2, (halo)alkyl, (halo)alkenyl, (substituted) Ph, PhO; R4 = H, halo, alkoxy, alkylthio, **amino**, (halo substituted) PhO; R5 = alkyl, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, acyl, alkylsulfonyl, alkylsulfonate, sulfonamide, halo, **cyano**, NO2, haloalkyl, formyl; R6 = NO2, CF3; X = O, NH, hydrazino] were prepd. as pesticides. Powd. KOH was added to a soln. of 5-chloro-2-(1,1,2,2-tetrafluoroethyl)-4-**pyrimidinylamine** in THF at -5.degree.. Et 4-chloro-3,5-dinitrobenzoate in THF was added at <3.degree. and the mixt. was stirred for 3 h at 3.degree. and allowed to warm to room temp. over 2 h to give I (R1 = CF2CHF2, R2 = R4 = H, R3 = Cl, R5 = CO2Et, R6 = NO2) as the K salt. The latter gave 80% control of iprodione-resistant Botrytis cinerea at 500 ppm.

IT **112939-77-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pesticide)

RN 112939-77-2 CAPLUS

CN Benzoic acid, 3,5-dinitro-4-[[2-(trichloromethyl)-4-pyrimidinyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 114 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1988:94587 CAPLUS
DOCUMENT NUMBER:	108:94587
TITLE:	Preparation of N-(2-nitrophenyl)-4-**pyrimidinamines** as pesticides
INVENTOR(S):	Giencke, Wolfgang; Mildenberger, Hilmar; Heubach, Guenther; Sachse, Burkhard; Fuss, Andreas; Waltersdorfer, Anna; Knauf, Werner; Kern, Manfred; Bonin, Werner
PATENT ASSIGNEE(S):	Hoechst A.-G. , Fed. Rep. Ger.
SOURCE:	Ger. Offen., 27 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

09/ 922,874

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3618353	A1	19871203	DE 1986-3618353	19860531
EP 248348	A1	19871209	EP 1987-107729	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DK 8702750	A	19871201	DK 1987-2750	19870529
AU 8773641	A1	19871203	AU 1987-73641	19870529
ZA 8703879	A	19880224	ZA 1987-3879	19870529
BR 8702772	A	19880301	BR 1987-2772	19870529
HU 44408	A2	19880328	HU 1987-2485	19870529
JP 62286973	A2	19871212	JP 1987-133539	19870530
CN 87103905	A	19880302	CN 1987-103905	19870530

PRIORITY APPLN. INFO.: DE 1986-3618353 19860531

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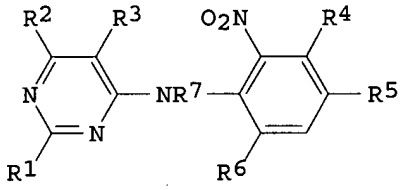


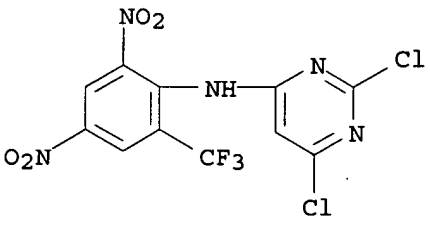
I

AB The title compds. [I; R1, R2 = (C1-4 alkyl)-C3-8 cycloalkyl, C3-8 cycloalkenyl, C2-4 (halo)alkenyl, C1-8 (halo)alkoxy, C1-8 alkylthio, C1-8 alkylsulfonyl, halo, **cyano**, **amino**, (un)substituted C1-8 alkyl, Ph, PhO; R3 = H, C1-8 (halo)alkyl, C2-4 (halo)alkenyl, C1-4 alkoxy, C1-4 alklthio, halo, **cyano**, NO2, (un)substituted Ph, PhO; R4 = H, C1-4 alkoxy, C1-4 alkylthio, halo, **amino**, (un)substituted PhO; R5,R6 = NO2, CF3; R7 = H, C1-5 alkanoyl, cation] were prepd. as pesticides, esp. insecticides and plant fungicides. 4,3,5-Cl(O2N)2C6H2CH3 in THF was added dropwise to a soln. of 4-**amino**-2-(trichloromethyl)-5-**pyrimidinecarbonitrile** in THF contg. KOH at -5 to 0.degree., followed by stirring 4 h, to give I (R1 = R5 = CF3, R2 = R4 = H, R3 = **cyano**, R6 = NO2, R7 = K) (II). II gave 100% control of Pseudocercosporella herpotrichoides at 8 ppm and 97-100% control of Plasmopara viticola on grapevines at 125 ppm.

IT **95036-68-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as insecticide and agrochem. fungicide)

RN 95036-68-3 CAPLUS

CN 4-Pyrimidinamine, 2,6-dichloro-N-[2,4-dinitro-6-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

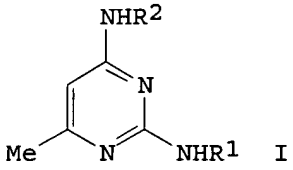


L6 ANSWER 115 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:56043 CAPLUS

09/ 922,874

DOCUMENT NUMBER: 108:56043
TITLE: Synthesis and evaluation of some 2-, 4- and 2,4-di-substituted-6-methylpyrimidine derivatives for antimicrobial activity
AUTHOR(S): Ghoneim, Khadiga M.; El-Telbany, Farag; Youssef, Khairia
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Journal of the Indian Chemical Society (1986), 63(10), 914-17
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:56043
GI

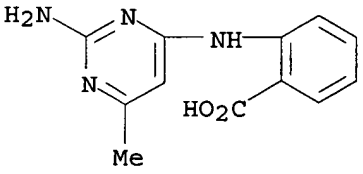


I

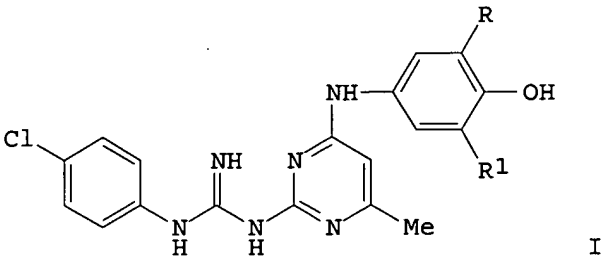
AB **Pyrimidinediamines** I (R1 = aryl, R2 = H; and R1 = H, R2 = ureido, aryl, **pyridyl**) were prepd. from chloropyrimidines. I showed their usefulness as bactericides.

IT **13208-07-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of)

RN 13208-07-6 CAPLUS
CN Benzoic acid, 2-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 116 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:21832 CAPLUS
DOCUMENT NUMBER: 108:21832
TITLE: Synthesis and antifilarial activity of N1-(4-substituted **amino**-6-methyl-2-**pyrimidinyl**)-N3-(p-chlorophenyl)guanidines
AUTHOR(S): Chen, Baozhen; Yu, Xiong; Jin, Yuqi; Lei, Xinghan
CORPORATE SOURCE: Shanghai Inst. Pharm. Ind., Shanghai, Peop. Rep. China
SOURCE: Yiyao Gongye (1987), 18(5), 207-10
CODEN: YIGODN; ISSN: 0255-7223
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



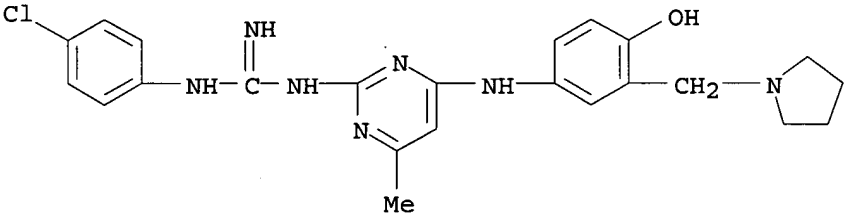
I

AB Title compds. I (R = H, Me2NCH2, Et2NCH2, **pyrrolidinomethyl**, piperidinomethyl, morpholinomethyl; R1 = Me2NCH2, Et2NCH2, **pyrrolidinomethyl**, piperidinomethyl, morpholinomethyl) were prepd. starting from 4-ClC6H4NH2. I (R = R1 = mropholinomethyl) showed antifilarial activity in mice.

IT **51386-74-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antifilarial activity of)

RN 51386-74-4 CAPLUS

CN Guanidine, N-(4-chlorophenyl)-N'-[4-[[4-hydroxy-3-(1-pyrrolidinylmethyl)phenyl]amino]-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 117 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:636654 CAPLUS

DOCUMENT NUMBER: 107:236654

TITLE: Novel ring transformations of 4-(acylamino)- and 4-[(dimethylamino)methyleneamino]-1H-1,5-benzodiazepine-3-carbonitriles to **pyrimidine**-5-carbonitriles

AUTHOR(S): Takagi, Kaname; Aotsuka, Tomoji; Morita, Hikari; Okamoto, Yoshihisa

CORPORATE SOURCE: Cent. Res. Lab., Zeria Pharm. Co., Saitama, 360-01, Japan

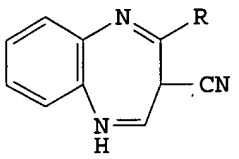
SOURCE: Synthesis (1987), (4), 379-81
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:236654

GI



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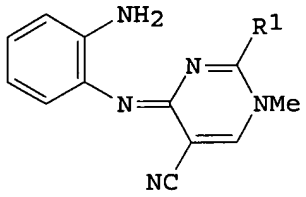
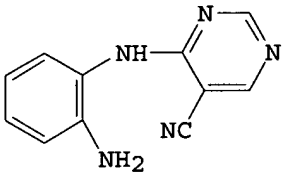
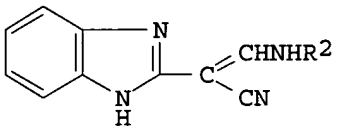


II



III



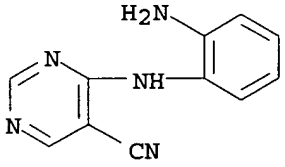
IV

AB Ring cleavage of benzodiazepines I (R = NHCOR1, R1 = Me, Et) with MeNH2 gave dihydropyrimidines II. Benzodiazepine I (R = Me2NCH:N) also underwent analogous ring cleavage with MeNH2and NH3 to give **pyrimidinecarbonitriles** II (R2 = H) and III. The **pyrimidines** thus formed were connected to benzimidazoles IV (R2 = H, Me).

IT **111598-93-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 111598-93-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(2-aminophenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 118 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:32963 CAPLUS

DOCUMENT NUMBER: 106:32963

TITLE: Preparation of 4-(arylamino)**pyrimidine**-5-carboxylic acid esters from 2-**cyano**-3-(thioaroylamido)cinnamic acid esters and arylamines

AUTHOR(S): Briel, D.; Wagner, G.

CORPORATE SOURCE: Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.

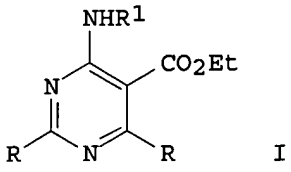
SOURCE: Pharmazie (1985), 40(11), 799-800
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 106:32963

GI



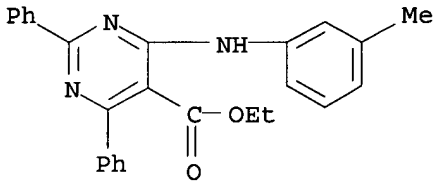
I

AB Cyclization of RC(S)NHCR:C(CN)CO2Et (R = Ph, m-, p-tolyl) with R1NH2 (R1 = Ph, m-tolyl, p-anisyl, p-ClC6H4, p-HOC6H4) in methylglycol-HOAc gave 33-62% 7 **pyrimidinecarboxylates** I.

IT **105849-66-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

RN 105849-66-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(3-methylphenyl)amino]-2,6-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 119 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:572385 CAPLUS

DOCUMENT NUMBER: 105:172385

TITLE: Synthesis of 2,6-disubstituted 9-arylpurines, 9-aryl-8-azapurines and related 5-phenylazopyrimidines and their biological activity

AUTHOR(S): Sen, D.; Dasgupta, Anita; Sengupta, Purnendu

CORPORATE SOURCE: Coll. Sci., Calcutta Univ., Calcutta, 700 009, India

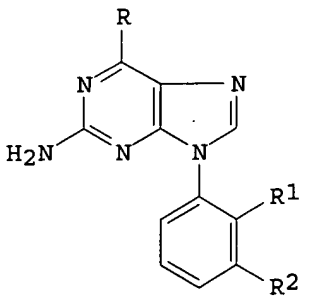
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(9), 952-8
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

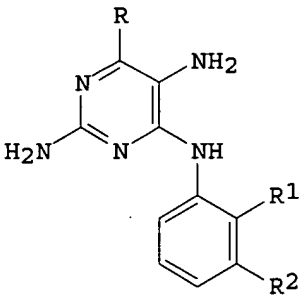
LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:172385

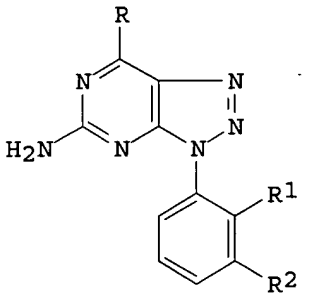
GI



I



II



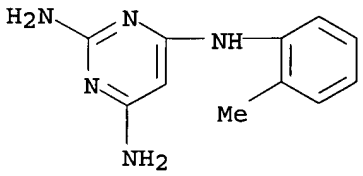
III

AB Synthesis of some 9-arylpurines, 9-aryl-8-azapurines and 5-arylazopyrimidines has been reported. 9-Arylpurines I (R = OH, NH2; R1, R2 = H, Me) were prepd. by the cyclization of 5-**amino**-6-(arylamino)**pyrimidnes** II with formamide. 2,6-Dihydroxy-9-(o-tolyl)purine was prepd. by the deamination of I (R = OH, R1 = Me, R2 = H) with NaNO2 and HCl. Cyclization of NaNO2 and acetic acid gave the azapurines III. II were obtained by the redn. of the corresponding 5-nitroso- or 5-phenylpyrimidines with sodium dithionite in alk. medium. Trisubstituted **pyrimidines** underwent coupling reactions with benzenediazonium chloride to give the corresponding 5-phenylazopyrimidines. The structural assignments of these I and III were based upon their lack of acidic character and spectral data. Some of these compds. inhibit the growth of Streptococcos faecalis and act as folic acid antagonists. Structure activity relationships were discussed. I (R = NH2, R1 = H, R2 = Me) required 4.0 mg/mL for 50% inhibition.

IT **6303-45-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(diazotization of)

RN 6303-45-3 CAPLUS

CN 2,4,6-Pyrimidinetriamine, N4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 120 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:478951 CAPLUS

DOCUMENT NUMBER: 105:78951

TITLE: **Pyrimidine** derivatives and their use

INVENTOR(S): Takaya, Takao; Murata, Masayoshi; Ito, Kiyotaka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan

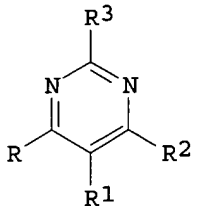
09/ 922,874

SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

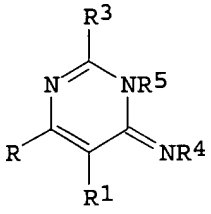
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 168262	A2	19860115	EP 1985-305004	19850712
EP 168262	A3	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4725600	A	19880216	US 1985-751867	19850705
JP 61044872	A2	19860304	JP 1985-154545	19850712

PRIORITY APPLN. INFO.: GB 1984-17852 19840713
GB 1984-23667 19840919
GB 1984-30456 19841203

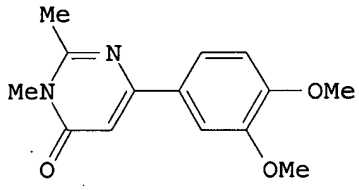
GI



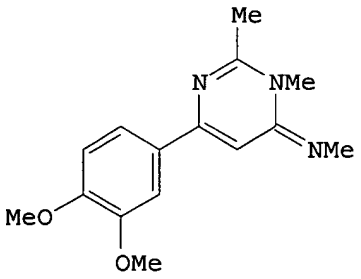
I



II



III



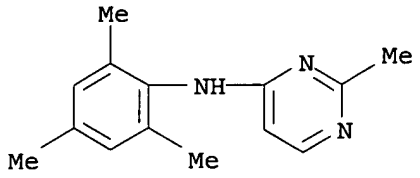
IV

AB Aminopyrimidines I [R = heterocycle, (un)substituted aryl; R1 = H, halo, alkyl, (un)substituted aryl; R2 = **amino**, (un)substituted aryloxy, heterocycle; R3 = H, alkyl, halo, alkylthio, **amino**, hydrazino, heterocycle], their tautomeric forms, such as II [R4 = (un)substituted aryl; R5 = H, alkyl; other R as above], and their condensed-ring derivs. were prepd. as anticoagulants, cardiotonics, and antihypertensives. Thus, MeC(:NH)NH2.HCl was cyclocondensed with 3,4-(MeO)2C6H3COCH2CO2Et and methylated to give **pyrimidinone** III. This was chlorinated with POCl3 and iminated with 2,4,6-Me3C6H2NH2 to give **pyrimidinimine** II. In dogs, 0.1 mg IV/kg i.v. gave a 72% increase in heart contraction rate.

IT **103555-42-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis by, of chloropyrimidines)

RN 103555-42-6 CAPLUS

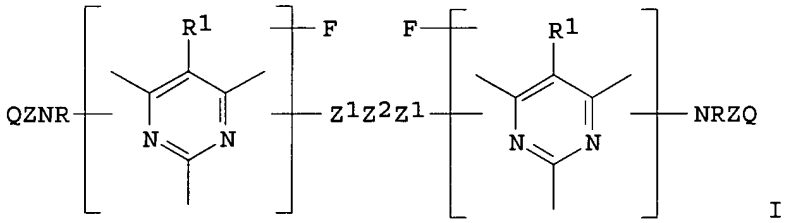
CN 4-Pyrimidinamine, 2-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



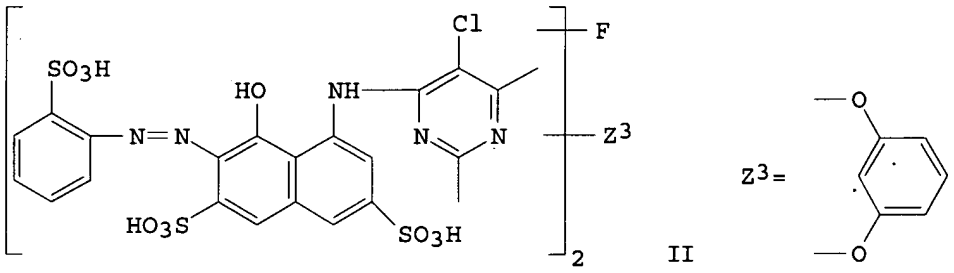
L6 ANSWER 121 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:90480 CAPLUS
DOCUMENT NUMBER: 104:90480
TITLE: Reactive dyes
INVENTOR(S): Jaeger, Horst; Neufang, Karl; Hildebrand, Dietrich; Langheinrich, Klaus; Soell, Manfred
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3407934	A1	19850905	DE 1984-3407934	19840303

PRIORITY APPLN. INFO.: DE 1984-3407934 19840303
GI



I



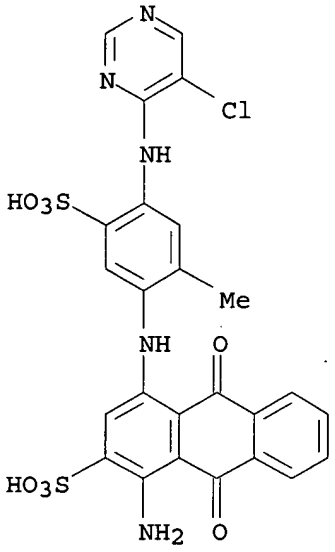
II

AB Reactive dyes of general structure I are prepd., where Q = org. dye residue; R = H or (un)substituted C1-4 alkyl; R1 = H, halogen, halo-substituted C1-4 alkyl, NO2, etc.; Z = direct bond or bridging group to a ring member of Q; Z1 = O or S; and Z2 = bivalent aliph., araliph., arom., or heterocyclic group. I give fast dyeings and prints, esp. on cotton. Thus, diazotization of 2-H2NC6H4SO3H, coupling with 1-[(5-chloro-2,6-difluoro-4-**pyrimidinyl**)**amino**]-8-**hydroxy**-3,6-naphthalenedisulfonic acid, and treatment of the product with resorcinol gave II, a bluish red dye for cotton. Numerous other I (most of them azo dyes) were prepd.

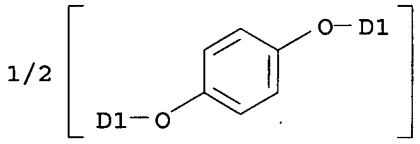
09/ 922,874

IT **100473-17-4P**
RL: IMF (Industrial manufacture); RCT (Reactant); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(manuf. of, as reactive dye for cotton)
RN 100473-17-4 CAPLUS
CN 2-Anthracenesulfonic acid, 4,4'-[1,4-phenylenebis[oxy(5-chlorofluoro-?,4-pyrimidinediyl)imino(2-methyl-5-sulfo-3,1-phenylene)imino]]bis[1-amino-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



D1—F

L6 ANSWER 122 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:337 CAPLUS
DOCUMENT NUMBER: 104:337
TITLE: The utility of combinations of drugs directed at specific sites of the same target enzyme - ribonucleotide reductase as the model
AUTHOR(S): Cory, Joseph G.; Sato, Atsushi; Carter, Gay L.; Bacon, Patricia E.; Montgomery, John A.; Brown, Neal C.
CORPORATE SOURCE: Coll. Med., Univ. South Florida, Tampa, FL, USA
SOURCE: Advances in Enzyme Regulation (1985), 23, 181-92
CODEN: AEZRA2; ISSN: 0065-2571
DOCUMENT TYPE: Journal

09/ 922,874

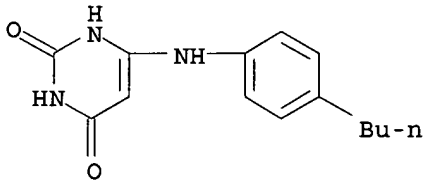
LANGUAGE: English

AB Combinations of drugs directed at the effector-binding and non-heme iron subunits of ribonucleotide reductase [9047-64-7] resulted in the synergistic inhibition of L1210 cell growth and synergistic L1210 cell kill in vitro. These combinations included deoxyadenosine [958-09-8]/erythro-9-(2-**hydroxy**-3-nonyl)adenine (EHNA) [51350-19-7]/pyrozoloimidazole (IMPY) [6714-29-0]/Desferal [70-51-9]; deoxyadenosine/EHNA/hydroxyurea [127-07-1]/Desferal (the EHNA was required to protect deoxyadenosine from deamination while Desferal modulated the effects of IMPY or hydroxyurea); 2-fluoroadenine arabinoside (2-F-ara A) [21679-14-1]/IMPY/Desferal and 2-fluoro-2'-deoxyadenosine (2-F-2'-dAdo) [21679-12-9]/IMPY/Desferal (EHNA was not required to protect 2-F-araA or 2-F-2'-dAdo from deamination); and deoxyguanosine (dGuo) [961-07-9]/8-aminoguanosine (8-AGuo) [3868-32-4]/IMPY/Desferal (8-AGuo was required to protect dGuo from phosphorolysis). Although thymidine [50-89-5] alone inhibited L1210 cell growth, it was not possible to potentiate the effects of thymidine with the **pyrimidine** nucleoside phosphorylase inhibitors, acyclothymidine [68724-11-8], 5-chlorouracil [1820-81-1] and 2,6-dihydroxypyridine [626-06-2]. Combinations of drugs directed at the ribonucleotide reductase and DNA polymerase sites were studied for their effects on L1210 cell growth. With these combinations, no synergistic inhibition of L1210 cell growth was obsd. The combinations of aphidicolin [38966-21-1] and IMPY/Desferal and aphidicolin and dAdo/EHNA inhibited L1210 cell growth in an additive manner; the combinations of IMPY/Desferal and butylanilinouracil [**21332-96-7**] resulted in antagonistic inhibition of L1210 cell growth. Apparently, combination chemotherapy directed at independent sites of the same key target enzyme can result in strong synergistic inhibition of cell growth and cytotoxicity offering a clear therapeutic advantage. In contrast, the combinations directed at sequential key enzymes (e.g. ribonucleotide reductase and DNA polymerase) did not result in synergistic inhibition of cell growth. The utility of combinations of drugs directed at specific but independent sites of the target enzyme (e.g. ribonucleotide reductase) has been demonstrated in tumor cell systems in culture and now must be demonstrated in vivo.

IT **21332-96-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by, ribonucleotide reductase inhibition in relation to)

RN 21332-96-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[(4-butylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 123 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:615252 CAPLUS

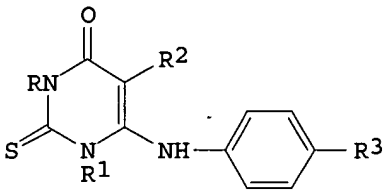
DOCUMENT NUMBER: 103:215252

TITLE: Synthesis of 6-anilino-2-thiouracils and their inhibition of human placenta iodothyronine deiodinase

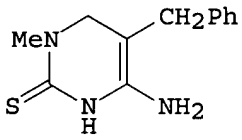
AUTHOR(S): Nogimori, T.; Emerson, C. H.; Braverman, L. E.; Wu, C. F.; Gambino, J.; Wright, G. E.

09/ 922,874

CORPORATE SOURCE: Med. Sch., Univ. Massachusetts, Worcester, MA, 01605, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(11), 1692-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:215252
GI



I

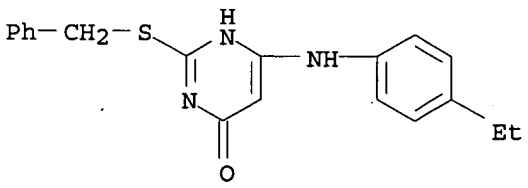


II

AB The title compds. (I; R-R2 = H, R3 = H, Et, Bu; R = Me, R1 = R2 = H, R3 = Et, Bu) were prepd. and tested for their ability to inhibit the inner-ring iodothyronine deiodinase from human placenta. I (R3 = Et, Bu) were strongly inhibitory to the enzyme and were much more effective than the std. deiodinase inhibitor, 6-propyl-2-thiouracil. The inhibition caused by I (R-R2 = H, R3 = Bu) was, moreover, unaffected by high concns. of reducing agent in the enzyme assay. Attempts to prep. 3-alkyl derivs. via S-debenzylation of 2-(benzylthio) intermediates led to rearrangement to, e.g., 6-**amino**-5-benzyl-3-methyl-2-thiouracil (II), which also strongly inhibited the deiodinase reaction. These compds. are useful to study metab. of thyroid hormones and may be clin. useful to enhance the availability of active thyroid hormones to certain organs.

IT **98421-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and methylation of)

RN 98421-08-0 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(4-ethylphenyl)amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



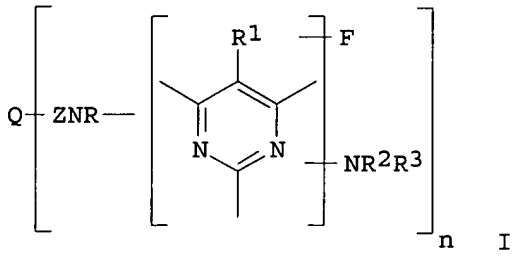
L6 ANSWER 124 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:561873 CAPLUS
DOCUMENT NUMBER: 103:161873
TITLE: **Amino**-substituted fluorine-containing **pyrimidinyl** reactive dyes
INVENTOR(S): Neufang, Karl; Kuth, Robert; Fritze, Ernst Robert; Jaeger, Horst
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 71 pp.
CODEN: GWXXBX

09/ 922,874

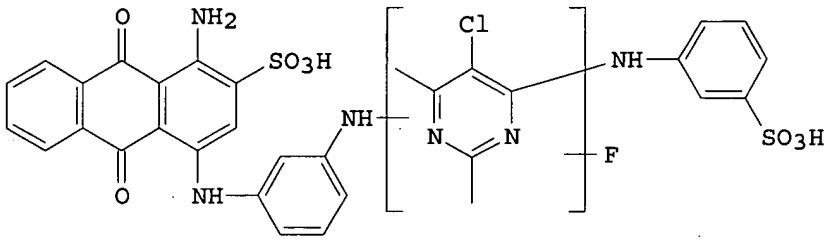
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3335956	A1	19850418	DE 1983-3335956	19831004

PRIORITY APPLN. INFO.: DE 1983-3335956 19831004
GI



I



II

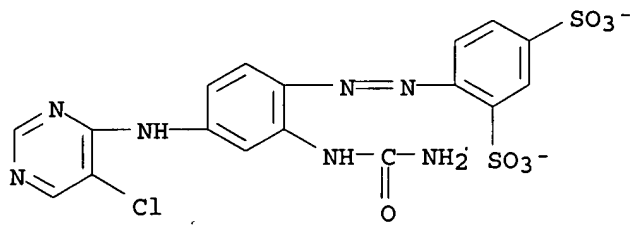
AB Reactive dyes having the general structure I are prepd., where Q = org. dye residue, n = 1-4, Z = bond or a bridging group, R = H or (un)substituted C1-4 alkyl, R1 = H, halogen, C1-4 haloalkyl, C2-4 haloalkenyl, NO2, CN, SO3H, (un)substituted carbamoyl or sulfamoyl, or sulfonic ester group; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)substituted heterocyclic group; R3 = H or (un)substituted alkyl, or R2R3 = O-, S-, or N-interrupted alkylene. I are esp. useful for dyeing and printing cotton textiles. Thus, reaction of 1-**amino**-4-(3-aminophenylamino)anthraquinone-2-sulfonic acid [6685-75-2] with 5-chloro-2,6-difluoro-4-(3-sulfophenylamino)**pyrimidine** [97904-02-4] (prepn. described) in H2O at 60.degree./pH 6-6.5 gave II [97851-71-3], a blue dye for cotton. Methods were also described for the prepn. of I, where Q is an azo or phthalocyanine chromophore, as well as several fluoropyrimidine intermediates.

IT **98614-33-6**
RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
(reactive dye, for cotton, manuf. of)

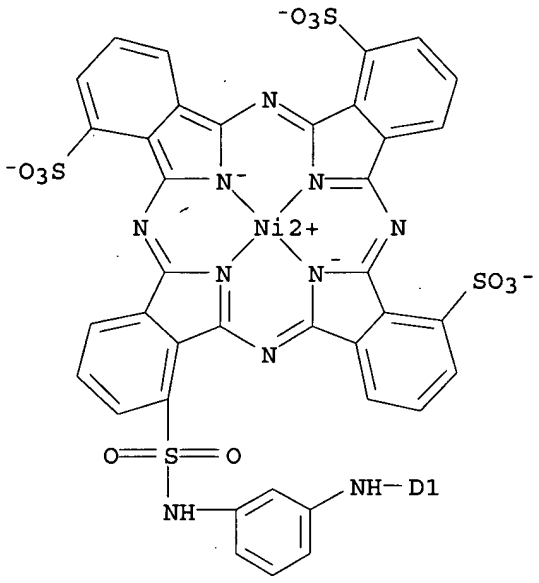
RN 98614-33-6 CAPLUS

CN Nickelate(5-), [22-[[[3-[[[[3-[(aminocarbonyl)amino]-4-[(2,4-disulfophenyl)azo]phenyl]amino]-5-chlorofluoropyrimidinyl]amino]phenyl]amino]sulfonyl]-29H,31H-phthalocyanine-1,8,15-trisulfonato(7-)-N29,N30,N31,N32]-, pentahydrogen (9CI) (CA INDEX NAME)

PAGE 1-A

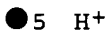


PAGE 2-A



PAGE 3-A

D1—F



L6 ANSWER 125 OF 215 CAPLUS COPYRIGHT 2003 ACS

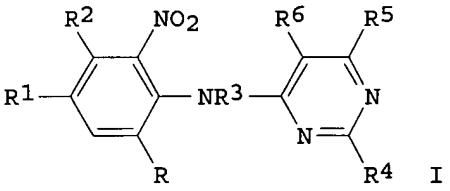
ACCESSION NUMBER:	1985:542001 CAPLUS
DOCUMENT NUMBER:	103:142001
TITLE:	N-(2-nitrophenyl)-4-aminopyrimidine derivatives and their use
INVENTOR(S):	Hubele, Adolf; Eckhardt, Wolfgang; Sturm, Elmar; Zondler, Helmut
PATENT ASSIGNEE(S):	Ciba-Geigy A.-G. , Switz.
SOURCE:	Eur. Pat. Appl., 61 pp. CODEN: EPXXDW

09/ 922,874

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 139613	A1	19850502	EP 1984-810417	19840823
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 72771	A1	19880331	IL 1984-72771	19840827
CA 1254560	A1	19890523	CA 1984-461860	19840827
DK 8404103	A	19850301	DK 1984-4103	19840828
AU 8432450	A1	19850307	AU 1984-32450	19840828
ZA 8406706	A	19850424	ZA 1984-6706	19840828
ES 535469	A1	19850716	ES 1984-535469	19840828
BR 8404295	A	19850723	BR 1984-4295	19840828
JP 60072867	A2	19850424	JP 1984-180248	19840829

PRIORITY APPLN. INFO.: CH 1983-4723 19830829
GI

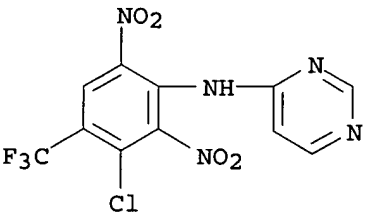


I

AB The title compds. I [R, R1 = F3C, NO2; R2 = H, halo; R3 = H, acyl; R4-R6 = halo, **cyano**, thiocyano, NO2, heterocyclyl, **amino**, R7, R7O, R7S(O)n; R7 = (un)substituted alkyl, alkenyl, cycloalkyl, Ph, heterocyclyl, alkynyl; n = 0-2] were prepd. Thus, 2,4,3,5-Cl2(O2N)2C6HCF3 and 2-**amino**-6-methoxy-5-nitropyrimidine were stirred 0.5 h at room temp. in Me2SO with dropwise addn. of Me3COK in Me2SO to give I (R = R6 = NO2, R1 = F3C, R2 = Cl, R3 = R4 = H) (II). On peanut plants 0.006% II gave 90-100% protection against Cercospora arachidicola.

IT **98374-94-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 98374-94-8 CAPLUS
CN 4-Pyrimidinamine, N-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)



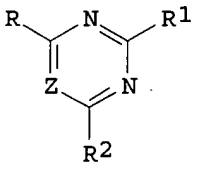
L6 ANSWER 126 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:6538 CAPLUS
DOCUMENT NUMBER: 100:6538

09/ 922,874

TITLE: **Pyrimidine** and s-triazine derivatives with antilipidemic activity
INVENTOR(S): Gomarasca, Piero; Scolastico, Carlo; Sirtori, Cesare
PATENT ASSIGNEE(S): LPB Istituto Farmaceutico S.p.A., Italy
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 73328	A1	19830309	EP 1982-106347	19820715
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
CA 1173036	A1	19840821	CA 1982-407790	19820721
US 4559345	A	19851217	US 1982-400434	19820721
JP 58069870	A2	19830426	JP 1982-144575	19820819
ES 515104	A1	19831216	ES 1982-515104	19820819
DK 8203737	A	19830221	DK 1982-3737	19820820
ES 524186	A1	19841116	ES 1983-524186	19830716
ES 524185	A1	19850501	ES 1983-524185	19830716

PRIORITY APPLN. INFO.: IT 1981-23580 19810820
GI

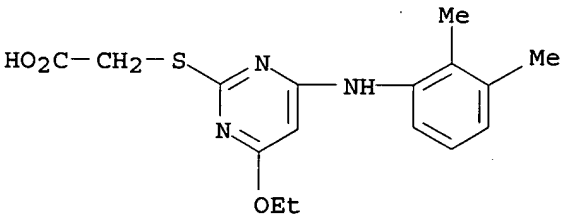


I

AB Title compds. I [Z = CH, N; R = halo, alkoxy, NH2; R1 = Z1CH2COR3 [Z1 = NH, NMe, O, S; R3 = OH, alkoxy, NHNH2, (un)substituted **amino**], dialkylamino, SH, alkylthio; R2 = (un)substituted anilino, dialkylamino], which were prepd., exhibited anticholesteremic activity. Thus, 2-mesyl-4-chloro-6-(2,3-dimethylanilino)**pyrimidine** was heated with H2NCH2CO2Et.HCl, NaH, and Et3N in THF to give I (Z = CH, R = Cl, R1 = NHCH2CO2Et, R2 = 2,3-Me2C6H3).

IT **86627-11-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, by ethanolamine)

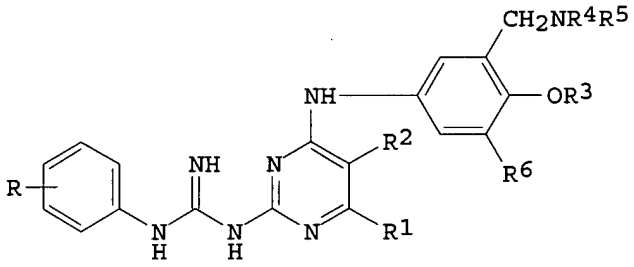
RN 86627-11-4 CAPLUS
CN Acetic acid, [[4-[(2,3-dimethylphenyl)amino]-6-ethoxy-2-pyrimidinyl]thio]- (9CI) (CA INDEX NAME)



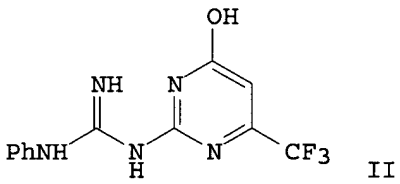
L6 ANSWER 127 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:488149 CAPLUS

09/ 922,874

DOCUMENT NUMBER: 99:88149
TITLE: Synthesis and antifilarial activity of N-[4-[[4-alkoxy-3-[(dialkylamino)methyl]**phenyl**]**amino**]-2-**pyrimidinyl**]-N'-phenylguanidines
AUTHOR(S): Angelo, Mario; Ortwine, Daniel; Worth, Donald; Werbel, Leslie M.; McCall, John W.
CORPORATE SOURCE: Warner-Lambert/Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48106, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(9), 1258-67
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

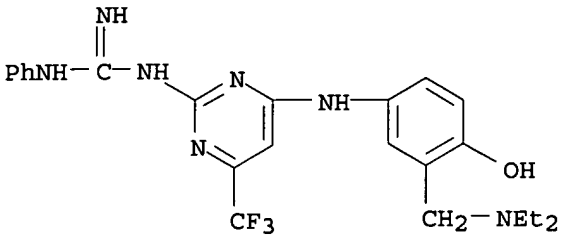


II

AB Title compds. I [R = Ph, 4-ClC6H4, 4-MeOC6H4, 4-F3CC6H4, 4-PhOC6H4, 4-BzC6H4, 3,4-Cl2C6H3; R1 = CF3, Ph; R2 = H; R1R2 = (CH2)4; R3 = H, Me, CHMe2, PhCH2; NR4R5 = NMe2, NHEt, NMeEt, NEt2, NHCH2CH(CH2)5; R6 = H, Ph] were prepd. E.g., treating PhNH2 with H2NC(:NH)NHCN gave PhNHC(:NH)NHC(:NH)NH2, cyclocondensation of which with F3CCOCH2CO2Et gave **pyrimidine** II. Chlorination of II followed by condensation with 2,5-(HO)(H2N)C6H3CH2NMe2 gave I (R = R2 = R3 = R6 = H, R1 = CF3, NR4R5 = NMe2). Antifilarial activity of I was confined to adult Litomosoides carinii. Structure activity relationship was discussed.

IT **86177-12-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anthelmintic activity of)

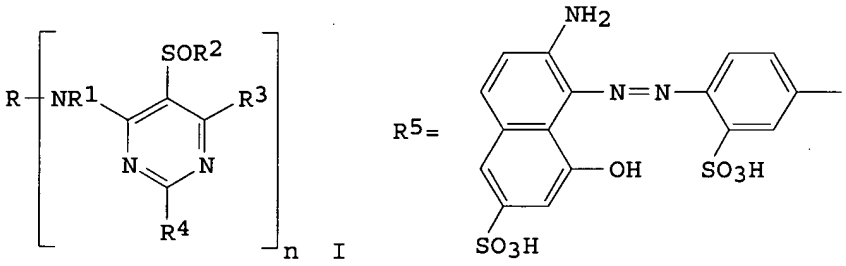
RN 86177-12-0 CAPLUS
CN Guanidine, N-[4-[[3-[(diethylamino)methyl]-4-hydroxyphenyl]amino]-6-(trifluoromethyl)-2-pyrimidinyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 128 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:201258 CAPLUS
DOCUMENT NUMBER: 96:201258
TITLE: Reactive dyes and their use
INVENTOR(S): Seitz, Karl; Hoegerle, Karl
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 45278	A2	19820203	EP 1981-810289	19810716
EP 45278	A3	19820526		
EP 45278	B1	19840919		
R: CH, DE, FR, GB				
JP 57051753	A2	19820326	JP 1981-113796	19810722
JP 59050707	B4	19841210		

PRIORITY APPLN. INFO.: CH 1980-5585 19800722
GI

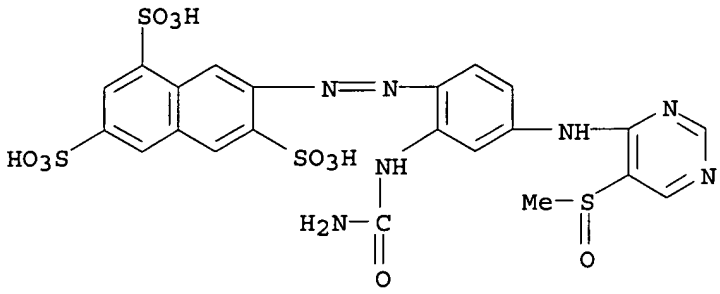


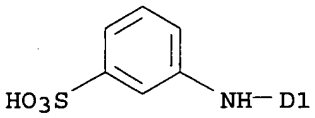
AB Reactive dyes (I; R = sulfo group-contg. dye moiety; R1 = H, optionally substituted C1-4 alkyl; R2 = C1-4 alkyl; R3, R4 = Cl, Br, F, **amino**, alkoxy, aryloxy, alkylthio, arylthio; one of R3 and R4 must be Cl, Br, or F) were prepd. and used to dye cotton fast shades. Thus, 2,4,6-trichloro-5-(methylthio)**pyrimidine** [24795-76-4] was oxidized to 2,4,6-trichloro-5-(methylsulfinyl)**pyrimidine** [72063-68-4] and then condensed with R5NH2 [24042-07-7] to give I(R = R5, R1 = H, R2 = Me, R3 = R4 = Cl) [81726-65-0], bluish red on cotton.

IT **81722-99-8**
RL: TEM (Technical or engineered material use); USES (Uses)
(dye, for cotton, prepn. of)

RN 81722-99-8 CAPLUS
CN 1,3,6-Naphthalenetrisulfonic acid, 7-[[2-[(aminocarbonyl)amino]-4-[[2(or 6)-fluoro-5-(methylsulfinyl)-6(or 2)-[(3-sulfophenyl)amino]-4-

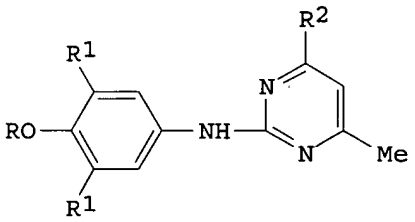
pyrimidinyl]amino]phenyl]azo]- (9CI) (CA INDEX NAME)





D1—F

L6 ANSWER 129 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:122747 CAPLUS
DOCUMENT NUMBER: 96:122747
TITLE: Synthesis and study of 2-arylamino-4-(substituted **amino**)-6-methylpyrimidines as possible antimalarial agents. I
AUTHOR(S): Sanghavi, D. S.; Chaudhari, D. T.; Gudadhe, P. P.
CORPORATE SOURCE: Dep. Chemother., Haffkine Inst., Bombay, 400 012, India
SOURCE: Bulletin of Haffkine Institute (1980), 8(3), 95-101
CODEN: BHFIA9; ISSN: 0304-9515
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

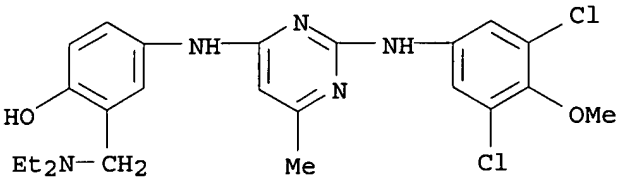


I

AB Aminopyrimidines I [R = Me, Et; R1 = Cl, Br; R2 = NHCHMe(CH2)3NEt2, NH(CH2)3NMe2, NHC6H3(OH)CH2NEt2-4,3] were obtained by treating 3,5,4-R12(RO)C6H2NH2 with 2-methylthio-4-**hydroxy**-6-methylpyrimidine, chlorinating I (R2 = OH), and aminating I (R2 = Cl). I (R2 = **amino**) had anthelmintic activity in mice.

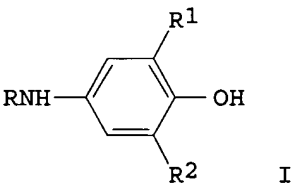
IT **81172-64-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anthelmintic activity of)
RN 81172-64-7 CAPLUS
CN Phenol, 4-[[2-[(3,5-dichloro-4-methoxyphenyl)amino]-6-methyl-4-pyrimidinyl]amino]-2-[(diethylamino)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



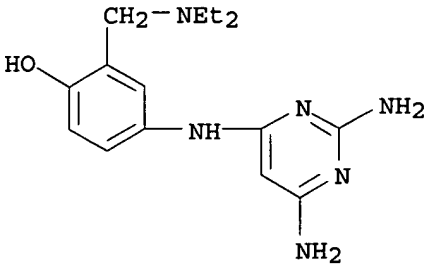
●x HCl

L6 ANSWER 130 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:122728 CAPLUS
DOCUMENT NUMBER: 96:122728
TITLE: Studies on antiarrhythmics - synthesis of 2-[(alkylamino)methyl]- and 2,6-bis[(alkylamino)methyl]-4-(substituted **amino**)phenols
AUTHOR(S): Lin, Mulan; Liu, Yufeng; Lu, Yongyu; Zhang, Huiqin; Zheng, Weimin
CORPORATE SOURCE: Tianjin Inst. Pharm. Ind. Res., Tianjin, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1981), 16(10), 757-61
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

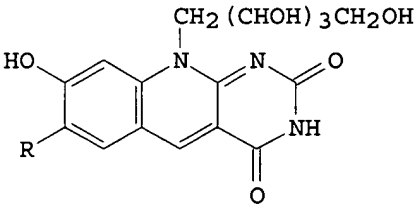


I

AB 4-Aminophenols I [R = Ac, 2,6-diamino(or dimethyl)-4-**pyrimidinyl**, 1-phthalazinyl, 6,7-dimethoxy-4-quinazolinyl, etc.; R1, R2 = H, Et2NCH2, 1-**pyrrolidinylmethyl**, piperidinomethyl, morpholinomethyl] (37 compds.) were prepd. by known reactions. Some I showed antiarrhythmic activity.
IT **81080-11-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antiarrhythmic activity of)
RN 81080-11-7 CAPLUS
CN Phenol, 4-[(2,6-diamino-4-pyrimidinyl)amino]-2-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 131 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:425445 CAPLUS
DOCUMENT NUMBER: 95:25445
TITLE: Synthesis of 8-demethyl-8-**hydroxy**-5-deazariboflavins
AUTHOR(S): Ashton, Wallace T.; Brown, Ronald D.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(8), 1709-12
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

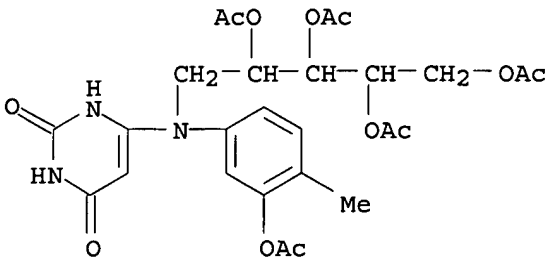


I

AB 7,8-Didemethyl-8-**hydroxy**-5-deazariboflavin (I, R = H), the flavin moiety of Methanobacterium coenzyme F420, and its 7-Me analog (I, R = Me) were prepd. by acid-catalyzed reaction of appropriately substituted 6-(N-D-ribitylanilino)uracils with CH(OMe)3 or CH(OEt)3 followed by deprotection.

IT **77994-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, with tri-Et orthoformate)

RN 77994-64-0 CAPLUS
CN D-Ribitol, 1-[[3-(acetyloxy)-4-methylphenyl](1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-1-deoxy-, 2,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

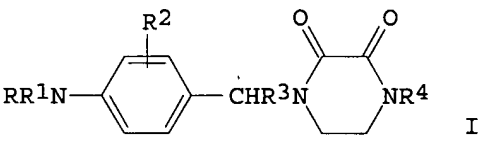


L6 ANSWER 132 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:407331 CAPLUS
DOCUMENT NUMBER: 95:7331
TITLE: 1-(4-Aminobenzyl)-2,3-dioxopiperazine derivatives and their acid addition salts
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 86 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3027106	A1	19810219	DE 1980-3027106	19800717
DE 3027106	C2	19881110		
JP 56018969	A2	19810223	JP 1979-93234	19790724
JP 05057272	B4	19930823		
CA 1131640	A1	19820914	CA 1980-356116	19800714
GB 2056976	A	19810325	GB 1980-23879	19800722
FR 2461705	A1	19810206	FR 1980-16275	19800723
FR 2461705	B1	19830318		

PRIORITY APPLN. INFO.: JP 1979-93234 19790724
CA 1982-356116 19820218

GI

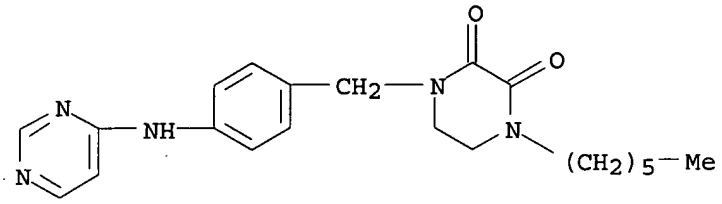


I

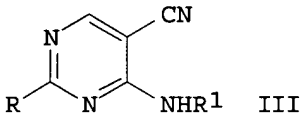
AB Piperazinediones I (R, R1 = H, alkyl, cycloalkyl, aralkyl, acyl, thiocarbamoyl, alkylthioimidoyl, amidino, heterocyclic; NRR1 = heterocyclic; R2 = H, **amino**, alkyl, alkoxy; R3 = H, alkyl; R4 = H, aliph., aryl, heterocyclic) were prepd. Thus AcNHCH2CH2NH2 was reductively alkylated with 4-AcNHC6H4CHO to give 4-H2NC6H4CH2NHCH2CH2NH2 which was cyclized with di-Et oxalate to give I (R-R4 = H). The latter compd. was treated with 2-bromopyrimidine to give I (R = 2-**pyrimidinyl**, R1-R4 = H) which was treated with PhCH2Cl to give I (R = 2-**pyrimidinyl**, R1-R3 = H, R4 = CH2Ph)(II). II had a min. inhibitory concn. against HeLa cells of 0.1 .mu.g/mL.

IT **77917-95-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antitumor activity of)
RN 77917-95-4 CAPLUS
CN 2,3-Piperazinedione, 1-hexyl-4-[[4-(4-pyrimidinylamino)phenyl]methyl]-
(9CI) (CA INDEX NAME)

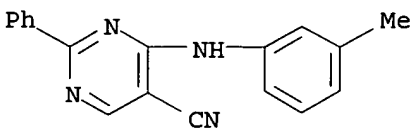


L6 ANSWER 133 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:83735 CAPLUS
DOCUMENT NUMBER: 94:83735
TITLE: Reaction of ethoxymethylenemalononitrile with N-monosubstituted amidines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Fac. Med., Med. Acad., Sofia, 1431, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(5), 635-8
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

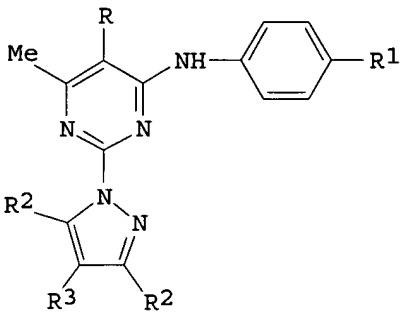


III

AB Condensation products RC(:NH)NR1CH:C(CN)2 (I; R = Ph, 2-**naphthyl** ; R1 = Ph 4-BrC6H4, 4-MeOC6H4, 1-**naphthyl**) were obtained when the resp. RC(:NH)NHR1 were treated with EtOCH:C(CN)2 (II) in HOAc; and the treatment of the above amidines and PhC(:NH)NHC6H4Me-3 with II in basic EtOH gave the resp. 4-**amino**-5-**pyrimidinecarbonitriles** III. A mixt. of PhC(:NH)NHPh and II in HOAc was boiled and worked up to give I (R = R1 = Ph). PhC(:NH)NHPh and II in EtOH was kept overnight, KOH-EtOH was added, and the mixt. was refluxed to give III (R = R1 = Ph).
IT **76521-22-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76521-22-7 CAPLUS
CN 5-Pyrimidinecarbonitrile, 4-[(3-methylphenyl)amino]-2-phenyl- (9CI) (CA INDEX NAME)



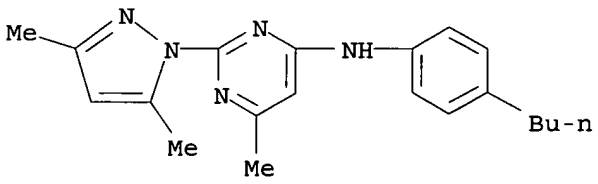
L6 ANSWER 134 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:15048 CAPLUS
DOCUMENT NUMBER: 94:15048
TITLE: Prototropic tautomerism and conformational isomerism of 4-(N-arylamino)-2-(1H-pyrazol-1-yl) **pyrimidines**
AUTHOR(S): Ivashchenko, A. V.; Garicheva, O. N.; Shmelev, L. V.; Ryabokobylko, Yu. S.
CORPORATE SOURCE: Vses. Nauchno-Issled. Inst. Khim. Reakt. Osobo Chist. Khim. Veshchestv, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1980), (8), 1114-19
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

AB IR and UV indicated that in CHCl3 or CCl4 I (R = H; R1 = H, Bu, R2 = Me, R3 = H) exist in 2 conformations having different orientations of the C6H4R1 group around the **pyrimidinyl**-N bond. I (R = Et; R1 = H, Bu; R2 = Me, Pr; R3 = H, Et) exist in only one of the conformations. **Amino**-imino tautomerism was not obsd. NMR indicated that I (R = H) were assocd. down to 10-3 M; I (R = Et) were practically completely dissocd. at 2.5 .times. 10-3 M. The sites of intermol. H bonding were discussed.

IT. **70074-11-2**
RL: PRP (Properties)
(conformation of)
RN 70074-11-2 CAPLUS
CN 4-Pyrimidinamine, N-(4-butylphenyl)-2-(3,5-dimethyl-1H-pyrazol-1-yl)-6-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 135 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:446582 CAPLUS
DOCUMENT NUMBER: 93:46582

09/ 922,874

TITLE: Synthesis and study of derivatives of 2,4-diamino- and 2-**amino**-4-(1H-pyrazol-1-yl) **pyrimidine**

AUTHOR(S): Ivashchenko, A. V.; Garicheva, O. N.; Shmelev, L. V.; Ryabokabylko, Yu. S.

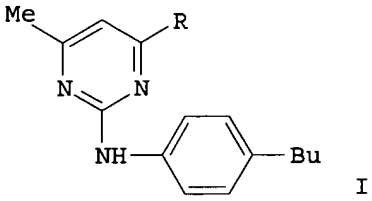
CORPORATE SOURCE: Vses. Nauchno-Issled. Inst. Khim. Reakt. Osobo Chist. Khim. Veshchestv, Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1980), (3), 404-7
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



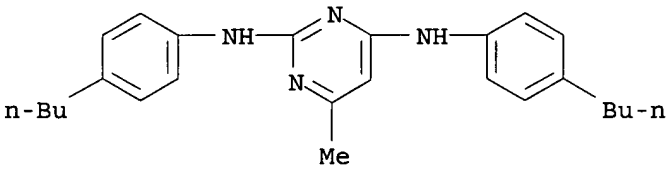
I

AB The title compds. I [R = H2NNH, 4-ethyl-3,5-dipropylpyrazol-1-yl, 3,5-dimethylpyrazol-1-yl, NR1R2; R1 = H, R2 = Bu, p-BuC6H4; R1R2 = (CH2)5] were prepd. in 44-99% yields. Thus, treating I (R = Cl) with R1R2NH gave I (R = R1R2N).

IT **74246-35-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectral properties of)

RN 74246-35-8 CAPLUS

CN 2,4-Pyrimidinediamine, N,N'-bis(4-butylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 136 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:58446 CAPLUS

DOCUMENT NUMBER: 92:58446

TITLE: Complexes of bivalent copper and compositions containing said complexes

INVENTOR(S): Boettcher, Barry; Walker, William Raymond; Whitehouse, Michael Wellesley

PATENT ASSIGNEE(S): Australia

SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2341	A1	19790613	EP 1978-300671	19781127
EP 2341	B1	19820120		
R: BE, CH, DE, FR, GB, LU, NL, SE				
AU 7841830	A1	19790628	AU 1978-41830	19771128
AU 520726	B2	19820225		
JP 54090121	A2	19790717	JP 1978-146421	19781127
JP 63031473	B4	19880623		
JP 63159316	A2	19880702	JP 1987-304372	19871201
JP 01037374	B4	19890807		

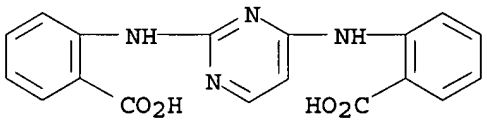
PRIORITY APPLN. INFO.: AU 1977-2584 19771128
AU 1978-5533 19780816

AB Inflammation-inhibiting neutral Cu complexes Cu[O2CC6H4R]2R1OH [I; R = OH, SH, SeH, NH2 or NHR3, where R3 = 2,3-Me2C6H3, 2-chloro-4-**pyrimidinyl**, or 4-(2-carboxyanilino)-2-**pyrimidinyl**, which may be further substituted by CO2H groups in the 3 and/or 4 position of the anilino groups; R1OH = an alc.] were prepd. Thus, Cu(OH)2 added to 2-HOC6H4CO2H in anhydr. EtOH gave I (R = 2-OH, R1 = Et), topical application of which to rats paws effectively inhibited Na carrageenan-induced inflammation.

IT **67026-26-0DP**, copper complex
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 67026-26-0 CAPLUS

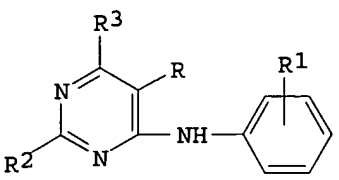
CN Benzoic acid, 2,2'-(2,4-pyrimidinediyldiimino)bis- (9CI) (CA INDEX NAME)



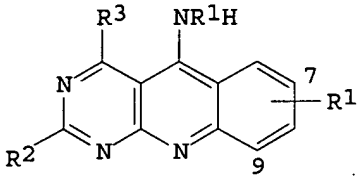
L6 ANSWER 137 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1979:186887 CAPLUS
DOCUMENT NUMBER:	90:186887
TITLE:	Synthesis of **pyrimido**(4,5-b)quinoline derivatives
AUTHOR(S):	Robev, S.
CORPORATE SOURCE:	Med. Akad., Sofia, Bulg.
SOURCE:	Doklady Bolgarskoi Akademii Nauk (1978), 31(5), 551-4 CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE:	Journal
LANGUAGE:	Russian

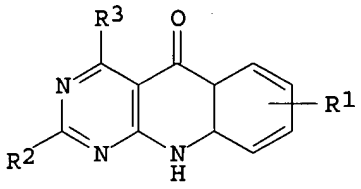
GI



I



II



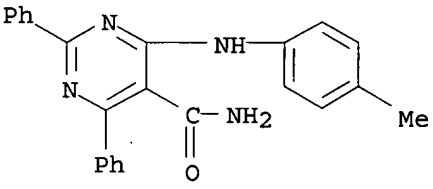
III

AB Cyclizing **pyrimidines** I (R = CN; R1 = H, R2 = R3 = Ph; R1 = H, R2 = Ph, R3 = p-tolyl, 2,4-xylyl; R1 = p-Me, o-Me, R2 = R3 = Ph; R1 = p-Me, R2 = Ph, R3 = 2,4-xylyl) with polyphosphoric acid at 180-200.degree. gave II (R1 = H, 7-Me, 9-Me; R4 = H), which were converted to II (R4 = Ac) by acetylation. Treating II (R4 = H) with H3PO4 at 150.degree. gave III, which was also prepd. by treating II (R4 = Ac) with 10% HCl at 100.degree.. Treating I (R = CN) with polyphosphoric acid at 100.degree. gave I (R = CONH2), which gave I (R = CN) on dehydration. Treating I (R = CONH2) with polyphosphoric acid at 180-200.degree. gave II (R4 = H).

IT **69333-98-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 69333-98-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(4-methylphenyl)amino]-2,6-diphenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 138 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:105611 CAPLUS
DOCUMENT NUMBER: 90:105611
TITLE: Fiber-reactive dyes
INVENTOR(S): Seitz, Karl; Riat, Henri; Hoegerle, Karl
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 76 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

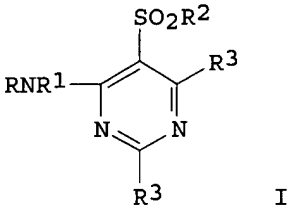
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2819787	A1	19781123	DE 1978-2819787	19780505
DE 2819787	C2	19891026		
CH 635861	A	19830429	CH 1978-4503	19780426

CA 1113929	A1	19811208	CA 1978-302735	19780505
ES 469578	A1	19790916	ES 1978-469578	19780508
GB 1603540	A	19811125	GB 1978-18342	19780508
JP 53140328	A2	19781207	JP 1978-54912	19780509
JP 63026150	B4	19880528		
FR 2390478	A1	19781208	FR 1978-13640	19780509
FR 2390478	B1	19800404		
BR 7802884	A	19790116	BR 1978-2884	19780509
AU 7835925	A1	19791115	AU 1978-35925	19780509
US 4325869	A	19820420	US 1980-112979	19800117
US 4680384	A	19870714	US 1984-632558	19840719

PRIORITY APPLN. INFO.:

LU 1977-77286	19770509
US 1978-903632	19780508
US 1980-112979	19800117
US 1982-339193	19820113
US 1983-513121	19830713

GI



I

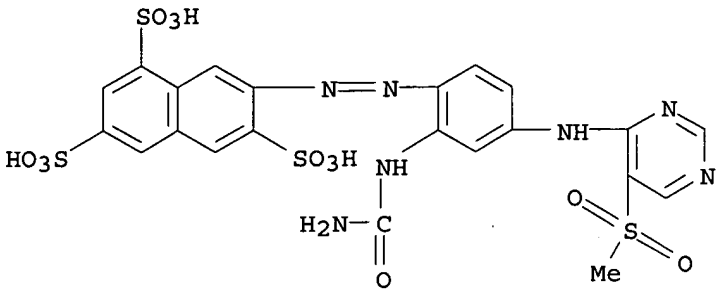
AB Fiber-reactive dyes of general structure I are prepd., where R is an org. dye residue, R1 = H or lower alkyl, R2 = optionally substituted alkyl or alkenyl, R3 = halogen, or one R3 is halogen and the other a substituent. For example, addn. of a soln. of 5.75 g 2,4,6-trichloro-5-(methylsulfonyl) **pyrimidine** (II) [69293-47-6] in acetone to an aq. soln. of 2,8,6,1-H2N(HO)(HO3S)C10H4N:NC6H3(SO3H)NH2-2,4 [24042-07-7] with stirring, followed by salting with KCl, gave a fiber-reactive dye [69293-73-8] which dyed cotton bluish red shades. Numerous other I (most of them azo) were also prepd. II was obtained by acylating barbituric acid [67-52-7] with MeSO2Cl and chlorinating (POCl3) the resultant 5-(methylsulfonyl))barbituric acid [69293-49-8].

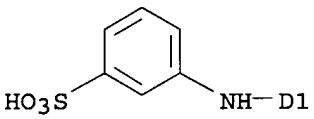
IT **69067-08-9P**
RL: PREP (Preparation)
(manuf. of, as dye for cotton)

RN 69067-08-9 CAPLUS

CN 1,3,6-Naphthalenetrisulfonic acid, 7-[[2-[(aminocarbonyl)amino]-4-[[2(or 6)-fluoro-5-(methylsulfonyl)-6(or 2)-[(3-sulfophenyl)amino]-4-pyrimidinyl]amino]phenyl]azo]-, tetrapotassium salt (9CI) (CA INDEX NAME)

PAGE 1-A





D1—F

PAGE 2-A

●4 K

L6 ANSWER 139 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:66509 CAPLUS
DOCUMENT NUMBER: 90:66509
TITLE: Potential antitumor agents. 29. Quantitative structure-activity relationships for the antileukemic bisquaternary ammonium heterocycles
AUTHOR(S): Denny, William A.; Atwell, Graham J.; Baguley, Bruce C.; Cain, Bruce F.
CORPORATE SOURCE: Exp. Chemother. Res. Lab., Pew Zealand Cancer Soc., Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1979), 22(2), 134-50
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

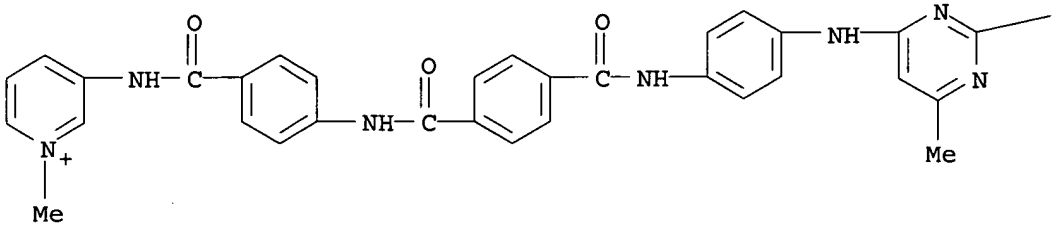
AB Quant. relations between physicochem. drug properties and antileukemic (L1210) efficacy were examd. for a series of bisquaternary ammonium heterocycles employing multiple variable regression anal. The synthesis of these compds. is described. The drug dose necessary to provide a 40% increase in life span and the chemotherapeutic index were independent of toxicity. There was a parabolic relation between agent lipophilic-hydrophilic balance and the percentage increase in mean life span of leukemic animals at the LD10 dose. Relative levels of drug-DNA interaction were obtained by spectrofluorimetric quantitation of drug displacement of DNA-bound ethidium. Extensive quant. structure-activity relations are discussed.

IT **68771-63-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antileukemic activity of)
RN 68771-63-1 CAPLUS

09/ 922,874

CN Pyridinium, 3-[[4-[[4-[[[4-[(2-amino-6-methyl-4-pyrimidinyl)amino]phenyl]amino]carbonyl]benzoyl]amino]benzoyl]amino]-1-methyl-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A



● I^-

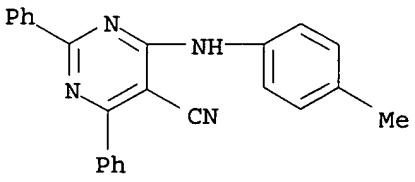
PAGE 1-B

L6 ANSWER 140 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:546697 CAPLUS
DOCUMENT NUMBER: 89:146697
TITLE: Ring contraction synthesis of 2,5-disubstituted-3-arylamino-4-**cyano-pyrroles** from 2,6-disubstituted-4-arylamino-5-cyanopyrimidines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, Bulg.
SOURCE: Tetrahedron Letters (1978), (13), 1163-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2,5-Diaryl-3-arylamino-4-cyanopyrroles were prepd. (50-80%) by ring contraction of 2,6-diaryl-4-arylamino-5-cyanopyrimidines on treatment with Zn/AcOH. E.g., 2,5-diphenyl-3-anilino-4-cyanopyrrole was obtained (72%) from 2,6-diphenyl-4-anilino-5-cyanopyrimidine.

IT **64499-38-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction of, with zinc and acetic acid)

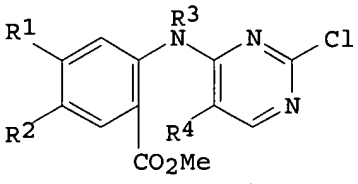
RN 64499-38-3 CAPLUS
CN 5-Pyrimidinecarbonitrile, 4-[(4-methylphenyl)amino]-2,6-diphenyl- (9CI) (CA INDEX NAME)



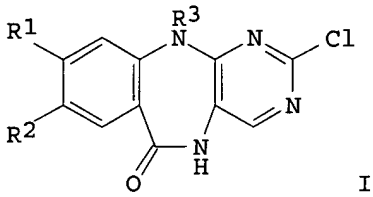
L6 ANSWER 141 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:170113 CAPLUS

09/ 922,874

DOCUMENT NUMBER: 88:170113
TITLE: Syntheses of diazepine derivatives. 1. Syntheses of 2-chloro-11H-**pyrimido**[4,5-b][1,4]benzodiazepin-6(5H)-one derivatives
AUTHOR(S): Ina, Shuichiro; Morita, Kunihiko; Noguchi, Isao
CORPORATE SOURCE: Sch. Med. Technol. Nurs., Fujita Gakuen Univ., Aichi, Japan
SOURCE: Yakugaku Zasshi (1978), 98(1), 72-6
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I, R^4=NO_2
II, R^4=NH_2

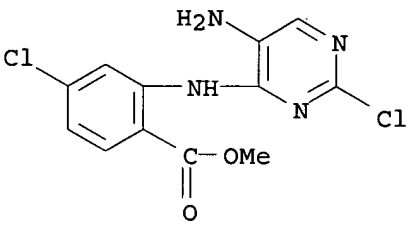


III

AB Reaction of 4,5,2-R1R2(R3NH)C6H2CO2Me (R1, R2, R3 = H, H, H; Cl, H, H; H, Cl, H; resp.) with 2,4-dichloro-5-nitropyrimidine in MeOH at -5 to -10.degree. gave I, which were reduced by SnCl2-AcOH to give II and then cyclized by 20% H2SO4 1.5 h at 100-105.degree. to give III. I (R1 = R2 = H, R3 = Me) gave the corresponding III on reducing by SnCl2-AcOH.

IT **66427-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, **pyrimidobenzodiazepinone** derivs. from)

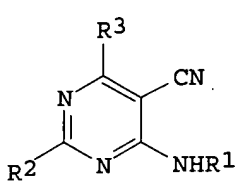
RN 66427-81-4 CAPLUS
CN Benzoic acid, 2-[(5-amino-2-chloro-4-pyrimidinyl)amino]-4-chloro-, methyl ester (9CI) (CA INDEX NAME)

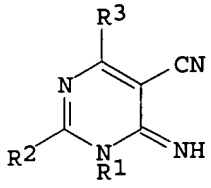


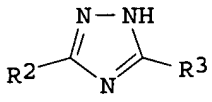
L6 ANSWER 142 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:567970 CAPLUS
DOCUMENT NUMBER: 87:167970
TITLE: Production of **pyrimidine** derivatives by reacting aromatic N-monoaryl substituted amidines with ylidenmalononitriles
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Med. Fac., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(5), 719-22
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal

09/ 922,874

LANGUAGE: Russian
GI


I


II

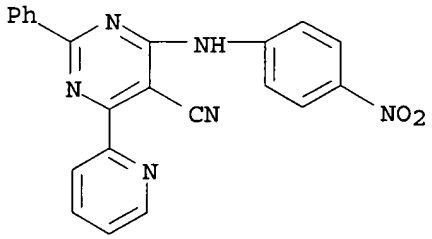

III

AB Fifty **pyrimidinecarbonitriles** I (R1, R2 = Ph, substituted Ph, R3 = Ph, substituted Ph, **naphthyl**, **pyridyl**) were obtained in 23-75% yields by cycloaddn. of R2C(:NR1)NH2 to R3CH:C(CN)2 in THF 1 week at -10.degree.. Imino derivs. II (R1 = Ph, 2-, 4-MeC6H4, 2-MeOC6H4, 4-ClC6H4, R2 = Ph, 4-MeC6H4, 2-C10H7, R3 = Ph, 2-**pyridyl**, 4-O2NC6H4, 3-BrC6H4) were obtained in 12-30% yields by dehydrogenation of the corresponding **amino** deriv. Triazoles III (R2 = Ph, 4-MeC6H4, 2-**naphthyl**, R3 = Ph, 2-**pyridyl**, 4-O2NC6H4, 3-BrC6H4) were obtained in 84-96% yields by ring contraction of II with N2H4.

IT **64499-27-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64499-27-0 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-nitrophenyl)amino]-2-phenyl-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



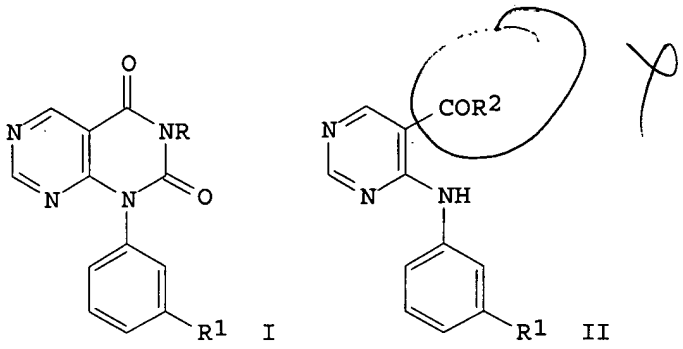
L6 ANSWER 143 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:453365 CAPLUS
DOCUMENT NUMBER: 87:53365
TITLE: 1-Phenylpyrimido[4,5-d]**pyrimidine**-2,4(1H,3H)-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Yamasaki, Shunzo; Noguchi, Kazuki; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 52007994	A2	19770121	JP 1975-69012	19750605
JP 59020676	B4	19840515		

PRIORITY APPLN. INFO.: JP 1975-69012 19750605

GI



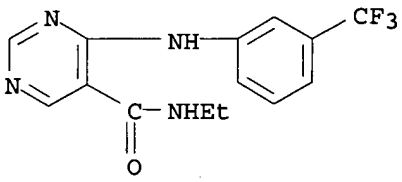
I II

AB Twenty-four **pyrimidopyrimidinediones** I (R = Me, Et, Pr, etc.; R1 = H, Cl, NO2, CF3, etc.), having central depressant, analgesic, and antiinflammatory activities (no data), were prepd. by cyclizing II (R2 = alkoxy, **amino**) with RNCO. Thus, 2.7 g II (R1 = NO2, R2 = OMe) was treated with NaH in THF and stirred with 2.1 g EtNCO 1 h at room temp. and 3 h at 50-60.degree. to give 2.3 g I (R = Et, R1 = NO2).

IT **63384-48-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with isocyanates, **pyrimidopyrimidinediones** from)

RN 63384-48-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-ethyl-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 144 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:478153 CAPLUS

DOCUMENT NUMBER: 85:78153

TITLE: 4-**Amino**-6-arylpyrimidines and salts useful for relaxation of smooth muscle in a mammal

INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 25 pp. Division of U.S. 3,895,112. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

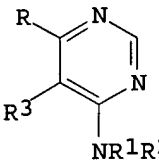
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3950525	A	19760413	US 1975-567356	19750411
US 3859288	A	19750107	US 1971-182220	19710920
US 3895112	A	19750715	US 1973-371483	19730619

09/ 922,874

PRIORITY APPLN. INFO.:

US 1971-182220	19710920
US 1973-371483	19730619
US 1975-78216	19751005
US 1970-78216	19701005

GI



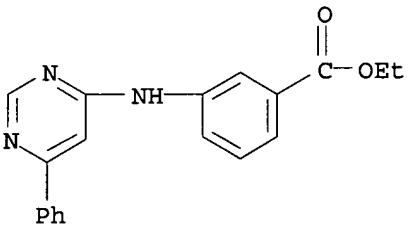
I

AB **Pyrimidinamines** I (R = Ph, substituted **phenyl**, furyl, **thienyl**, **naphthyl**; R1 and R2 = H, alkyl, hydroxyalkyl, aminoalkyl; NR1R2 = heterocyclic; R3 = H, Me, Et, Pr, CHMe2) (100 compds.) were prepd. and have platelet aggregation-inhibiting and bronchodilator properties. Thus, I (R = Ph, R1 = R2 = Et, R3 = H) were obtained by Grignard reaction of PhBr with NCCH2CO2Et, condensation of H2NCPh:CHCO2Et with HCONH2, chlorination of 4-**hydroxy**-6-phenylpyrimidine, and amination of the 4-chloro compd.

IT **60084-61-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60084-61-9 CAPLUS

CN Benzoic acid, 3-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 145 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:164876 CAPLUS

DOCUMENT NUMBER: 84:164876

TITLE: **Pyrimidobenzodiazepines**

INVENTOR(S): Kobayashi, Shigeru

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

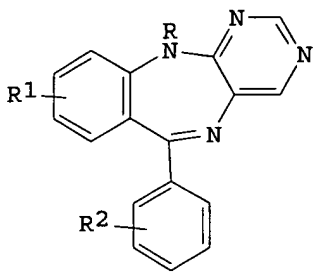
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

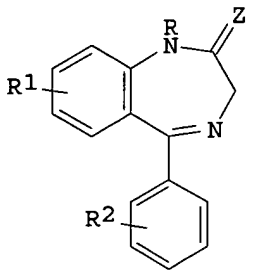
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51006991	A2	19760120	JP 1974-75661	19740701

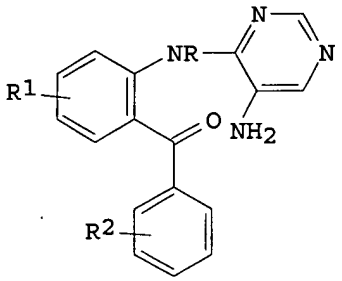
PRIORITY APPLN. INFO.: JP 1974-75661 19740701
GI



I



II



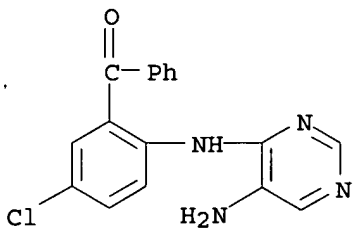
III

AB **Pyrimidobenzodiazepines** I (R = H, alkyl; R1, R2 = halo, NO2, CF3, alkyl, or alkoxy groups) were prepd. by condensation of the benzodiazepines II (Z = O, S, NH) with HCONH2 or cyclization of the aminopyrimidines III. I are central nervous depressants and antihypertensives (no data). Thus, a mixt. of 1,3-dihydro-7-nitro-5-**phenyl**-2H-1,4-benzodiazepin-2-one 5.6, HCONH2 3.6, and POCl3 18.7 g was autoclaved 11 hr at 110.degree. to give 5-**amino**-4-(2-benzoyl-4-nitroanilino)**pyrimidine**, which (2.5 g) was heated with 0.25 g p-MeC6H4SO3H in EtOH-AcOEt 1 hr to give 8-nitro-6-**phenyl**-11H-**pyrimido**[4,5-b][1,4]benzodiazepine. Also prepd. were 8-chloro-6-**phenyl**-11H-**pyrimido**[4,5-b][1,4]benzodiazepine and 8-chloro-11-methyl-6-**phenyl**-11H-**pyrimido**[4,5-b][1,4]benzodiazpine.

IT **54184-76-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 54184-76-8 CAPLUS

CN Methanone, [2-[(5-amino-4-pyrimidinyl)amino]-5-chlorophenyl]phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 146 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:59528 CAPLUS
DOCUMENT NUMBER: 84:59528

09/ 922,874

TITLE: Arylpyrimidines, inhibitors of platelet aggregation and bronchodilators
INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 27 pp. Division of U.S. 3,859,288.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3908012	A	19750923	US 1973-371420	19730619
US 3707560	A	19721226	US 1970-78216	19701005
US 3859288	A	19750107	US 1971-182220	19710920
DK 130971	B	19750512	DK 1973-1429	19730316
US 3890321	A	19750617	US 1973-371563	19730619
CA 978531	A2	19751125	CA 1973-176049	19730710
CA 978532	A2	19751125	CA 1974-191086	19740128
FI 55834	C	19791010	FI 1977-3287	19771102
FI 55834	B	19790629		

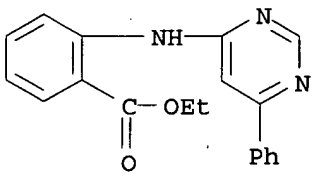
PRIORITY APPLN. INFO.:

US 1970-78216	19701005
US 1971-182220	19710920
FI 1971-2734	19710930
DK 1971-4801	19711001
CA 1971-124312	19711004

GI For diagram(s), see printed CA Issue.

AB About 100 **pyrimidines** I (R = Ph, p-ClC6H4, 2-furyl, 2-**thienyl**, 3-H2NC6H4, etc., R1 = H, Me, Et, Pr; R2 = Et2N, MeNH, Bu2N, 1-**pyrrolidinyl**, piperidino, etc.) were prepd. by substitution of I (R = Cl) or treating chlorobenzothienopyrimidines with amines followed by cleaving. Thus, NCCH2CO2Et was treated with PhMgBr and the H2NCPh:CHCO2Et cyclized with HCONH2 to give I (R = Ph, R1 = H, R2 = OH), which was chlorinated with POCl3 and treated with Et2NH to give I (R = Ph, R1 = H, R2 = Et2N). At 10-4 .mu. I (R = Ph, R1 = H, R2 = Et2N) inhibited in vitro platelet aggregations by 99%. At 60 mg/kg I (R = 3-O2NC6H4, R1 = H, R2 = Et2N) gave 20% protection against histamine induced bronchoconstriction in guinea pigs.

IT **36822-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36822-94-3 CAPLUS
CN Benzoic acid, 2-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



HCl

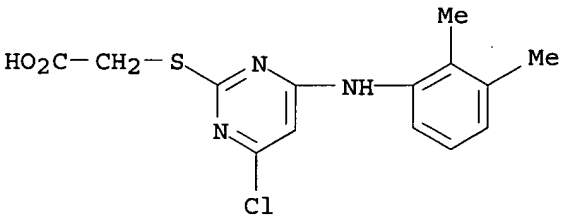
L6 ANSWER 147 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:17410 CAPLUS
DOCUMENT NUMBER: 84:17410

09/ 922,874

TITLE: (2-**Pyrimidinylthio**) alkanoic acids, esters, amides, and hydrazides
INVENTOR(S): Santilli, Arthur A.; Scotese, Anthony C.; Tomarelli, Rudolph M.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 10 pp. Division of U.S. 3,814,761.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

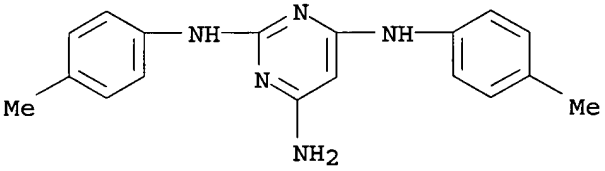
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3901887	A	19750826	US 1973-409345	19731024
US 3814761	A	19740604	US 1972-240266	19720331
ZA 7301526	A	19731128	ZA 1973-1526	19730305
AU 7353100	A1	19740912	AU 1973-53100	19730308
GB 1413892	A	19751112	GB 1973-15068	19730329
BE 797622	A1	19731001	BE 1973-129525	19730330
NL 7304481	A	19731002	NL 1973-4481	19730330
FR 2182917	A1	19731214	FR 1973-11602	19730330
CA 967571	A1	19750513	CA 1973-167592	19730330
CH 590243	A	19770729	CH 1973-4618	19730330
JP 49013186	A2	19740205	JP 1973-37364	19730331
US 3876789	A	19750408	US 1973-409115	19731024
US 3896129	A	19750722	US 1973-409353	19731024

PRIORITY APPLN. INFO.: US 1972-240266 19720331
GI For diagram(s), see printed CA Issue.
AB About 40 **pyrimidines** I (R = Cl, NH2, p-FC6H4, MeO, etc.; R1 = Cl, p-ClC6H4NH2, p-ClC6H4CH2NH2, Ph, etc.; R2 = H, Et, NH2, HNNH2) were prepd. Thus, 2-thiobarbituric acid was treated with BrCH2CO2Et and I (R = R1 = OH, R2 = Et) chlorinated with POCl3 to give I (R = R1 = Cl, R2 = Et), which with PhNH2 gave I (R = PhNH, R1 = Cl, R2 = Et). At 1-10 mg doses in humans I were antilepemic.
IT **50892-23-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with ammonium hydroxide)
RN 50892-23-4 CAPLUS
CN Acetic acid, [[4-chloro-6-[(2,3-dimethylphenyl)amino]-2-pyrimidinyl]thio]- (9CI) (CA INDEX NAME)

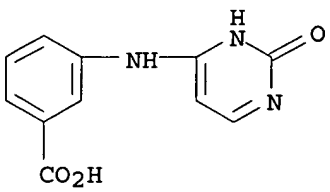


L6 ANSWER 148 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:17272 CAPLUS
DOCUMENT NUMBER: 84:17272
TITLE: **Pyrimidines**. IX. Synthesis of some bis(arylamino)**pyrimidines**
AUTHOR(S): Sen, D.; Dutta, P. K.
CORPORATE SOURCE: Coll. Sci., Calcutta Univ., Calcutta, India
SOURCE: Journal of the Indian Chemical Society (1975), 52(8),

774-5
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Nine bis(arylamino)**pyrimidines** I (R = R1 = p-MeC6H4, p-MeOC6H4, R2 = H; R = R2 = substituted **phenyl**, R1 = H) were prepd. by heating 6-**amino**-2,4-dichloro- or 2-**amino**-4,6-dichloropyrimidine with 2 equiv. of the appropriate arylamine in AcOH at reflux in the presence of concd. HCl, and basifying the product with NH3.
IT **57628-54-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 57628-54-3 CAPLUS
CN 2,4,6-Pyrimidinetriamine, N2,N4-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 149 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:5337 CAPLUS
DOCUMENT NUMBER: 84:5337
TITLE: N-**Pyrimidinyl amino** acids. IV. N-[2-**Pyrimidinon**-4-yl] derivatives of acidic, basic, and uncommon neutral **amino** acids
AUTHOR(S): Hoffmann, Siegfried; Schmidt, Hans Christoph
CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1975), 15(8), 306
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Treatment of **amino** acids with 4-(methylthio)-2(1H)-**pyrimidinone** (I) gave the corresponding N-[2(1H)-oxo-4-**pyrimidinyl**]**amino** acids in 20-75% yields. Refluxing I with D-MeCH2CH(NH2)CO2H for 6 hr gave 65% D-2-[[2-(1H)-oxo-4-**pyrimidinyl**]**amino**]butyric acid.
IT **57469-67-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 57469-67-7 CAPLUS
CN Benzoic acid, 3-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 150 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:595209 CAPLUS
DOCUMENT NUMBER: 83:195209
TITLE: Dyes containing a fiberreactive alkylsulphonylpyrimidyl group
INVENTOR(S): Schuendehuette, Karl H.; Trautner, Kersten
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U.S., 65 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3853840	A	19741210	US 1970-22365	19700324

PRIORITY APPLN. INFO.: US 1965-512542 19651208

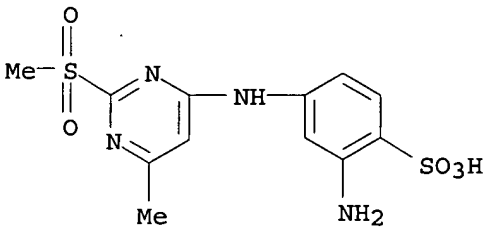
GI For diagram(s), see printed CA Issue.

AB Sixty-five fiber-reactive anthraquinone, azo, metallized azo, nitro, and phthalocyanine dyes contg. the 5-chloro-6-methyl-2-(methylsulfonyl)-4-**pyrimidinyl** or the 6-methyl-2-(methylsulfonyl)**pyrimidinyl** residue and 6 fiber-reactive dyes contg. the bis(phenylsulfonyl)-s-triazinyl residue were prepd. and were used to dye cotton, wool, and polyamide fibers. Thus, 2,4,8-H2NC10H5(SO3Na)2 [131-27-1] was diazotized and coupled with 3-H2NC6H4Me [108-44-1], the aminoazo deriv. [6629-26-1] salted, heated with 4-chloro-6-methyl-2-(methylsulfonyl)**pyrimidine** [55329-22-1] in the presence of Na2CO3, and salted to give reactive dye (I) [**13542-04-6**], printing cellulosic textiles a reddish yellow shade.

IT **55329-25-4**
RL: USES (Uses)
(coupling of diazotized, with sodium (benzoylamino)hydroxynaphthalenedisulfonate)

RN 55329-25-4 CAPLUS

CN Benzenesulfonic acid, 2-amino-4-[[6-methyl-2-(methylsulfonyl)-4-pyrimidinyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

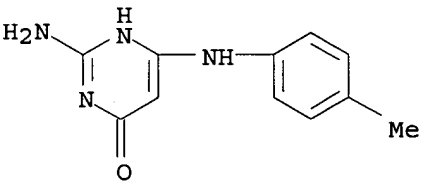


● Na

L6 ANSWER 151 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:579000 CAPLUS
DOCUMENT NUMBER: 83:179000
TITLE: Purine Antagonists. II. Synthesis of 2,6-disubstituted 9-arylpurines and 9-aryl-8-azapurines and some related 5-phenylazopyrimidines

09/ 922,874

AUTHOR(S): Sen, D.; Sengupta, Purnendu
CORPORATE SOURCE: Univ. Coll. Sci. Technol., Calcutta Univ., Calcutta, India
SOURCE: Indian Journal of Chemistry (1975), 13(6), 549-51
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2-**Amino**-6-**hydroxy**-9-arylpurines I (R = Me, MeO; R = H2N) were prepd. by the cyclization of 2,5-diamino-6-arylamino-4-hydroxypyrimidines with HCONH2. 9-Aryl-2,6-dihydroxypurine I (R = MeO, R1 = OH) were prepd. by the deamination of 2-**amino**-9-aryl-6-hydroxypurine with NaNO2 and conc. HCl. 9-Aryl-8-azapurines II (R = Me, MeO) were prepd. by the cyclization of 2,5-diamino-6-arylamino-4-hydroxypyrimidines with NaNO2 and HOAc. 2,5-Diamino-6-arylamino-4-hydroxypyrimidines were obtained by the redn. of 2-**amino**-6-arylamino-4-**hydroxy**-5-phenylazopyrimidines with sodium dithionite. The prepn. of 5-phenylazopyrimidines III (R = Me, R2 = MeO) involves the reaction of appropriate 2-**amino**-6-arylamino-4-hydroxypyrimidines with benzenediazonium chloride.
IT **33344-18-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzenediazonium chloride)
RN 33344-18-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 152 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:497359 CAPLUS
DOCUMENT NUMBER: 83:97359
TITLE: Pesticidal aminopyrimidine derivatives
INVENTOR(S): Barlow, Charles B.; White, Brian Graham; Tomlin, Clive D. S.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd., UK
SOURCE: Brit., 23 pp. Division of Brit. 1,353,739.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1388825	A	19750326	GB 1974-39813	19720221

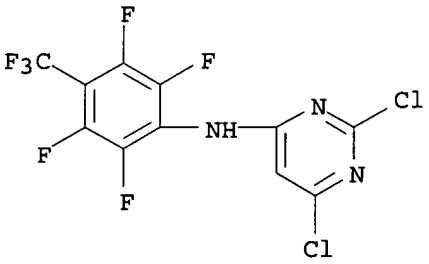
PRIORITY APPLN. INFO.: GB 1974-39813 19720221
GI For diagram(s), see printed CA Issue.
AB Eighteen title compds. I [R = H, Cl, CN; R1 = H, Cl; R2 = Cl, CF3, PhCH2S; R3 = di- or trichloro-substituted 2-, 4-, or 5-**pyrimidinyl**, 5-**cyano**-2-methyl-4-**pyrimidinyl**, C10F7, C6F5, 4-F3CC6F4, 4-NCC6F4, 4-O2NC6F4, 2,4-F3C(O2N)C6H3, 2,4-Br(O2N)C6H3] and 3 title compds. II (R4 = R6 = F, R5 = CN, NO2; R4 = H, R5 = NO2, R6 = CF3) were prepd. from appropriate aminopyrimidines by treatment with base and R3Cl

or R3F or the appropriate chlorobenzene or from chloropyrimidines by treatment with amines. Thus, I (R = R1 = R2 = Cl, R3 = 2,5,6-trichloro-4-**pyrimidinyl**) was prepd. from I (R = R1= R2 = Cl, R3 = H) in DMF by successive treatment with NaH under N at 0-5.degree. and 2,4,5,6-tetrachloropyrimidine 1 hr at <18.degree.. The activities of I and II against insect and other invertebrate pests, slugs, foliar fungal diseases in plants, many plant bacterial and fungal post-harvest saprophytic diseases, and plants themselves, were assessed. Compns. contg. I and II were described.

IT **38861-37-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pesticide, prepn. of)

RN 38861-37-9 CAPLUS

CN 4-Pyrimidinamine, 2,6-dichloro-N-[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 153 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:410130 CAPLUS

DOCUMENT NUMBER: 83:10130

TITLE: 2-Aryl-4-substituted-**amino**-5-**pyrimidyl** derivatives

INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.

PATENT ASSIGNEE(S): American Home Products Corp.

SOURCE: U.S., 6 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3860596	A	19750114	US 1972-285154	19720831

PRIORITY APPLN. INFO.: US 1972-285154 19720831

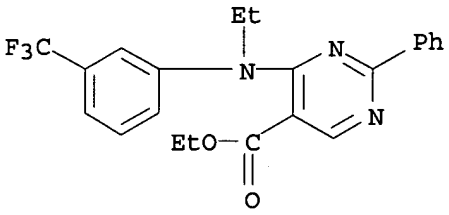
GI For diagram(s), see printed CA Issue.

AB The depressant and antiinflammatory **pyrimidines** I [R = HO(CH2)3, m-F3CC6H4, 2,3-Me2C6H3, R1 = CO2Et, CO2H, CH2OH, R2 = H, Me] were prepd. Thus, PhC(:NH)NH2 was cyclized with EtOCH2CH:C(CO2Et)2 to give Et 4-chloro-6-methyl-2-**phenyl**-3-**pyrimidinecarboxylate**, which with m-F3CC6H4NH2 followed by hydrolysis gave I (R = m-F3CC6H4, R1 = CO2H, R2 = Me) (II). At 127 mg/kg II was a central nervous system depressant and antiinflammatory at 0.09 mM.

IT **55406-02-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)

RN 55406-02-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[ethyl[3-(trifluoromethyl)phenyl]amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 154 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:73045 CAPLUS
DOCUMENT NUMBER: 82:73045
TITLE: Antihypoxic 11H-**pyrimido**-[4,5-b][1,4]-benzodiazepines
INVENTOR(S): Juby, Peter F.; Hudyma, Thomas W.
PATENT ASSIGNEE(S): Bristol Meyers Co.
SOURCE: Ger. Offen., 65 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2418285	A1	19741107	DE 1974-2418285	19740416
US 3872122	A	19750318	US 1973-351217	19730416
US 3880855	A	19750429	US 1974-445382	19740225
AU 7467312	A1	19751002	AU 1974-67312	19740329
FR 2225158	A1	19741108	FR 1974-12385	19740409
BE 813563	A1	19741010	BE 1974-143070	19740410
NL 7405012	A	19741018	NL 1974-5012	19740411
ZA 7402361	A	19750430	ZA 1974-2361	19740411
CA 1034125	A1	19780704	CA 1974-197462	19740411
JP 50035192	A2	19750403	JP 1974-41835	19740416
JP 56018553	B4	19810430		
GB 1466932	A	19770309	GB 1974-16510	19740416
JP 58074685	A2	19830506	JP 1982-159027	19820914
JP 62042909	B4	19870910		

PRIORITY APPLN. INFO.: US 1973-351217 19730416
US 1974-445382 19740225

GI For diagram(s), see printed CA Issue.

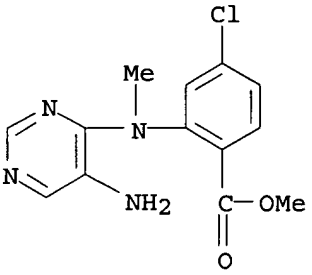
AB Six **pyrimidobenzodiaze**-pines I (R = Me, PhCH2, R1 = Cl, NMe2, PhCH2, cyclopropylamino, Me2NCH2CH2NH) and 8 dihydropyrimidobenzodiaze-pines II (R = H, Me, PhCH2; R2 = H, Cl, Me; R3 = H, Me; R4 = H, Cl), having anti-hypoxia, hypothermal, and antipyretic activity, were prepd. by several methods. a) 4,6-Dichloro-5-nitropyrimidine was treated with Me anthranilate and the product alkylated, or was treated with a Me N-alkylanthranilate, to give Me N-(6-chloro-5-nitro-4-**pyrimidinyl**)-N-alkylanthranilate, which was hydrogenated over Pd/C to give Me N-(5-**amino**-4-**pyrimidinyl**)-N-alkylanthranilate. This was heated to give the 6-oxo deriv. of II (R = alkyl, R3 = H) which was either reduced directly to II (R = alkyl, R3 = H) or was chlorinated to I (R = alkyl, R1 = Cl) (III) and then hydrogenated to the II. III treated with an amine gave I (R = alkyl, R3 = substituted **amino**). b) Et 4-chloro-5-**pyrimidinecar**-boxylate reacted with PhNHMe to give IV which was hydrolyzed, the acid treated with ClCO2Et, and the product with

NaN3, then cyclized (AlCl3) to give the 6-oxo deriv. of II (R = Me, R3 = H). c) The reaction of Ph2P(O)N3, PhCH2OH, and 4-ethoxy-5-**pyrimidinecarboxylic** acid gave benzyl 4-ethoxy-5-**pyrimidinylcarbamate**; this was hydrogenated to 5-**amino**-4-ethoxypyrimidine, converted into 4-ethoxy-5-(o-nitrobenzyliden-**amino**)**pyrimidine**, the benzylamino deriv., and reduced to 5-(o-aminobenzylamino)-4-ethoxypyrimidine, which cyclized over NaH to II (R = R2 = R3 = R4 = H). At 10 mg/kg, II (R = Me, R2 - R4 = H) extended the life of mice at 3% in mixt. of O in N and lowered body temp. by 3.9.degree.. The min. ED for antipyretic activity was 8 mg/kg in rats.

IT **55150-21-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 55150-21-5 CAPLUS

CN Benzoic acid, 2-[(5-amino-4-pyrimidinyl)methylamino]-4-chloro-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 155 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1974:552198 CAPLUS

DOCUMENT NUMBER: 81:152198

TITLE: Synthesis of **pyrimidine**-fused 1,4-benzodiazepines

AUTHOR(S): Kobayashi, Shigeru

CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan

SOURCE: Chemistry Letters (1974), (9), 967-70
CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal

LANGUAGE: English

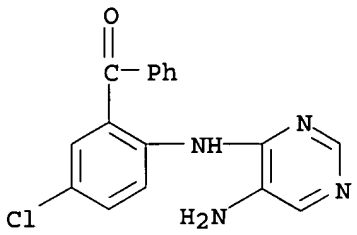
GI For diagram(s), see printed CA Issue.

AB The benzodiazepine I (R = H, X = O) was heated with HCONH2 and POCl3 to give the **pyrimidine** II, which was cyclized with p-Me-C6H4SO3H to give the **pyrimidobenzodiazepine** III (R = H). I (R = Me, X = O) similarly gave III in one step. I (R = H, X = S) and the aminobenzodiazepine IV gave III.

IT **54184-76-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 54184-76-8 CAPLUS

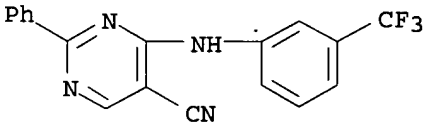
CN Methanone, [2-[(5-amino-4-pyrimidinyl)amino]-5-chlorophenyl]phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 156 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:520687 CAPLUS
DOCUMENT NUMBER: 81:120687
TITLE: 2-Aryl-4-**amino**-5-**cyano** **pyrimidine** derivatives
INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3816423	A	19740611	US 1972-285153	19720831

PRIORITY APPLN. INFO.: US 1972-285153 19720831
GI For diagram(s), see printed CA Issue.
AB The **pyrimidines** I (R = m-F3CC6H4NH; R1 = CN, 1H-tetrazol-5-yl), with central nervous system depressant activity in mice and antiinflammatory activity in rats, were prepd. from I (R = Cl, R1 = CN) (II). Thus, II was refluxed with m-F3CC6H4NH2 in EtOH for 1 hr to give I (R = m-F3CC6H4NH, R1 = CN) which was heated with NaN3-NH4Cl in DMF at 128.degree. for 18 hr to give I (R = m-F3-CC6H4NH, R1 = 1H-tetrazol-5-yl).
IT **53338-10-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with sodium azide)
RN 53338-10-6 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



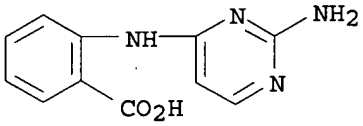
L6 ANSWER 157 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:472481 CAPLUS
DOCUMENT NUMBER: 81:72481
TITLE: Action of different substituents on the biological activity of o-aminobenzoic acid
AUTHOR(S): Mokhort, N. A.
CORPORATE SOURCE: Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR
SOURCE: Farmakologiya i Toksikologiya (Moscow) (1974), 37(3),

281-2
CODEN: FATOAO; ISSN: 0014-8318
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The antiinflammatory, analgesic, and antipyretic actions of o-aminobenzoic acid [118-92-3] were enhanced by substituting **phenyl**, adamantyl adamantylphenyl, 2-aminopyrimidinyl, benzimidazole and methacrylate groups on the **amino** N. The substituents also increased toxicity.

IT **31185-78-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)

RN 31185-78-1 CAPLUS
CN Benzoic acid, 2-[(2-amino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

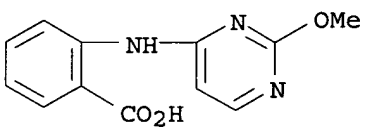


L6 ANSWER 158 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:433135 CAPLUS
DOCUMENT NUMBER: 81:33135
TITLE: Relation of chemical structure to the pharmacological activity of some arylamino derivatives of **pyridine** and **pyrimidine**
AUTHOR(S): Ryabukha, T. K.; Ivanov, A. P.; Karp, V. K.; Danilenko, V. F.
CORPORATE SOURCE: Kiev, USSR
SOURCE: Farmakologiya i Toksikologiya (Kiev) (1973), No. 8, 62-5
CODEN: FATOBP; ISSN: 0430-0939
DOCUMENT TYPE: Journal
LANGUAGE: Russian

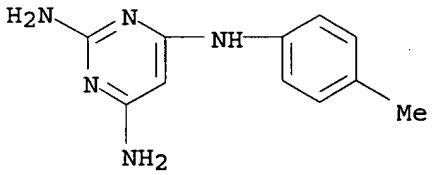
AB Isonicotinic acid derivs., nicotinic acid derivs., and **pyrimidine** arylamino derivs., in decreasing order of activity, exerted antiinflammatory action against formalin-induced inflammations on rat paws. Isonicotinoylamidoantipyrine-2HCl (I) [51382-68-4] and O-isonicotinoylamidobenzoic acid-HCl [51382-69-5] were the most effective (decreased edema by 40 and 35%, resp.) (LD50 i.p. in mice of 1100 and 382 mg/kg, resp.), but of the 9 compds. 4-monoglucosylhydrazino-2-**amino**-6-**pyrimidine** [52050-15-4] was the least toxic (LD50 i.p. in mice of 4000 mg/kg, 25.9% decrease in edema.

IT **51658-12-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)

RN 51658-12-9 CAPLUS
CN Benzoic acid, 2-[(2-methoxy-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



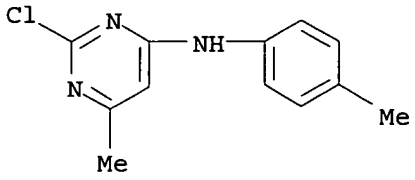
L6 ANSWER 159 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:133376 CAPLUS
DOCUMENT NUMBER: 80:133376
TITLE: Reaction of 6-**amino**- and 6-hydrazinopyrimidines with diethyl azodicarboxylate. New method for C-5 functionalization of **pyrimidines**
AUTHOR(S): Taylor, Edward C.; Sowinski, Frank
CORPORATE SOURCE: Dep. Chem., Princeton Univ., Princeton, NJ, USA
SOURCE: Journal of Organic Chemistry (1974), 39(7), 907-10
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 6-**Amino**- and 6-hydrazinopyrimidines react with di-Et azodicarboxylate (I) to give 5-(1,2-dicarbethoxyhydrazino) derivs. The synthetic potential of this simple method for the direct introduction of Ni into the 5 position of the **pyrimidine** ring is illustrated by a synthesis of 1,3-dimethyluric acid from 1,3-dimethyl-6-aminouracil by reaction with I, redn. to 1,3-dimethyl-5-carbethoxyamino-6-aminouracil, and thermal ring closure.
IT **49753-53-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diethyl azodicarboxylate)
RN 49753-53-9 CAPLUS
CN 2,4,6-Pyrimidinetriamine, N4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



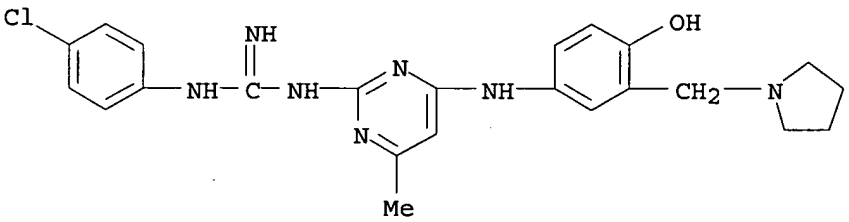
L6 ANSWER 160 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:133374 CAPLUS
DOCUMENT NUMBER: 80:133374
TITLE: Preparation of some methylpyrimidines expected to be antimetabolites
AUTHOR(S): Abou Ouf, A. A.; El-Kerdawy, M. M.; Missalem, A. A.
CORPORATE SOURCE: Drug Res. Control Cent., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1973), 14(2), 189-95
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Nine methylpyrimidines [I; R = Cl, morpholino, substituted anilino; R1 = PhNH, PhCH2NH, R (except Cl), potentially useful as antimetabolites, were prepd. in 40-60% yield by reaction of 0.1 mole I (R = R1 = Cl) (II) with 0.1 mole amine in dioxane or in PhMe contg. Na2CO3 at reflux or with 0.3 mole amine in refluxing EtOH contg. H2SO4. The reaction of II with p-H2NC6H4CO2H was more rapid than with other amines and always gave the dideriv. even when equimolar amts. of the reactants were used. I (R = Cl, R1 = PhCH2NH) on hydrolysis with 30% NaOH soln. gave I (R = OH, R3 = PhCH2NH). II was prepd. by the action of POCl3 on methyluracil which was obtained from the corresponding thiouracil which was prepd. by condensation of thiourea with MeCOCH2CO2Et according to R. Robinson (1935).

09/ 922,874

IT **51944-26-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 51944-26-4 CAPLUS
CN 4-Pyrimidinamine, 2-chloro-6-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 161 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:103776 CAPLUS
DOCUMENT NUMBER: 80:103776
TITLE: Antimalarial drugs. 35. Synthesis and antimalarial effects of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)**amino**]-6-methyl-2-**pyrimidinyl**]guanidine and related substances
AUTHOR(S): Elslager, Edward F.; Werbel, Leslie M.; Curry, Ann; Headen, Nancy; Johnson, Judith
CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(1), 75-100
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Structure-antimalarial activity of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)**amino**]-6-methyl-2-**pyrimidinyl**]guanidine (I) [21062-28-2] and 120 analogs prepd. by condensation of the aryl(4-chloro-6-methyl-2-**pyrimidinyl**)guanidine derivs. with the appropriate polyamines is given. Curative activity against Plasmodium berghei infection in mice was shown by 90 compds. in single s.c. doses of 20-640 mg/kg. While 62 compds showed suppressive activity after oral administration, 46 of them were 2-30 times as potent as quinine-HCl [130-89-2]. Strong suppressive activity against P. gallinaceum in chicks was shown by 59 compds.
IT **51386-74-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimalarial activity of)
RN 51386-74-4 CAPLUS
CN Guanidine, N-(4-chlorophenyl)-N'-[4-[[4-hydroxy-3-(1-pyrrolidinylmethyl)phenyl]amino]-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

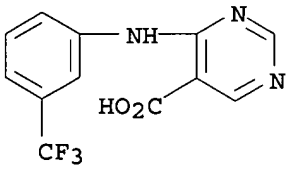


L6 ANSWER 162 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:546555 CAPLUS
DOCUMENT NUMBER: 79:146555
TITLE: 4-**Amino**-5-**pyrimidinecarboxylic** acids and intermediates
INVENTOR(S): Jutz, Christian; Mueller, Werner
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: U.S., 5 pp. Division of U.S. 3,523,119 (CA 73;77277k).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3759976	A	19730918	US 1970-38646	19700522
US 3523119	A	19700804	US 1967-674695	19670926

PRIORITY APPLN. INFO.: US 1967-674695 19670926
DE 1966-1670233 19670602

GI For diagram(s), see printed CA Issue.
AB **Pyrimidinecarboxylic** acids (I, R = CO2H, R1 = CF3, Me; R2 = H, Me) were prepd. by treating Me2NCH:NCR3:C(CN)CH:N+Me2 ClO4- (II, R3 = Cl) with 3,4-R1R2C6H3NH2 to give II (R3 = 3,4-R1R2C6H3NH) which was cyclized by boiling in 25% aq. NH3 to give I (R = CN), followed by hydrolysis to I. II (R3 = Cl) was prepd. by treating CH2(CN)2 with Me2N+:CHCl- and NaClO4.
IT **6454-66-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 6454-66-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



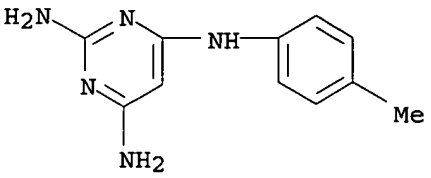
L6 ANSWER 163 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:537094 CAPLUS
DOCUMENT NUMBER: 79:137094
TITLE: Synthesis of some 2,6-diamino-9-arylpurines, 2,6-diamino-9-aryl-8-azapurines, and some related 5-phenylazopyrimidines
AUTHOR(S): Sen, D.; Sengupta, Purnendu
CORPORATE SOURCE: Dep. Appl. Chem., Univ. Coll. Sci. Technol., Calcutta, India
SOURCE: Journal of the Indian Chemical Society (1973), 50(4), 260-3
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The **pyrimidines** I (R1 = NO) were reduced with Na2S2O4 to give I (R1 = NH2).NaHSO3, which with HCONH2 gave the purines II (R = MeO, iodo). I (R1 = NH2).NaHSO3 and NaNO2 gave the azapurines III (R = MeO, Me, iodo). I (R1 = H) was treated with PhN2+ Cl- to give I (R = MeO, Me, iodo; R1 =

PhN:N).

IT **49753-53-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phenyldiazonium chloride)

RN 49753-53-9 CAPLUS

CN 2,4,6-Pyrimidinetriamine, N4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 164 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:478734 CAPLUS

DOCUMENT NUMBER: 79:78734

TITLE: Synthesis and antimalarial effects of 5,6-dichloro-2-[(4-[[4-(diethylamino)-1-methylbutyl] **amino**]-6-methyl-2-**pyrimidinyl**) **amino**]benzimidazole and related benzimidazoles and 1H-imidazo[4,5-b]**pyridines**

AUTHOR(S): Werbel, Leslie M.; Curry, Ann; Elslager, Edward F.; Hess, Carolyn

CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA

SOURCE: Journal of Heterocyclic Chemistry (1973), 10(3), 363-82
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

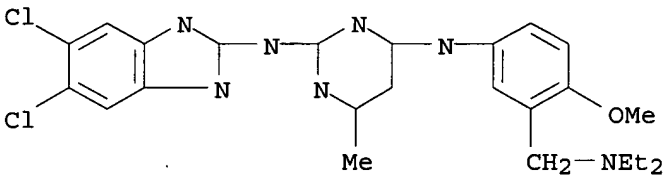
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Fifty-five 2-[[4-[[(dialkylamino)alkyl]**amino**] - 6 - methyl - 2 - **pyrimidinyl**]**amino**]benzimidazoles were prepd. in 3-88% yields by the condensation of the requisite 2-[(2-benzimidazolyl) **amino**]-4-chloro-6-methylpyrimidine with the appropriate polyamine in EtOH-HCl or neat with excess amine contg. KI. The 2-[(2-benzimidazolyl)**amino**]-6-methyl-4-**pyrimidinol** precursors, obtained in 11-51% yields by cyclization of 2-(cyanoamino)-4-**hydroxy**-6-methylpyrimidine with a suitably substituted o-phenylenediamine, were chlorinated with POCl3 to give the intermediate 2-[(2-benzimidazolyl)**amino**]-4-chloro-6-methylpyrimidines (27-99%). Oxidn. of 5,6-dichloro-2-[[4-[[4-(diethylamino)-1-methylbutyl] **amino**]-6-methyl-2-**pyrimidinyl**]**amino**]benzimidazole with m-chloroperbenzoic acid gave the distal N4'-oxide (19%). Fusion of 2,3-diaminopyridine with 2-(cyanoamino)-4-**hydroxy**-6-methylpyrimidine provided 2-[(4-**hydroxy** -6-methyl-2-**pyrimidinyl**)**amino**]-1H-imidazo[4,5-b] **pyrimidine** (30%), which upon chlorination with POCl3 (63%) followed by amination with N,N-diethylethylenediamine afforded 2-[4-[[2-(diethylamino)ethyl]**amino**]-6-methyl-2-**pyrimidinyl**]-1H-imidazo[4,5-b]**pyridine** (8%). Thirty-eight 2-[(4-**amino**-6-methyl-2-**pyrimidinyl**) **amino**]benzimidazoles possessed curvative activity against Plasmodium berghei at single subcutaneous doses ranging from 20-640 mg/kg. Orally, 31 compds. exhibited suppressive activity against P. berghei comparable with or superior to the reference drugs 1-(p-chlorophenyl)-3-[4-[[2-(diethylamino)ethyl]**amino**]-6-methyl-2-**pyrimidinyl**]guanidine (I) and quinine-HCl while 12 of them were 5 to 28 times as potent as I and quinine-HCl. Eight compds. also displayed strong

suppressive activity against P. gallinaceum in chicks. 5,6-Dichloro-2-[[4-[2-(diethylamino)ethyl]**amino**]-6-methyl-2-**pyrimidinyl**]-benzimidazole showed marked activity against a cycloguanil-resistant line of P. berghei, and the most promising member of the series, i.e. 5,6-dichloro-2-[[4-[[4-(diethylamino)-1-methylbutyl]**amino**]-6-methyl-2-**pyrimidinyl**]**amino**]benzimidazole (I), was designated for preclinical toxicol. studies and clin. trial. Structure-activity relations are discussed.

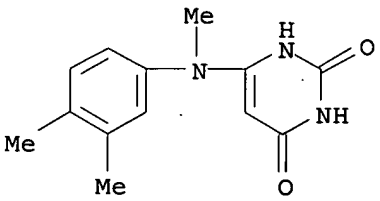
IT **42389-11-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 42389-11-7 CAPLUS
CN 2,4-Pyrimidinediamine, N2-(5,6-dichloro-1H-benzimidazol-2-yl)-N4-[3-[(diethylamino)methyl]-4-methoxyphenyl]-6-methyl- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L6 ANSWER 165 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:159558 CAPLUS
DOCUMENT NUMBER: 78:159558
TITLE: Heterocycle N-oxide synthesis by the nitrative cyclization. New syntheses of fervenulin N-oxide, alloxazine N-oxide, isoalloxazine N-oxide, and purine N-oxide
AUTHOR(S): Yoneda, Fumio; Sakuma, Yoshiharu
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1973), 21(2), 448-50
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The fervenulin oxides I (R=Ph, 3,4-Cl2C6H3, 3-**pyridyl**) were prepd. by treating the hydrazones II with KNO3 in HOAc contg. H2SO4. Six alloxazine 5-oxides III (R-H, Me; R1=H, Cl; R2=H, Me, NO2; R3=H, Me, Cl), the isoalloxazine 5-oxides IV (R, R1, R2=H, Me; R3=Me, d-ribityl) and the purine oxide (V) were similarly prepd. from the corresponding uracils.

IT **36995-89-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(nitrative cyclization of)
RN 36995-89-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 6-[(3,4-dimethylphenyl)methylamino]- (9CI) (CA INDEX NAME)



L6 ANSWER 166 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:137946 CAPLUS
DOCUMENT NUMBER: 78:137946
TITLE: Water/soluble fiber-reactive dyes
INVENTOR(S): Bien, Hans Samuel; Klauke, Erich
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2132765	A1	19730118	DE 1971-2132765	19710701
IT 956939	A	19731010	IT 1972-26383	19720628
NL 7209219	A	19730103	NL 1972-9219	19720630
FR 2143936	A1	19730209	FR 1972-23786	19720630
GB 1334656	A	19731024	GB 1972-30725	19720630
BE 785782	A1	19730103	BE 1972-119452	19720703

PRIORITY APPLN. INFO.: DE 1971-2132765 19710701

AB Eleven title dyes (I; R = o-HO3SC6H4, Ph, 1-HO3S-2-C10H6, 2,4,6-(HO3S)2MeC6H2, or 3,6,8-trisulfo-2-**naphthyl**; R1 = H or SO3H; R2 = p-O2NC6H4O, PhO, p-HO3SC6H4O, p-ClC6H4S, 2-benzothiazolythio, SCH2CH2OH) and (II, R = H or SO3H, R1 = NO2 or SO3H) were prepd. and used for dyeing cotton textiles wetfast red to blue shades. Thus, the red coupling product of 1-(5-chlorodifluoropyrimidinylamino)-8-**hydroxy**-3,6-naphthalenedisulfonic acid and diazotized o-sulfanilic acid was heated with p-O2NC6H4OH in aq. Na2CO3 3 hr at 50.deg. and pH 7-7.5 to give red dye (I, R = o-HO3SC6H4, R1 = 6-SO3H, R2 = p-O2NC6H4O, **pyrimidinylamino** group in 8-position). Similarly prepd. were 8 other I. 1-**Amino**-4-[3-(5-chloro-2,6-difluoro-4-**pyrimidinylamino**)-4,6-disulfophenylamino]-2-sulfoanthraquinone was heated with p-O2NC6H4OH in aq. Na2CO3 4.5 hr at 50.deg. to give dye (II, R = SO3H, R1 = NO2). Similarly prepd. was 1 other II.

IT **41584-05-8P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)
RN 41584-05-8 CAPLUS
CN 2-Anthracenesulfonic acid, 1-amino-4-[[3-[[5-chloro-2(or 6)-fluoro-6(or 2)-(4-sulfophenoxy)-4-pyrimidinyl]amino]phenyl]amino]-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)

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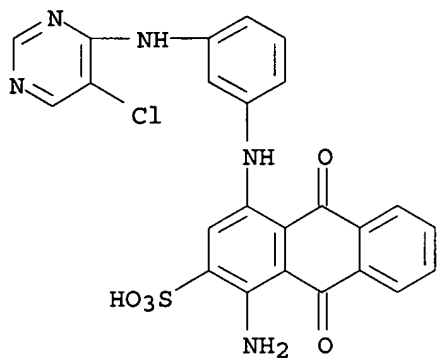
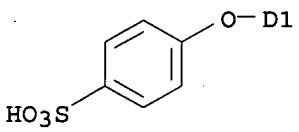




PAGE 2-A

D1— F

L6 ANSWER 167 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:564755 CAPLUS
DOCUMENT NUMBER: 77:164755
TITLE: Pesticidal polyhalogenated diazinylamines
INVENTOR(S): Barlow, Charles Brian; White, Brian Graham; Tomlin, Clive D. S.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: Ger. Offen., 80 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2213082	A	19721005	DE 1972-2213082	19720317
GB 1394816	A	19750521	GB 1971-7289	19720221
US 3906098	A	19750916	US 1972-230513	19720229
ZA 7201368	A	19721227	ZA 1972-1368	19720301
PL 83258	P	19751231	PL 1972-153831	19720302
AU 7239704	A1	19730913	AU 1972-39704	19720307
BE 780547	A1	19720911	BE 1972-114976	19720310
NL 7203572	A	19720921	NL 1972-3572	19720317
FR 2129754	A5	19721027	FR 1972-9421	19720317
BR 7201573	A0	19730426	BR 1972-1573	19720317
HU 164620	P	19740328	HU 1972-IE492	19720317
DD 105385	C	19740420	DD 1972-161623	19720317
IT 965672	A	19740211	IT 1972-22093	19720318
US 3974276	A	19760810	US 1975-572830	19750428

PRIORITY APPLN. INFO.:
GB 1971-7289 19710319
GB 1971-7290 19710319
GB 1971-7293 19710319

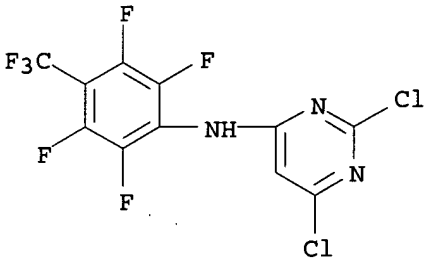
US 1972-230513 19720229

AB Forty title compds. RR1NH (I; R = substituted py-rimidinyl, **pyridazinyl**, or pyrazinyl; R1 = substituted **phenyl**, **naphthyl**, **pyridyl**, or **pyrimidinyl**) were prepd. by reaction of RNH2 with NaH and R1X (X = F or Cl). I were used as in-secticides, ascaricides, nematocides, and molluscicides, against plant diseases caused by fungus species or bacteria, and in pre-and postemergent tests against weeds without affecting culture plants. Thus, 4-**amino**-2,5,6-trichloropyrimidine and octa-fluorotoluene in DMF were added to NaH in DMF at 0.degree. under N and the mixt. stirred 30 min at .ltoreq.21.degree. to give 4-(2,3,5,6-tetra-fluoro - 4 - trifluoromethylanilino) - 2,5,6 - trichloropyrimidine. Compns. contg. I were reported.

IT **38861-37-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38861-37-9 CAPLUS

CN 4-Pyrimidinamine, 2,6-dichloro-N-[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 168 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:448506 CAPLUS
DOCUMENT NUMBER: 77:48506
TITLE: 6-Arylpyrimidines for inhibiting thrombocyte aggregation and as bronchodilators
INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 87 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2149249	A	19720413	DE 1971-2149249	19711002
DE 2149249	B2	19741107		
DE 2149249	C3	19750703		
US 3707560	A	19721226	US 1970-78216	19701005
FI 55502	C	19790810	FI 1971-2734	19710930
FI 55502	B	19790430		
DK 131858	B	19750915	DK 1971-4801	19711001
ZA 7106615	A	19720628	ZA 1971-6615	19711004
ES 395676	A1	19741016	ES 1971-395676	19711004
GB 1373535	A	19741113	GB 1971-46158	19711004
GB 1373536	A	19741113	GB 1973-38316	19711004
CA 988519	A1	19760504	CA 1971-124312	19711004
SE 385885	C	19761104	SE 1971-12534	19711004
SE 385885	B	19760726		

SE 390304	B	19761213	SE 1974-10488	19711004
BE 773484	A1	19720405	BE 1971-3448	19711005
NL 7113670	A	19720407	NL 1971-13670	19711005
NL 168511	B	19811116		
NL 168511	C	19820416		
FR 2110227	A5	19720602	FR 1971-35815	19711005
FR 2110227	B1	19750207		
CH 542218	A	19731115	CH 1973-7729	19711005
AT 314540	B	19740410	AT 1971-8580	19711005
AT 315856	B	19740610	AT 1973-148	19711005
AT 316563	B	19740725	AT 1973-149	19711005
AT 317229	B	19740826	AT 1973-6054	19711005
CH 554346	A	19740930	CH 1972-15321	19711005
CH 554876	A	19741015	CH 1971-14529	19711005
CH 554875	A	19741015	CH 1972-15214	19711005
JP 56048511	B4	19811116	JP 1971-78237	19711005
AU 7134259	A1	19730412	AU 1971-34259	19711006
DK 130971	B	19750512	DK 1973-1429	19730316
CA 978531	A2	19751125	CA 1973-176049	19730710
ES 420211	A1	19760316	ES 1973-420211	19731102
ES 420210	A1	19760601	ES 1973-420210	19731102
ES 420209	A1	19760601	ES 1973-420209	19731102
CA 978532	A2	19751125	CA 1974-191086	19740128
SE 7410488	A	19740816	SE 1974-10488	19740816
FI 55834	C	19791010	FI 1977-3287	19771102
FI 55834	B	19790629		
JP 56036468	A2	19810409	JP 1980-110163	19800811
JP 57008107	B4	19820215		

PRIORITY APPLN. INFO.:	US 1970-78216	19701005
	FI 1971-2734	19710930
	DK 1971-4801	19711001
	CA 1971-124312	19711004

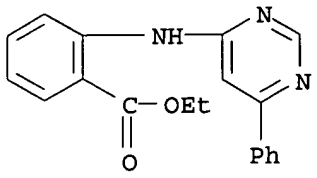
GI For diagram(s), see printed CA Issue.

AB 4-**Amino**-6-arylpyrimidines (I), useful for inhibition of thrombocyte aggregation and as bronchodilators, were prepd. by reaction of RMgX with R1CH(CN)CO2Et to give ArC(NH2):CR1CO2Et, which was condensed with HCONH2 to give the 4-**hydroxy** analog of I, treated with POCl3, and R2R3NH. Other methods included reaction of substituted .omicron.-chlorobenzonitrile with NaSCH2CO2Me to give a 2-**amino**-3-methoxydihydrobenzo[b]thiophene which was condensed with HCONH2 to give a 4-**hydroxy**-[1]benzothieno[3,2-d]**pyrimidine**, treatment with POCl3, R2R3NH, then H over Raney Ni, or by condensation of RCOCHR1CO2Et with (NH2)2CS to give a 6-aryl-2-mercapto-4-hydroxypyrimidine which was hydrogenated over Raney Ni, treated with POCl3, then R2R3NH. About 75 I [R = Ph, substituted **phenyl**, 2-furyl, 2-**thienyl**; R1 = H, Et, Pr; R2 = H, C1-4 alkyl, allyl; R3 = H, C1-4 alkyl, CF3CH2, allyl, Me2N(CH2)2, 3-picolyl; or R2R3 = (CH2)4-6, (CH2)2O(CH2)2, or (CH2)2NMe(CH2)2] were prepd.

IT **36822-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

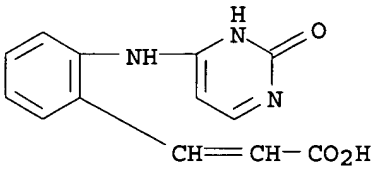
RN 36822-94-3 CAPLUS

CN Benzoic acid, 2-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 169 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:141300 CAPLUS
DOCUMENT NUMBER: 76:141300
TITLE: N-**Pyrimidinylamino** acids. III. N-(oxopyrimidinyl) derivatives of neutral **amino** acids
AUTHOR(S): Hoffmann, Siegfried; Schubert, Hermann; Nitsche, Klaus
CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1972), 12(1), 21-2
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Refluxing **pyrimidinones** (I or II) with **amino** acids R1R2NH in the presence of Na2CO3 in H2O 5-20 hr gave 10-35% N-(oxopyrimidinyl)**amino** acids [III; R,-R1 = H or Me; R2 = CH2CO2H, (CH2)3CO2H, (CH2)5CO2H, CH2C6H4CO2H-p, o-C6H4CH:CHCO2H, CH[CH2(OH)]CO2H, CH[CHMe(OH)]CO2H, CH[CH2CH2(OH)]CO2H, 3-oxotetrahydro-4-isoxazolyl, CH[CH2(SH)]CO2H, CH[CH2CH2(SMe)]-CO2H, CH[CH2C6H4(OH)-p]CO2H, CH[CH2C6H3(OH)2-3,4]-CO2H, or CH2CONHCH2CONHCH2CO2H] or IV [R1 = H, R2 = CH[CHMe(OH)]CO2H or CH[CH2C6H3(OH)2-3,4]CO2H].
IT **35886-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35886-94-3 CAPLUS
CN 2-Propenoic acid, 3-[2-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]phenyl]-(9CI) (CA INDEX NAME)



L6 ANSWER 170 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:140543 CAPLUS
DOCUMENT NUMBER: 76:140543
TITLE: Antiinflammatory phenylacetanilides and analogous compounds
INVENTOR(S): Aries, Robert
SOURCE: Fr. M., 23 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent

09/ 922,874

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 7651		19700202	FR 1968-161789	19680802

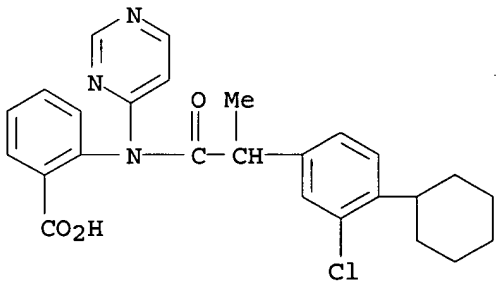
GI For diagram(s), see printed CA Issue.

AB Compds. (I) and their salts, with antiphlogistic, antiinflammatory, antirheumatic, and analgesic activity, were prepd. by acylation of N-substituted anthranilic acids or their analogs (X = CH:-CHN, CH:CHCH, N:CHCH, SCH, A = aryl, cycloalkyl, heterocyclyl) with the acid chlorides (II, Y = CH2, CHMe, (CH2)3, or C:CH2, R1 = alkyl, cycloalkyl, aryl, or arylthio, R2 = H, F, or Cl). Thus, 2-(2-methyl-3-nitroanilino)nicotinic acid was condensed (NEt3) with 2-(4-isobutylpnenyl)propionyl chloride to give III (Z = N, Y = CHMe, R1 = iso-Bu, R2 = R5 = H, R3 = 2-Me, R4 = 3-NO2). Also reported were 3-carboxy-4-[N-(4-isobutylphenylacetyl)-N-(6-methyl-2-**pyridyl**)**amino**]-thiophene, 2-[N-(2,5-dimethylcyclohexyl)-2-(4-isobutylphenyl)-propionamido]nicotinic acid, and N-(2,5-dimethylcyclohexyl)-N-[2-(4-isobutylphenyl)propionyl]anthranilic acid. Many examples were given. The products were not characterized.

IT **26852-74-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 26852-74-4 CAPLUS

CN Benzoic acid, 2-[[2-(3-chloro-4-cyclohexylphenyl)-1-oxopropyl]-4-pyrimidinylamino]- (9CI) (CA INDEX NAME)



L6 ANSWER 171 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:35226 CAPLUS
DOCUMENT NUMBER: 76:35226
TITLE: Reactive monoazo pyrazolinone dyes
INVENTOR(S): Brenneisen, Kurt
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3542753	A	19701124	US 1967-673915	19671009
CH 481187	A	19691115	CH 1966-481187	19661014
GB 1167628	A	19691015	GB 1967-1167628	19671005

PRIORITY APPLN. INFO.: CH 1966-14888 19661014

AB The title dyes (I; m = 0,1; n = 0,1; R =H, Cl; X = COC2H4Cl, chloro

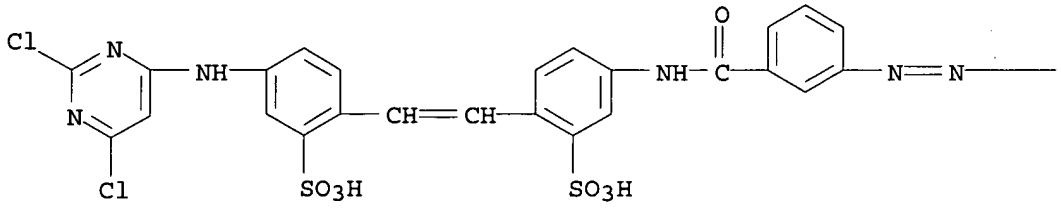
substituted heteroaryl), greenish yellow on cotton or viscose rayon and fast to light and wet treatments were prepd. by coupling a diazotized amine (II; Y = Ac, COC2H4Cl, chloroheteroaryl) with a pyrazolone. I(X = Ac) was deacetylated and condensed with a chloroheteroaromatic compound or ClCOC2H4Cl when II (Y = Ac) was used for coupling. 4-[3-[1-(2-Chloro-5-sulfophenyl)-3-methyl-5-**hydroxy**-4-pyrazolylazo]benzamido]-4'-(trichloro-4-**pyrimidinylamino**)biphenyl-3-sulfonic acid [33666-49-8], 4-[3-[1-(2-chloro-4-sulfophenyl)-3-methyl-5-**hydroxy**-4-pyrazolylazo]benzamido-4'-[(2,3-dichloroquinoxalin-6-yl)carbonylamino]stilbene-2,2'-disulfonic acid [33712-52-6], and 5 other I were prepd.

IT **34895-15-3P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

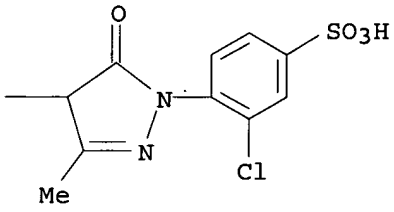
RN 34895-15-3 CAPLUS

CN Benzenesulfonic acid, 5-[[3-[[1-(2-chloro-4-sulfophenyl)-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-4-yl]azo]benzoyl]amino]-2-[2-[4-[(2,6-dichloro-4-pyrimidinyl)amino]-2-sulfophenyl]ethenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L6 ANSWER 172 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:540880 CAPLUS
DOCUMENT NUMBER: 75:140880
TITLE: 5-**Pyrimidinecarboxylic** acid derivatives
INVENTOR(S): Jutz, Christian; Mueller, Werner
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger., 4 pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1670233	A	19700813	DE 1967-B92846	19670602

PRIORITY APPLN. INFO.: DE 1967-B92846 19670602
GI For diagram(s), see printed CA Issue.

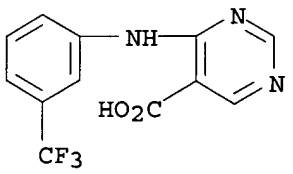
09/ 922,874

AB 5-**Pyrimidinecarboxylic** acid derivs. I (R1, R2, R3 = H, halogen, C1-5 alkyl or alkylene, CF3, NO2, OMe, or sulfonamido; R4 = CO2H or CN) were prepd. by treating 1 mole malonic dinitrile (II) with 2 moles Me2N:CHCl+Cl- in an inert solvent at 10-110.degree., treating the reaction product with NaClO4 and then with R1,R3,R3-substituted aniline, and treating the substitution product with NH3. For example, 13.2 g II in 20 ml CHCl3 was added to 47.5 g DMF and 51.3 ml oxalyl chloride in 120 ml CHCl3, heated to 64.degree., and evapd. The residue was dissolved in 90 ml Et2O and treated with 25 g NaClO4 in 200 ml H2O to give 51 g 1-(dimethylamino)-5-(dimethylammonio)-3-chloro-4-**cyano**-2-aza-1,3-pentadiene perchlorate (III). III (31.25 g) was refluxed with 49.0 g m-aminobenzotrifluoride and 100 ml CHCl3, and the product was treated with 200 ml 25% NH3 to give 19.1 g 4-(m-trifluoromethylanilino)-5-cyanopyrimidine.

IT **6454-66-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 6454-66-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



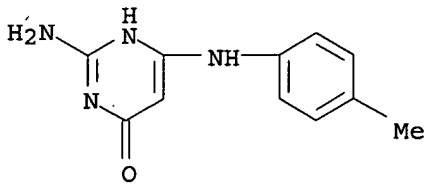
L6 ANSWER 173 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:488577 CAPLUS
DOCUMENT NUMBER: 75:88577
TITLE: Pteridines. XLIV. Synthesis and structure of N-8-substituted pterins and lumazines
AUTHOR(S): Pfleiderer, Wolfgang; Mengel, Rudolf; Hemmerich, Peter
CORPORATE SOURCE: Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1971), 104(7), 2273-92
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German

AB The title compds. were prepd., e.g., by reaction of 2-**amino**-4-arylamino-6-oxo-1,6-dihydropyrimidines, prepd. from the 4-chloro compd. and p-RC6H4XH2, with HNO2 to give the 5-nitroso derivs., which on redn. with Zn/HCO2H yielded the 5-formylamino compd. (I). Hydrolysis of I with MeOH-HCl gave the 5-**amino** compd., which on cyclization with HCOCHO or AcAc yielded the 8-arylpteridines. The structural complexity of the various mol. species in dependence of the pH value is discussed on the basis of uv and XMR spectra as well as pKa values. Isolation of 3,6-dimethyl-7-methylene-8-**phenyl**-7,8-dihydropterin proved for the first time that 8-substituted-6,7-dimethylpteridine derivs. do not react in basic medium with ring opening but with deprotonation at 7-Me.

IT **33344-18-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 33344-18-2 CAPLUS

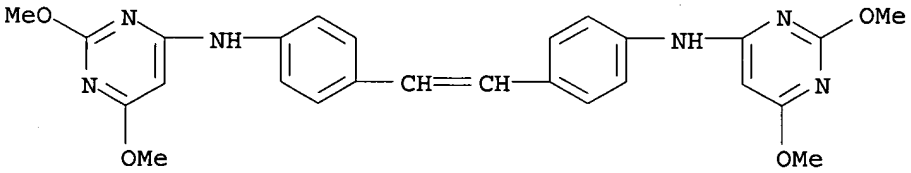
CN 4(1H)-Pyrimidinone, 2-amino-6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 174 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:437712 CAPLUS
DOCUMENT NUMBER: 75:37712
TITLE: Fluorescent whitening agents. 4. Fluorescence of N-substituted aminostilbenes
AUTHOR(S): Abe, Haruo; Jyokoji, Nobuaki; Inoue, Hisaaki; Asaumi, Eiji; Sekiguchi, Shizen; Matsui, Kohji
CORPORATE SOURCE: Fac. Technol., Gunma Univ., Kiryu, Japan
SOURCE: Kogyo Kagaku Zasshi (1971), 74(4), 729-34
CODEN: KGKZA7; ISSN: 0368-5462
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The fluorescence spectra of 36 N-substituted diaminostilbenes in DMF showed that the introduction of Ac, CO2Et, CONH2, **pyridyl** groups or **pyridinyl** or s-triazinyl groups contg. an **amino** or alkoxy group enhanced the fluorescence. However ClCH2CO, CH2:CHCO, PhCH:CHCO, **pyrimidinyl**, or s-triazinyl groups contg. an active Cl atom, or s-triazinyl group contg. a Ph group decreased the fluorescence. The substituted stilbenes were prepd. by condensation of diaminostilbene with acid anhydride or acid chloride, isocyanurates, or heterocycles having Cl atom, or reaction of tetrazotized diaminostilbene with naphthylamine.

IT **33243-62-8**
RL: PRP (Properties)
(fluorescence of)
RN 33243-62-8 CAPLUS
CN Pyrimidine, 4,4'-[vinylenebis(p-phenyleneimino)]bis[2,6-dimethoxy- (8CI) (CA INDEX NAME)



L6 ANSWER 175 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:143289 CAPLUS
DOCUMENT NUMBER: 74:143289
TITLE: Reactive dyes. II. Synthesis and evaluation of dyes containing monochloropyrimidinium and mono(methylthio) **pyrimidinium** systems
AUTHOR(S): Hickmott, Peter W.
CORPORATE SOURCE: Dep. Chem., Univ. Salford, Salford/Lancashire, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (7), 1231-4
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English

09/ 922,874

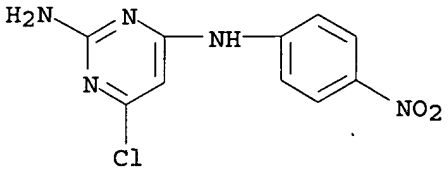
GI For diagram(s), see printed CA Issue.

AB Reactive dyes (I and II, R = H or SO3H) were prepd. by reaction of diazotized 2-**amino**-4-(p-aminoanilino)-6-chloropyrimidine or the corresponding 1-methylpyrimidinium Me sulfate with .beta.-naphthol or .beta.-naphthol-3,6-disulfonic acid. Dye (III) was prepd. by reaction of 4-chloro-6-methyl-2-(methylthio)**pyrimidine** with 4-**amino** -2',4'-dinitrodiphenylamine and quaternization of the product with Me2SO4. The Cl atom in II was more reactive toward 0.5N NaOH than the Cl in I, but none of the dyes were of interest as reactive dyes owing to their poor washfastness on cellulosic fibers.

IT **32100-65-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 32100-65-5 CAPLUS

CN Pyrimidine, 2-amino-4-chloro-6-(p-nitroanilino)- (8CI) (CA INDEX NAME)



L6 ANSWER 176 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:446633 CAPLUS
DOCUMENT NUMBER: 73:46633
TITLE: **Pyrimidine** azo dyes
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
SOURCE: Fr., 66 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1551400		19681227		
PRIORITY APPLN. INFO.:			CH	19670109

GI For diagram(s), see printed CA Issue.

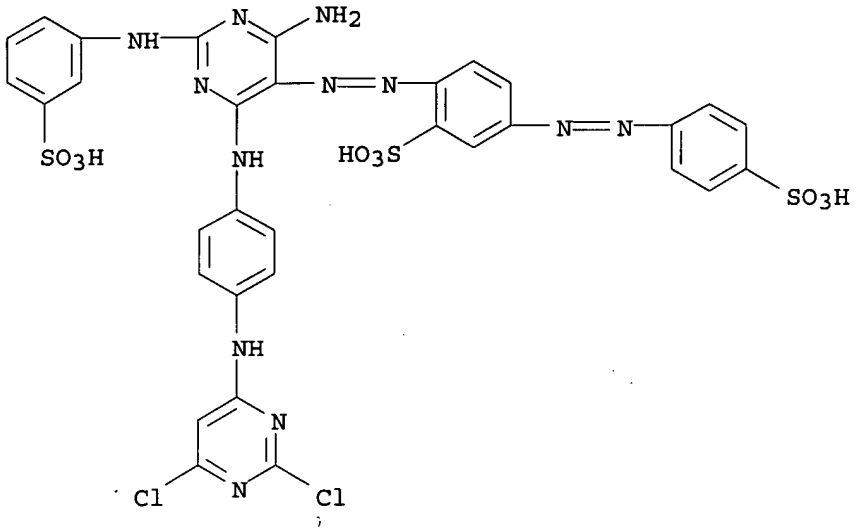
AB The water-sol. title compds. (I), dyes for polyamide (II) (including wool) and (or) cotton (III) fibers, are prepd. by coupling into 2,4,6-triaminopyrimidines. Thus, 12.8 g 4-ClC6H4NH2 was diazotized and coupled with 47 g 2,4-bis(cyclohexylamino)-6-(m - sulfoanilino) **pyrimidine** (IV) to give I [R = R1 = cyclohexyl, R2 = m-HO3SC6H4 (Q), R3 = R4 = R6 = H, R5 = Cl], yellow on II. By use of a mixt. of IV with its 2-Q isomer, a dye mixt. was obtained, yellow on II. Similarly were prepd. the following I (R-R6 and shade given): Me, Me, Me, QSO2, H, H, H, reddish yellow on II; Et, Et, Ph, QSO2, H, H, H, yellow orange on II; Q, Q, cyclohexyl, H, H, PhN:N, H, scarlet on II; Et, Et, 3,4-H2N(HO3S)C6H3, H, H, SO3H, H [diazotized and coupled with 3-methyl-1-**phenyl**-5-pyrazolone (V)], yellow on II; Et, Et, 3,4-H2N(HO3S)C6H3, H, H, H, H [diazotized and coupled with 2,8,6-H2N(HO)C10H5SO3H], brown on II; H, H, Q, SO3H, H, H, 4,6-dichloro-s-triazin-2-ylamino, greenish yellow in H2O; H, H, 5,2-H2N(HO3S)C6H3, SO3H, (R4R5 =) CH:CHCH:C(SO3H), H (condensed with 2,3-dichloroquinoxaline-6-carbonyl chloride), yellow on III; H, H, 3,4-H2N(HO3S)C6H3, SO3H, H, H, H (condensed with 2,4-dichloro-5-**pyrimidinecarbonyl** chloride), yellow on III; H, H, Q, Cl, H, Cl, H (VI), yellow on II (mixt. of VI with its 2-Q isomer yellow on II); H, H, Q, p-MeC6H4O, H, SO3H, H (condensed on R3 with

ClCH2CONHCH2OH), yellow on II; H, H, 5,2-HO3S(4-Me-C6H4O)C6H3, H, H, 4-HO3SC6H4N:N, H (condensed with ClCH2CONHCH2OH), scarlet on II; H, H, Q, SO3H, H, H, 5,2-H2N(HO3S)C6H3 [condensed with 2,4,5,6-tetrachloropyrimidine (VII)], yellow on III; H, H, 5,2-H2N(HO3S)C6H3, SO3H; H, H, H (condensed with 2-chloro-4-sulfo-5-**pyrimidinecarbonyl** chloride), yellow on III; m-H2NC6H4, H, cyclohexyl, SO3H, (R4R5 =) CH:C(SO3H)CH:C(SO3H), H (condensed with VII), yellow on III; m-H2NC6H4, Me, p-HO3SC6H4, SO3H, H, H, SO3H (condensed with VII), yellow in H2O; Q, H, m-H2NC6H4, SO3H, H, 4-HO3SC6H4N:N, H (condensed with 2,4,6-trichloropyrimidine), yellowish red on III. Similarly prepd. were sym. dyes in which one of the R is a divalent radical linking 2 I nuclei (same data given): H, H, Q, H, SO2, H, H, yellow on II; Q, H, m-C6H4, Cl, H, Cl, H, yellow on II and III; H, H, 5,2-HO3S(4-MeC6H4O)C6H3, H, H, SO2, H (condensed with 2 moles ClCH2CONHCH2OH), yellow orange on II; m-H2NC6H4, H, CH2CH2, SO3H, (R4R5 =) CH:C(SO3H)CH:C(SO3H), H (condensed with 2 moles cyanuric chloride), yellow on III; Q, H, m-C6H4, SO3H, H, H, NH2 (condensed with 2 moles 2,4-dichloro-6-(p-sulfoanilino)-s-triazine), yellow on III. By the use of **pyrimidines** with mixed substituents were prepd. similar dyes (same data given, where R's with 2-3 values are to be considered, resp.): cyclohexyl and H and CH2CH2SO3H, H and CH2CH2SO3H and cyclohexyl, CH2CH2SO3H and cyclohexyl and H, SO2NMe2, H, H, H, yellow on II; Q and cyclohexyl, cyclohexyl and Q, Q, H, H, PhN:N, H, scarlet on II; PhCH2 and p-HO3SC6H4 and iso-Pr, iso-Pr and PhCH2 and p-HO3SC6H4, p-HO3SC6H4 and iso-Pr and PhCH2, H, H, p-HO3SC6H4, H, red on II; H and Q, Q and H, H, H, H, SO2, H, yellow orange on II; PhCH2 and p-HO3SC6H4 and iso-Pr, p-HO3SC6H4 and iso-Pr and PhCH2, iso-Pr and PhCH2 and p-HO3SC6H4, H, H, SO2, H, orange on II; Et and Q and CH2CH2, Q and CH2CH2 and Et, CH2CH2 and Et and Q, Cl, H, H, H, reddish yellow on II; H and 3,4-H2N(HO3S)C6H3, 3,4-H2N(HO3S)C6H3 and H, H, Cl, H, H, SO3H (diazotized and coupled with V), yellow on II. 4,3-H2N(HO3S)C6H3C6H4NH2-4 was tetrazotized and coupled first with 2,4-diamino-6-(m-sulfoanilino) **pyrimidine** and then with V to give VIII, orange on II and III. Three other unsym. disazo dyes were prepd. 2,4-Diamino-6-(m-aminoanilino)-5-(o-sulfophenylazo)**pyrimidine** was condensed with 2,4-dichloro-6-(p - sulfoanilino)-s-triazine and the product treated with Me2NNH2 to give IX, yellow on III.

IT **28234-08-4P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 28234-08-4 CAPLUS

CN Metanilic acid, N-[4-amino-6-[m-[(2,6-dichloro-4-pyrimidinyl)amino]anilino]-5-[[2-sulfo-4-[(p-sulfophenyl)azo]phenyl]azo]-2-pyrimidinyl]- (8CI) (CA INDEX NAME)



L6 ANSWER 177 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:134141 CAPLUS
DOCUMENT NUMBER: 72:134141
TITLE: Fiber-reactive disazo dyes
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
SOURCE: Fr., 12 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

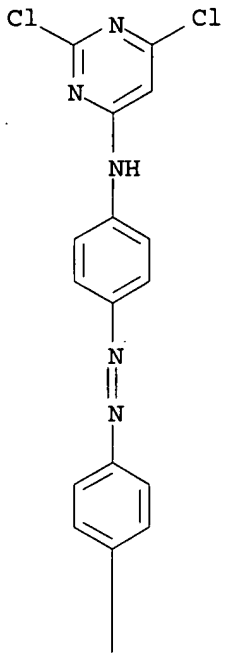
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1562483		19690404		
PRIORITY APPLN. INFO.:			CH	19670420

GI For diagram(s), see printed CA Issue.

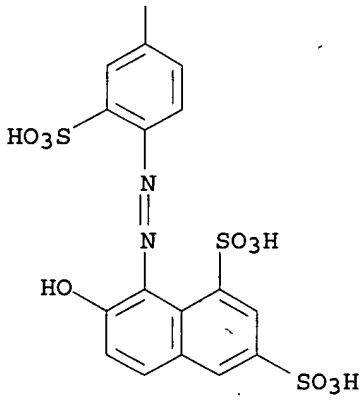
AB The title compds. having the general formula I are scarlet dyes for cellulosic fibers. Thus, 26.4 g 4,3-H2N(HO3S)C6H3C6H4NH2-4 was tetrazotized and coupled first with 31.0 g 2,6,8-HOC10H5(SO3Na)2, then with 18.7 g PhNHCH2SO3H. To hydrolyze the NHCH2SO3H group the red soln. of the disazo compd. was treated with 42.0 g NaOH, heated for 3 hr at 50-60.degree., treated with 120 ml 30% HCl, and salted. Condensation of the product with 17.85 g 2,4,6-trichloropyrimidine in 800 ml H2O contg. 6 g Na2CO3 (pH 6.0-6.5) at 70.degree. and salting gave I (X = Y = Z = H). Similarly prepd. was a 1:1 mixt. of I (X = Me, Y = H, Z = Cl) and I (X = Y = Me, Z = Cl).

IT **25519-15-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)
RN 25519-15-7 CAPLUS
CN 1,3-Naphthalenedisulfonic acid, 8-[[4'-[[p-[(2,6-dichloro-4-pyrimidinyl)amino]phenyl]azo]-3-sulfo-4-biphenylyl]azo]-7-hydroxy- (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L6 ANSWER 178 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:80336 CAPLUS
DOCUMENT NUMBER: 72:80336
TITLE: Fiber reactive dyes
INVENTOR(S): Bien, Hans S.; Klauke, Erich
PATENT ASSIGNEE(S): Earbenfabriken Bayer A.-G.
SOURCE: Brit., 54 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

GB 1165661		19691001		
PRIORITY APPLN. INFO.:		DE		19670325

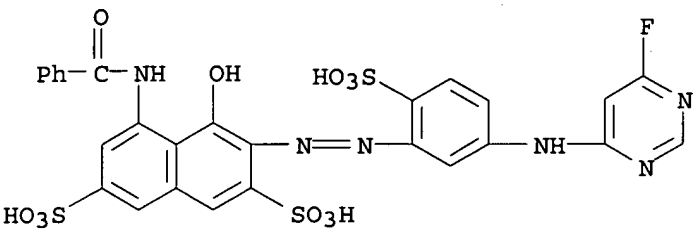
GI For diagram(s), see printed CA Issue.

AB Fiber reactive dyes for cotton, having the general formula I, where X is Me or H, Y is Cl or H, R is H or Me and Q denotes anorg. dye residue, were prepd. by acylating the **amino** group of QNHR, with a 4,6-difluoropyrimidine, either before or after completion of the dye mol. Thus, 2,4,8-H2NC10H5(SO3H)2 34.7 was diazotized and coupled with 3-H2NC6H4Me (II) 10.7, the azo compd. treated with 4,6-difluoro-5-chloropyrimidine (III) 18 parts at 30-40.degree. and pH 6-7, to give I [Q = 4,8,2-(NaO3S)2C10H5N:NC6H4-4, R = X = H, Y = Cl], a reddish yellow dye. Similarly other azo dyes (I, X = H, Y = Cl) were prepd. from III (QNHR and shade given): IV (X = OH) (V), blue; 4,8,2-(HO3S)2C10H5N:NC6H3(NHMe)Me-4,2, reddish yellow; 1,8,3,6,2-HO(R1NH)(HO3S)2C10H3N:NC6H4(SO3H)R2-2,5 (VI, R1 = R2 = H) bluish red; Cu complex of 1,3,-6,2-HO(NaO3S)(H2N)C10H4N:NC6H3(OH)SO3Na - 2,5, ruby; Cr complex of 3,2,5-Cl(HO)(HO3S)C6H2NH2 .fwdarw. 1-[3-(3-aminophenyl)sulfonylimidosulfonylphenyl]-3-methyl - 5-pyrazolone, yellow-brown, IV .fwdarw. II,green; IV (X = H), bluish violet; VII, violet; 1,7,2-(NaO3S)2C10H5NH2 .fwdarw. (alk.) 2,5,7-MeNH(HO)C10H5SO3Na, reddish orange; 2,5,7,1-H2N(NaO3S)2C10H4N:NC6H3(SO3Na)NH2-2,5, yellowish orange; 3,6,8,2-(NaO3S)3C10H4N:NC6H3(NHAc)-NH2-2,4, reddish yellow; Cu complex of 1,3,7,-2-HO(NaO3S)(H2N)C10H4N:NC6H2(SO3Na)2OH-3,5,2, ruby; VI (R1 = Ac, R2= NH2), bluish red; 1,8,4-H2N(Na-O3S)C10H5N:NC6H4SO3Na - 4, yellow; 1:1 mixt. of 2:1 Cr and 2:1 Co complexes of 1,8,3,6,2-HO(H2N)(NaO3S)2C10H3N:N-C6H2(OH)(X)Y-2,3,5 (VIII) (X = NO2, Y = SO3Na) black; 1-(2 - chloro - 5 - sulfophenyl)-3-methyl - 4 - (4-**amino**-2-sulfophenylazo) - 5 - pyrazolone, yellow; 4,2 - Na-O3S(O2N)C6H3NHC6H3(NHC6H4NH4-4)SO3Na-4,3, violet brown; VI (R1 = H, R2 = Cl), red; 1,3,6,2-HO(HO3S)-(HO3SCH2CONH)C10H4N:NC6H3(SO3H)NH2 - 2,5, orange; mixed Cr complex at 6,1,2,4-O2N(H2N)(HO)C10H4SO3H .fwdarw. 2-C10H7OH and VIII (X = SO3H, Y = Cl) (IX), blue-black; 1,3,6,7,2-HO(Na2O3S)2(H2N)C10H3N:NC6H4CH2SO3Na -4, reddish orange; Cu complex of 2,4,6-Me(HO3SP2C6H2N:NC6H2-(OH)(NH2)Me-5,4,2 .fwdarw. 1,3,6-HO(HO3S)C10H5NHMe, navy blue; Cu complex of 1,8,5,7,2-HO(H2N)(HO3S)2C10H3N:NC6H2-(OH)(SO3H)NH2-2,4,5, blue; X, yellow; mixed Cr complexof 2,1-HOC10H6N:NC6H2(OH)(NO2)SO3H - 2,3,5 and IX, gray to black. Similarly III was reacted with CuPc(4-SO3Na)2-3-(4-SO2NHC6H4NH2-3)1-2 (Pc = phthalocyanine) and with CuPc(3-SO3H)2[3-SO2NHC6H4(SO3H)CH2NHMe-3,4] to give blue and turquoiseblue dyes, resp. Similarly III was reacted with anthraquinone derivs. (XI) (X, Y, H2NZNHR, and shade of product given): H, H, 4,3-H2N(HO3S)C6H3CH2NHMe, blue; H, H, m-C6H4(NH2)2, blue; H, H,1,4-diaminocyclohexane, blue; H, CO2H, 2,5-(H2N)2C6H3SO3H,greenish blue. Similarly were prepd. greenish blue I [X = Me, Y = H, QNHR = XI (X = SO3H, Y = R = H, Z = p-C6H4)], bluish red I [X= Y = H, QNHR = VI (X = B2, Y = NH2)], and violet I [X= Y = H. QNHR = Cu complex of 1,3,7,2-HO(NaO3S)(MeNH)-C10H4N:NC6H2(OH)(SO3Na)Cl-2,4,5].

IT **26175-35-9P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 26175-35-9 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-benzamido-3-[[5-[(6-fluoro-4-pyrimidinyl)amino]-2-sulfophenyl]azo]-4-hydroxy-, trisodium salt (8CI) (CA INDEX NAME)



●3 Na

L6 ANSWER 179 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:31831 CAPLUS
DOCUMENT NUMBER: 72:31831
TITLE: Benzamide substituted anilino aminopyrimidines
INVENTOR(S): Short, James H.
PATENT ASSIGNEE(S): Abbott Laboratories
SOURCE: U.S., 2 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3478030	A	19691111	US 1966-560830	19660627

PRIORITY APPLN. INFO.: US 1966-560830 19660627

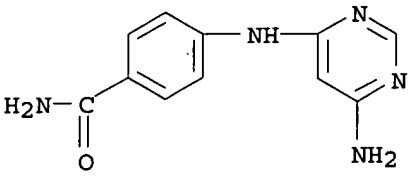
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), where R was p-C6H4CONH2 or m-C6H4SO2NH2, were prepd. by reacting equimolar amts. of the appropriately substituted chloropyrimidine and the sulfanilamide or benzamide. To 200 ml H2O contg. 8.3 ml concd. HCl was added 13.6 g p-aminobenzamide and 12.9 g 4-**amino**-6-chloropyrimidine. After refluxing 4 hr, the mixt. was cooled. Filtration of the white ppt., and recrystn. from H2O yielded p-[(6-**amino**-4-**pyrimidinylamino**)]benzamide hydrochloride (I, R = p-C6H4CONH2, R1 = NH2, R2 = H), m. 178-9.degree.. Similarly prepd. were the following I (R, R1, R2, and m.p. given): m-C6H4SO2NH2, H, NH2, 271-4.degree.; and p-C6H4CONH2, H, NH2, 2 80-2.degree.. I increased coronary blood flow in warm-blooded animals.

IT **24912-18-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

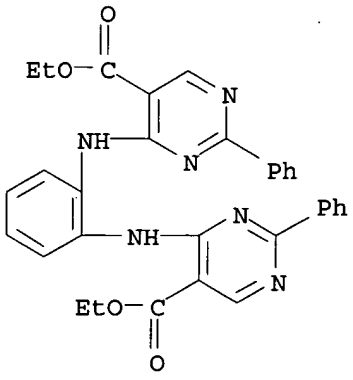
RN 24912-18-3 CAPLUS

CN Benzamide, p-[(6-amino-4-pyrimidinyl)amino]-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L6 ANSWER 180 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:31744 CAPLUS
DOCUMENT NUMBER: 72:31744
TITLE: **Pyrimido**[4,5-e][1,4] diazepin-5-ones and 4,4-ethylenediaminobis(2-**phenyl-pyrimidine**-5-carboxylic acid) diethyl esters
AUTHOR(S): Kim, Dong Han; Santilli, Arthur A.
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Radnor, PA, USA
SOURCE: Journal of Medicinal Chemistry (1969), 12(5), 1121-2
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 5-Carbethoxy-4-**hydroxy**-2-phenylpyrimidine and SOCl2 was refluxed to give 5-carbethoxy-4-chloro-2-phenylpyrimidine (I). I was added to MeNHCH2CH2NHMe and Na2CO3 in EtOH and the mixt. refluxed to give II (R = Me). II (R = Et) and III were similarly prepd. I, piperazine, and Na2CO3 in HCONMe2 was refluxed to give IV. Similarly, V (R = H, Me, or Et) and VI were prepd.
IT **24755-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24755-90-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4,4'-(o-phenylenediimino)bis[2-phenyl-, diethyl ester (8CI) (CA INDEX NAME)



L6 ANSWER 181 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:440226 CAPLUS
DOCUMENT NUMBER: 71:40226
TITLE: Fiber-reactive dyes.
INVENTOR(S): Ackermann, Hans; Frei, Hermann; Meindl, Hubert
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.

09/ 922,874

SOURCE: Patentschrift (Switz.), 5 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 464397		19681213	CH	19640228

GI For diagram(s), see printed CA Issue.

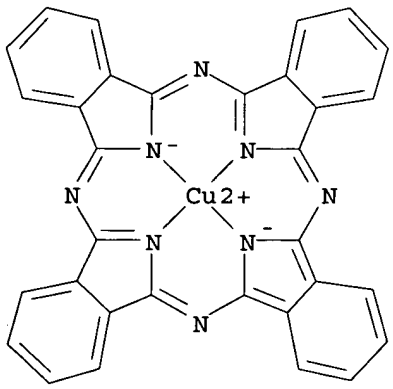
AB A suspension of 57.6 parts CuPc(SO2Cl)4 (Pc = phthalocyanine) in 500 parts H2O and 300 parts ice was treated with 15 parts 3-H2NC6H4NHAc while maintaining pH 7 with NH4OH, heated to 40-50.degree. while maintaining pH 7-7.5 with NH4OH, treated with 270 parts 30% HCl, heated at 85-90.degree. for hrs., filtered, suspended in 1000 parts H2O, and adjusted to pH 8 with dil. NaOH to give a soln. of CuPc(SO3Na)(SO2NH2)2SO2NHC6H4NH2-3 which was treated with a soln. of 23.3 parts 2,4-dichloropyrimidine-5-carbonyl chloride in 100 parts Me2CO, stirred at 0-5.degree. while neutralizing with dil. Na2CO3 soln., and salted. The ppt. (77.3 parts) was suspended in 1000 parts H2O, treated with a soln. of 42.1 parts 2,4,8-H2NC10H5(SO3H)2 .fwdarw. 3-MeC6H4NH2 in 400 parts H2O, heated to 30-40.degree. while maintaining pH 6.5-7.5 with Na2CO3 soln., and salted to give I, a green powder, green in H2O, which dyed cellulose fibers light- and wetfast green shades. Similarly, II (X = Cl) treated with NH4OH gave II (X = NH2), orange on cotton, and III (X = Cl) treated with 3-H2NC6H4SO3H gave III (X = 3-HO3SC6H4NH), red on cotton.

IT **25447-14-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

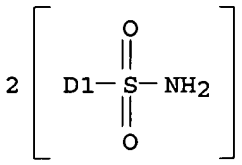
RN 25447-14-7 CAPLUS

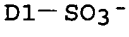
CN Copper, [trihydrogen 3-[[4-[[2-chloro-5-[[m-(disulfamoylsulfophthalocyaninesulfonamido)phenyl]carbamoyl]-4-pyrimidinyl]amino]-o-tolyl]azo]-1,5-naphthalenedisulfonato(2-)]-, monosodium salt (8CI) (CA INDEX NAME)

PAGE 1-A

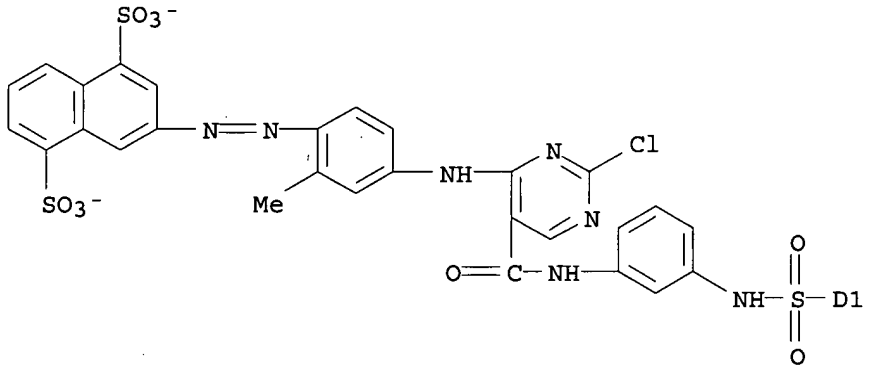


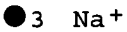
PAGE 2-A





PAGE 3-A

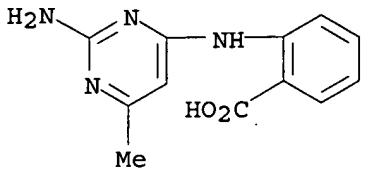




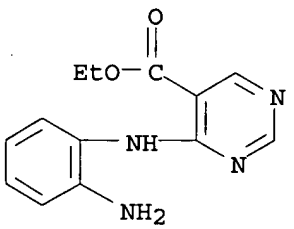
L6 ANSWER 182 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:459179 CAPLUS
DOCUMENT NUMBER: 69:59179
TITLE: Substituted heteroaromatic anthranilic acids with antiinflammatory activity
AUTHOR(S): Falch, E.; Weis, J.; Natvig, T.
CORPORATE SOURCE: Res. Div., Pharmacia AS, Copenhagen-Vanloese, Den.
SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 608-11
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Anthranilic acids (I and II) contg. heteroaromatic N-substituents were prepd. by the reaction of appropriately substituted chloro heterocycles with anthranilic acid in HCl or substituted methylthio heterocycles with anthranilic acid in alk. soln. The reaction of o-BrC6H4CO2H with 5-**amino**-4-carboxy-2,6-dihydroxypyrimidine gave N-[5-(4-carboxy-2,6-dihydroxypyrimidinyl)]anthranilic acid. The exchange of the o-xylyl moiety in mefenamic acid with heteroaromatic rings significantly lowers the antinflammatory activity.
IT **13208-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

09/ 922,874

RN 13208-07-6 CAPLUS
CN Benzoic acid, 2-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 183 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:459177 CAPLUS
DOCUMENT NUMBER: 69:59177
TITLE: Acid-catalyzed ring-cleavage of some **pyrimidine** derivatives
AUTHOR(S): Andrews, K. J. M.; Tong, B. P.
CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (14), 1753-61
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Redn. of Et 4-(o-nitrophenylthio)**pyrimidine**-5-carboxylate (I) with powd. Fe in aq. HOAc results in cleavage of the **pyrimidine** ring to give Et .alpha.-(aminomethylene)-benzothiazole-2-acetate (II). Acid treatment of Et 4-(o-aminophenylthio)**pyrimidine**-5-carboxylate, synthesized by an alternative route, gives the same benzothiazole deriv. through an isolable formylaminomethylene compd. A similar redn. of Et 4-(o-nitrophenoxy)**pyrimidine**-5-carboxylate does not cause **pyrimidine** ring-cleavage, but rearrangement of the expected primary **amino** compd. occurs to give Et 4-(o-hydroxyanilino)**pyrimidine**-5-carboxylate. Et 4-(o-aminoanilino)**pyrimidine**-5-carboxylate forms benzimidazole derivs., e.g. III, on treatment with acid. A possible mechanism for these changes is described. 17 references.
IT **19573-55-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19573-55-8 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(o-aminoanilino)-, ethyl ester (8CI) (CA INDEX NAME)



L6 ANSWER 184 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:88210 CAPLUS
DOCUMENT NUMBER: 68:88210
TITLE: Stilbene fluorescent brightening agents

09/ 922,874

INVENTOR(S): Hayakawa, Ginshichiro; Kemimoto, Takeshi; Takahashi, Hitoshi
PATENT ASSIGNEE(S): Nisso Chemical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42021015	B4	19671018	JP	19641014

GI For diagram(s), see printed CA Issue.

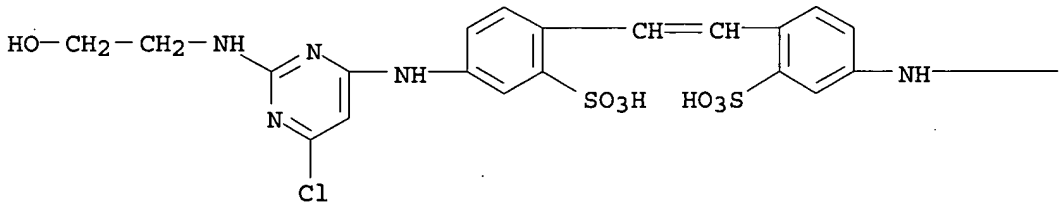
AB A soln. of 3.7 g. 2,4,6-trichloropyrimidine in 60 cc. Me2CO was stirred at 60-5.degree. for 4 hrs. with 3.7 g. di-Na 4,4'-diamino-2,2'-stilbenedisulfonate and 1.06 g. Na2CO3 in 70 cc. H2O, Me2CO distd., and the residue refluxed for 3 hrs. with 2.5 g. HOCH2CH2NH2 to give pale yellow I (R = CH2CH2OH, R' = H), .lambda.max 360 m.mu., which fluoresces violet-blue on cellulose fibers. Similarly, the following I were prepd. (R, R', and .lambda.max. in m.mu. given): (NRR' =) morpholino, 360; H, H, 355 (by heating with 27% NH4OH at 105.degree. for 2 hrs. in an autoclave).

IT **18033-41-5P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 18033-41-5 CAPLUS

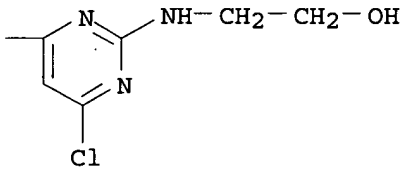
CN 2,2'-Stilbenedisulfonic acid, 4,4'-bis[[6-chloro-2-[(2-hydroxyethyl)amino]-4-pyrimidinyl]amino]-, disodium salt (8CI) (CA INDEX NAME)

PAGE 1-A



●2 Na

PAGE 1-B



L6 ANSWER 185 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1967:28731 CAPLUS
DOCUMENT NUMBER: 66:28731
TITLE: Synthesis of **pyrimidoquinazolones**
AUTHOR(S): Hurt, C. A. R.; Stephen, H.

09/ 922,874

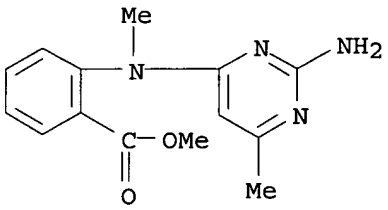
CORPORATE SOURCE: Univ. Witwatersrand, Johannesburg, S. Afr.
SOURCE: Tetrahedron, Supplement (1966), No. 7, 227-32
CODEN: TETSAE; ISSN: 0563-2072
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of 1-**amino**-3-methyl-(4-alkyl)-**pyrimido**[4,3-b]quinazol-10-ones was investigated. Using a series of 2-**amino**-4-chloro(5-alkyl)-6-methylpyrimidines it was shown that these compds. condense readily with Me anthranilate, anthranilic acid, and with anthranilamide, either by heating the reactants together or in soln. in the presence of a trace of acid. The resulting 2-**amino**-4-(o-substituted-anilino)-(5-alkyl)-6-methylpyrimidines were all obtained in good yields and undergo ring-closure with formation of the corresponding **pyrimido**[4,3-b]-quinazol-10-ones (I) by the action of heat. A synthesis of I directly from the 4-chloropyrimidines and anthranilamide was achieved.

IT **13182-35-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 13182-35-9 CAPLUS

CN Anthranilic acid, N-(2-amino-6-methyl-4-pyrimidinyl)-N-methyl-, methyl ester (8CI) (CA INDEX NAME)



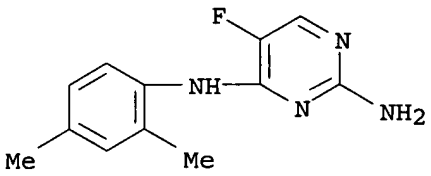
L6 ANSWER 186 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1967:17161 CAPLUS
DOCUMENT NUMBER: 66:17161
TITLE: Some 5-fluoro-6-anilinoaminopyrimidines
AUTHOR(S): Biressi, M. Gabriella; Cantarelli, G.; Carissimi, Massimo; Ravenna, Franco
CORPORATE SOURCE: Lab. Ric. Maggioni C.-S.p.A., Milan, Italy
SOURCE: Bollettino Chimico Farmaceutico (1966), 105(9), 660-5
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: Italian

AB Fifteen 2,4-diamino-5-fluoro-6-(substituted anilino)**pyrimidines** and twelve 2-**amino**-5-fluoro-6-(substituted anilino) **pyrimidines** were synthesized and tested against Escherichia coli, Salmonella typhosa, Candida albicans, Staphylococcus aureus, Klebsiella pneumoniae, herpes simplex virus, influenza type A virus, PR8 pneumonitis virus and swine pneumonitis virus. 2,4-Diamino-5-fluoro-6-(3,4-dichloroanilino)-**pyrimidine** showed good in vitro antibacterial activity and 2-**amino**-5-fluoro-6-aminopyrimidine showed good in vitro antiviral activity.

IT **14994-43-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(as bactericide, fungicide and virucide)

RN 14994-43-5 CAPLUS

CN Pyrimidine, 2-amino-5-fluoro-4-(2,4-xylidino)- (8CI) (CA INDEX NAME)



L6 ANSWER 187 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1967:11849 CAPLUS
DOCUMENT NUMBER: 66:11849
TITLE: Reactive dyes
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Neth. Appl., 167 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6516117		19660613		
PRIORITY APPLN. INFO.:			DD	19641210
			DD	19650220

GI For diagram(s), see printed CA Issue.

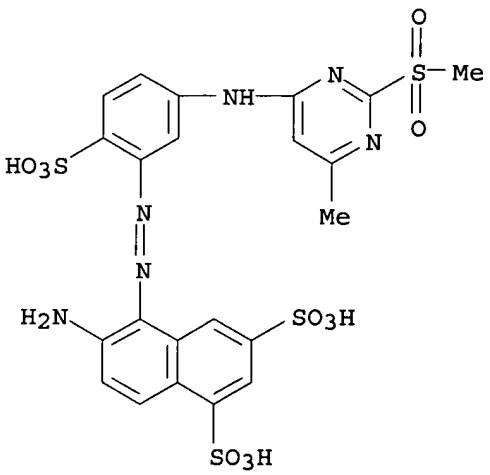
AB Azo, anthraquinone, Cu phthlocyanine (Pc) and nitrodiphenyl amine dyes were prepd. contg. as fiber-reactive groups 2-methylsulfonylpyrimidin-4-yl or 4,6-bis(arylsulfonyl)-s-triazin-2-yl substituents. Thus, 2,4,8-H2NC10H5(SO3Na)2 (I) 34.7 was diazotized and coupled with 3-MeC6H4NH2 (II) 10.7 parts, the product (III) isolated by salting, dissolved in H2O 700, adjusted to pH 7 with aq. NaOH, treated with 2-methylsulfonyl-4-chloro-6-methylpyrimidine (IV) 21 parts, stirred at 65.degree. with addn. of aq. Na2CO3 to neutralize the HCl formed, salted, and dried in vacuo at 50.degree. to give V (R = H) a H2O-sol. yellow powder, reddish yellow on cellulose, also prepd. by treating III with 2,4-bis(methanesulfonyl)-6-methylpyrimidine (VI). V (R = Cl) was obtained from III and 2-(methanesulfonyl)-4,5-dichloro-6-methylpyrimidine (VII). Similar dyes were prepd. from I .fwdarw. 3-MeNHC6H4Me and 2,4-bis(methanesulfonyl)-5-chloro-6-methylpyrimidine (VIII) and from III and 2,4,6-tris(benzenesulfonyl)-2-triazine (IX). Similarly, other azo dyes were prepd. (diazo component, coupling component, sulfone compd., and shade given): 1,8,3,6-H2N(PhSO3)C10H4(SO3H)2, 2,5,4,8-AcNH(HO)C10H4(SO3H)2 (X) (oxidatively copperized and hydrolyzed to remove Ac and PhSO3 groups), VI or VII, blue; 3,4-H2N(HO)C6H3SO3H, 2,5,7-H2N(HO)C10H5SO3H (copperized), IV or VII or IX, rubine; 3,4,5-H2N(HO)ClC6H2SO3H (XI), 1-[3-(3-aminophenylsulfonylimidosulfonyl)**phenyl**]-3-methyl-5-pyrazolone (Cr complex), IV or VII, yellow brown; 1,8,3,6-H2N(HO)C10H4(SO3H)2 (XII) .fwdarw. X (oxidatively copperized and deacetylated), II, IV or VII, green; 2,6,4,8-H2N(AcNH)C10H4(SO3H)2 (XIII), 2,3,6-HOC10H5(SO3H)2 (oxidatively copperized and deacetylated), VI or VIII, bluish violet; XIII, 1,4-HOC10H6SO3H (oxidatively copperized and deacetylated), IV or VII, violet; 2,4-H2N(AcNH)C6H3SO3H (XIV), 2,5,7-H2NC10H5(SO3H)2 (deacetylated), VI or VII, yellowish orange; 2,3,6,8-H2NC10H4(SO3H)3, 3-AcNHC6H4NH2, IV or VII, reddish yellow; 2,4,6-H2N(HO3S)2C6H2OH, 2,8,6-H2N(HO)C10H5SO3H (copperized), IV or VII, rubine; 4-H2NC6H4SO3H, 1,8-H2NC10H6SO3H, VI or VIII, yellow; 3,4,5-H2N(HO)(O2N)C6H2SO3Na (XV), XII (mixt. of 1:2 Cr and 1:2 Co complexes), IV or VII, black; 2,5-H2N(O2N)C6H3SO3H, 1-(2-chloro-5-sulfophenyl)-3-methyl-5-pyrazolone (reduce NO2 group), VI or VII, yellow; 2,3,5-H2N(HO3S)2C6H2Me .fwdarw. 5,2-Me(MeO)C6H3NH2, 2,5,7-

MeNH(HO)C10H5SO3H (XVI) (copperized), IV or VII, navy blue; 2,4,5-H2N(H2NCONH)(HO3S)C6H2OH, 1,8,2,4-H2N(HO)C10H4(SO3H)2 (hydrolyzed and copperized), IV or VII, blue; I .fwdarw. 1,6-H2NC10H6SO3H, 2,5,4,8-(H2N)2C10H4(SO3H)2 (triazolized), IV or VII, yellow; XV, 2-C10H7OH (converted to mixed Cr complex with XI .fwdarw. XII), IV or VII, blue-gray; I, XII (oxidatively copperized), IV or VII, reddish blue; 2,4,5-Cl(H2N)(HO)C6H2SO3Na, 2,8,6-MeNH(HO)C10H5SO3Na (copperized), IV or VII, violet; 3,4-H2N(HO3S)C6H3CH2NHMe, 1,8,3,5-HO(B2NH)C10H4(SO3H)2, VI, bluish red; 2-H2NC6H4SO3H (XVII), 1,8,3,6-HO(AcNH)C10H4(SO3H)2 (deacetylated), IX, bluish red; 2,5-H2N(MeO)C6H3SO3H, 2,5,7-AcNH(HO)C10H5SO5H (deacetylated), 2,4,6-tris(o-tolysulfonyl)-s-triazine, scarlet; XIV, 1-(4-sulfophenyl)-5-pyrazolone-3-carboxylic acid (deacetylated), 2,4,6-tris(p-tolylsulfonyl)-s-triazine, greenish yellow. XII condensed with VI or VIII and coupled with diazotized XVII gave bluish red dyes; diazotized 4,2-Cl(H2N)C6H3SO3H gave brilliant red dyes. Similarly, other azo dyes were prepd. (coupling component, sulfone compd., diazo component, and shade given): XVI, VI or VIII, 2,1,7-H2NC10H5(SO3H)2, reddish orange; 2,8,3,6-H2N(HO)C10H4(SO3H)2, VI or VIII, 4-H2NC6H4CH2SO3H, scarlet. 2,4-(H2N)2C6H3SO3H condensed with IV, VII, or IX and the products diazotized and coupled with 1,8,3,6-HO(RNH)C10H4(SO3H)2 (R = Bz or Ac) gave bluish red dyes; coupling with 5,7,2-HO(HO3S)C10H5NHCOCH2SO3H gave orange dyes. XVIII [X = R1 = R4 = H, R2 = SO3H, R3 = C6H3(SO3H)NH2-2,4] treated with IV or VII gave blue dyes. Similarly, other XVIII were condensed (X, R1-R4, sulfone compd., and shade given): H, Me, NH2, H, SO3H, IV or VII, blue; H, SO3H, H, SO3H, NH2, IV or VII, reddish blue; SO3H, H, H, NH2, H, IV or VII, blue green; H, SO3H, H, CH2NHMe, H, IX, blue. XIX (x = 3, m + n = 4) treated with VI or IX gave turquoise blue dyes. Similarly, other turquoise blue Pc dyes were prepd. (x, m, n, and sulfone compd. given): 3,2,1 (mixt. with 3,2,1), VI or VII; 4, -, - (m + n = 4), VIII. CuPc(3-SO3Na)2 3-SO2NMe-CH2C6H3(SO3Na)NH2-2,4 and VI or VIII also gave turquoise blue dyes. XX condensed with VI or VIII gave violet brown dyes.

IT **13301-63-8P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 13301-63-8 CAPLUS

CN 1,3-Naphthalenedisulfonic acid, 6-amino-5-[[5-[[6-methyl-2-(methylsulfonyl)-4-pyrimidinyl]amino]-2-sulfophenyl]azo]-, trisodium salt (8CI, 9CI) (CA INDEX NAME)



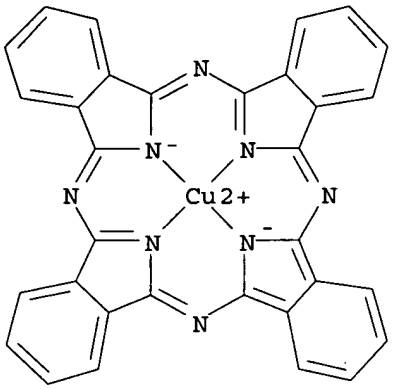
3 Na

L6 ANSWER 188 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:463694 CAPLUS
DOCUMENT NUMBER: 63:63694
ORIGINAL REFERENCE NO.: 63:11743a-d
TITLE: Reactive dyes containing 2-chloro-5-**pyrimidylcarbonylamino** groups
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 48 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

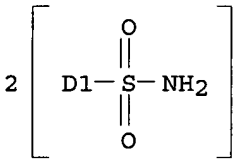
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 644495		19640828	BE	

PRIORITY APPLN. INFO.: CH 19630301
GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I give fast colors on cellulose textiles. Thus, a soln. (pH 4.0-4.5) of 18.8 parts 2,4-(H2N)2C6H3SO3H in 400 parts H2O contg. Na2CO3 is treated at 0-5.degree. with 22.2 parts 2,4-dichloropyrimidine-5-carboxylic acid chloride (b0.05 83.degree.) in 100 parts Me2CO and the product is diazotized and coupled with the Na salt of 28.9 parts 1-(2-chloro-5-sulfophenyl)-3-methyl-5-pyrazolone to give I [X = Cl, R = 4-sulfo-3-[1-(2-chloro-5-sulfophenyl)-3-methyl-5-pyrazolon-4-ylazo]**phenyl**], yellow powder, yellow on cotton. Also prepd. are the following I (X, R, appearance, and shade on cotton given): Cl, 4-(4,8-disulfonaphth-2-ylazo)-3-methylphenyl, yellow powder, yellow; Cl, -3-(1-**hydroxy**-3,6-disulfo-8-benzamidonaphth-2-ylazo)-4-sulfophenyl, --, bluish red; Cl, A, dark powder, ruby; Cl, 4-(3,6-disulfo-4-aminoanthraquinon-2-ylamino)-3-sulfophenyl, --, blue; Cl, m-[(XSO2)2-3(CuPc)SO2NH]C6H4 (X = mixt. of NH2, ONa, and ONH4, CuPc = Cu phthalocyanine residue), --, turquoise blue; Cl, 3,6-disulfo-8-**hydroxy**-7-(2-sulfophenylazo)-2-**naphthyl**, red powder, red; 4-(4,8-disulfonaphth-7-ylazo)-3-methylanilino, m-[(H2NSO2)2(NaO3S)(CuPc)SO2NH] C6H4, green powder, green; 3,6-disulfo-8-**hydroxy**-7-(o-sulfophenylazo)-naphth-1-ylamino, 3,6-disulfo-8-**hydroxy**-7-(o-sulfophenylazo)-1-**naphthyl**, --, red; SO3H, 4-sulfo-3-(6,8-disulfo-2-hydroxynaphth-1-ylazo)**phenyl**, -orange; MeO, 4-sulfo-3-(6,8-disulfo-2-hydroxynaphth-1-ylazo)-**phenyl**, --, orange.
IT **107493-93-6**, Copper, [trihydrogen [[m-[2-chloro-4-[4-[(4,8-disulfo-2-**naphthyl**)azo]-m-toluidino]-5-**pyrimidinecarboxamido**]**phenyl**]sulfamoyl]disulfamoylphthalocyaninesulfonato(2-)]-, sodium salt
(prepn. of)
RN 107493-93-6 CAPLUS
CN Copper, [trihydrogen [[m-[2-chloro-4-[4-[(4,8-disulfo-2-naphthyl)azo]-m-toluidino]-5-pyrimidinecarboxamido]phenyl]sulfamoyl]disulfamoylphthalocyaninesulfonato(2-)]-, sodium salt (7CI) (CA INDEX NAME)

PAGE 1-A

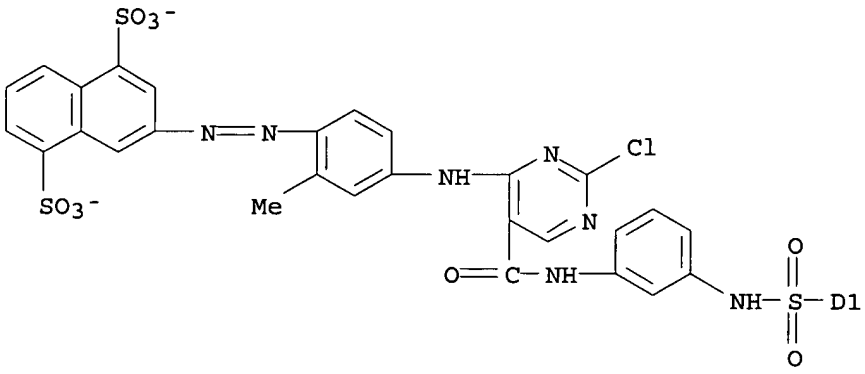


PAGE 2-A



D1—SO_3^-

PAGE 3-A



2 H^+

Na^+

L6 ANSWER 189 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:417302 CAPLUS
DOCUMENT NUMBER: 63:17302
ORIGINAL REFERENCE NO.: 63:3084h,3085a-c
TITLE: Water-soluble dyes
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 22 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 64008671		19650201	NL	

PRIORITY APPLN. INFO.: CH 19630730

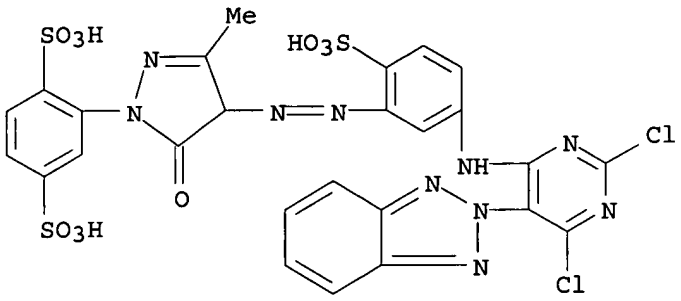
GI For diagram(s), see printed CA Issue.

AB Sulfonated aromatic amines are condensed with 2-(2,4,6-trichloropyrimidin-5-yl)benzotriazole (I) to give dyes for cellulosic fibers. Thus, a mixt. of 2-(2,4,6-trihydroxypyrimidin-5-yl)benzotriazole (prepd. by coupling diazotized 2-O2NC6H4NH2 with 2,4,6-trihydroxypyrimidine, followed by reduction with Zn and aq. NaOH) 24.5, POCl3 120, and PhNEt2 14.9 parts is refluxed until HCl evolution ceases, and the mixt. is poured into ice-water to give I, m. 193-4.degree. (ligroine). During 1 hr. at 20-5.degree. a soln. of 30.1 parts I in 200 parts Me2CO is added to a soln. of 18.8 parts 2,4-(H2N)2C6H3SO3H in 200 parts water contg. Na2CO3, with simultaneous addn. of Na2CO3 to keep the soln. neutral. When the reaction is finished, NaCl is added, the ppt. is filtered, dissolved in 300 parts water, diazotized, and coupled with 1-(2,5-disulfophenyl)-3-methyl-5-pyrazolone 33.4 to give II which dyes cotton a yellow shade, fast to washing. Similarly, other dyes are prepd. (components and shade given): 2,4-(HO3S)2C6H3NH2 .fwdarw. condensation product from 2,5,7-H2N(HO)C10H5SO3H (III) and I, orange; I, Cu complex of 2,4,6-HO(HO3S)2C6H2NH2 .fwdarw. III, red; I, 1-**amino**-4-(4'-aminoanilino)anthraquinone-2,6,2'-trisulfonic acid, green-blue. Cu phthalocyaninetetrasulfonyl chloride (57.6 parts) is slurried in 500 parts water and 300 parts ice, stirred with 15 parts 3-H2NC6H4NHAc, NH4OH is added to pH 7, the temp. rising to 40-50.degree.. When the condensation is complete, 270 parts 30% HCl is added, the mixt. heated 3 hrs. at 85-90.degree., the product filtered, taken up in 1000 parts water, the pH adjusted to 8.5, and a soln. of 33 parts I in 200 parts Me2CO is added dropwise. The mixt. is stirred vigorously at 10-15.degree. while Na2CO3 is added to keep it neutral, and the product is filtered to give a turquoise blue dye.

IT **1983-37-5**, p-Benzenedisulfonic acid, 2-[4-[[5-[[5-(2H-benzotriazol-2-yl)-2,6-dichloro-4-**pyrimidinyl**]**amino**]-2-sulfophenyl]azo]-3-methyl-5-oxo-2-pyrazolin-1-yl]-
(prepn. of)

RN 1983-37-5 CAPLUS

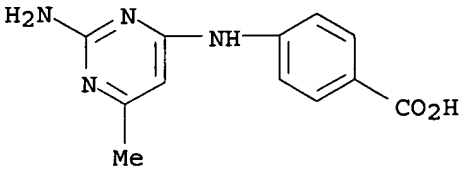
CN p-Benzenedisulfonic acid, 2-[4-[[5-[[5-(2H-benzotriazol-2-yl)-2,6-dichloro-4-pyrimidinyl]amino]-2-sulfophenyl]azo]-3-methyl-5-oxo-2-pyrazolin-1-yl]-
(7CI, 8CI) (CA INDEX NAME)



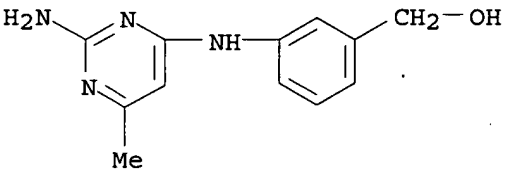
L6 ANSWER 190 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:486219 CAPLUS
DOCUMENT NUMBER: 61:86219
ORIGINAL REFERENCE NO.: 61:15066h,15067a-c
TITLE: Effect of a number of N-**pyrimidyl** **amino** acids and of some of their 5-arylazo derivatives on the growth of certain microorganisms
AUTHOR(S): Roy-Burman, P.; Sen, D.
CORPORATE SOURCE: Univ. Coll. Sci. Technol., Calcutta
SOURCE: Biochemical Pharmacology (1964), 13(10), 1437-49
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Sixteen new N-**pyrimidyl** **amino** acids were obtained by substitution at the C-2, C-4, or C-6 position of 2,4-diamino-6-methylpyrimidine by aromatic **amino** acids or different carboxyalkyl **amino** groups (i.e., aliphatic **amino** acid moiety). These compds. were tested for their effect on the growth of Streptococcus faecalis, Lactobacillus arabinosus, and Escherichia coli. The new compds., 2,4-diamino-5-arylazo-6-methylpyrimidine and six N-(5-arylazo-4-**pyrimidyl**) **amino** acids, were also studied. 2,4-Diamino-6-methylpyrimidine inhibited growth of all 3 microorganisms tested, but substitution at the C-2, C-4, or C-6 position by carboxyalkyl **amino** groups produced compds. with little or no inhibitory effects. The three N-**pyrimidyl** compds. substituted with aromatic **amino** acids inhibited growth but were less effective than the parent compd. All the 5-arylazopyrimidines significantly inhibited growth of S. faecalis and, to a lesser extent, L. arabinosus. It was observed that among these compds. the inhibitory activity decreased with increase in the bulk of the **amino** acid moiety. Investigation of the mechanism of the inhibitory action of these compds. in S. faecalis revealed that they acted primarily as folic acid antagonists in a manner consistent with an assumption that they interfere with the enzymic conversion of folic acid to N5-formyltetrahydrofolic acid in S. faecalis.

IT **91560-28-0**, Benzoic acid, p-[(2-**amino**-6-methyl-4-**pyrimidinyl**)**amino**]-
(prepn. and bactericidal activity of)
RN 91560-28-0 CAPLUS
CN Benzoic acid, 4-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 191 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:464427 CAPLUS
DOCUMENT NUMBER: 61:64427
ORIGINAL REFERENCE NO.: 61:11197f-g
TITLE: Growth inhibition of Clostridium feseri by carcinostatic purine and **pyrimidine** analogs. I. Effect of medium on growth inhibition
AUTHOR(S): Cappuccino, James G.; George, Marilyn; Merker, Philip C.; Tarnowski, George S.
CORPORATE SOURCE: Sloan-Kettering Inst., New York, NY
SOURCE: Cancer Research (1964), 24, 1243-8
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB C. feseri grows well, in semisynthetic basal medium devoid of purine bases and folic acid. Growth inhibition of this organism is pronounced in such a medium by carcinostatic purine analogs; with **pyrimidine** analogs, inhibition is also marked. Purines in the medium block the growth inhibition caused by purine analogs. Greater agreement occurs between the growth inhibition of the organism and that of adenocarcinoma 755 when carcinostatic purine and **pyrimidine** analogs are studied in purineless media as compared with complex thioglycolate medium.
IT **93001-31-1**, Benzyl alcohol, m-[(2-**amino**-6-methyl-4-**pyrimidinyl**)**amino**]-
(Clostridium feseri growth inhibition by)
RN 93001-31-1 CAPLUS
CN Benzyl alcohol, m-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (7CI) (CA INDEX NAME)



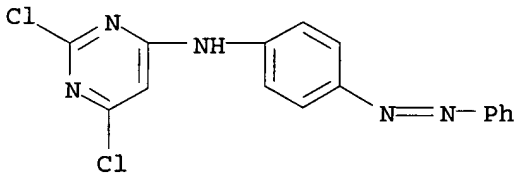
L6 ANSWER 192 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:411779 CAPLUS
DOCUMENT NUMBER: 61:11779
ORIGINAL REFERENCE NO.: 61:1976a-c
TITLE: Reactive cationic dyes. II. New cationic dyes containing the **pyrimidine** nucleus
AUTHOR(S): Okazaki, Mitsuo; Ozutsumi, Minoru; Endo, Shigeru; Yamamoto, Yoshiaki
SOURCE: Kogyo Kagaku Zasshi (1964), 67(1), 134-7
CODEN: KGKZA7; ISSN: 0368-5462
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB New cationic dyes (I) were synthesized by the reaction of

2,6-dichloropyrimidine-4-ylamino derivs. (II) and **pyridine** or NMe3. A II deriv., m. 2567.degree., red needles (III), was prepd. in 76% yield by adding 1.83 g. 2,4,6-trichloropyrimidine to 2.6 g. p-H2NC6H4N:NC10H6OH-1,2 in 70 ml. EtOH, then adding 0.53 g. Na2CO3 in 15 ml. H2O at 60.degree., and boiling for 4 hrs. Similarly, other II were prepd. (reactant, m.p., and % yield given): (IV) p-H2NC6H4N:NPh, 199-201.degree., 73; (V) 1,4-H2NC10H6N:NPh, 145.degree., 46; (VI) p-H2NC6H4N:NC6H3(OH)Me-2,5, 202-7.degree., 73. A I deriv. was prepd. in 78% yield by heating 32.0 g. IV in 200 ml. **pyridine** for 9 hrs. at 60-70.degree.. Similarly, other I were prepd. from III and **pyridine**; V and **pyridine**; VI and **pyridine**; the II deriv. from p-H2NC6H4N:NC6H4[N(CH2CH2OH)Et]-4, and Me3N. I always have one ammonium group and one Cl atom, even when **pyridine** or Me3N is used in excess. I are stable in aq. soln. on heating, and have high affinity for cellulosic fibers. Addn. of a cationic surfactant improves the color and brilliancy of cellulosic dyeings. Acrylic fibers also can be dyed with I in deep shades with good fastness.

IT **92794-88-2**, **Pyrimidine**, 2,4-dichloro-6-[p-(phenylazo)anilino]-
(prepn. of)

RN 92794-88-2 CAPLUS

CN Pyrimidine, 2,4-dichloro-6-[p-(phenylazo)anilino]- (7CI) (CA INDEX NAME)



L6 ANSWER 193 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1964:411778 CAPLUS

DOCUMENT NUMBER: 61:11778

ORIGINAL REFERENCE NO.: 61:1975f-h,1976a

TITLE: Reactive cationic dyes. I. New cationic dyes containing the s-triazine nucleus

AUTHOR(S): Okazaki, Mitsuo; Ozutsumi, Minoru; Ishikawa, Nobuo; Endo, Shigeru; Yamamoto, Yoshiaki

CORPORATE SOURCE: Tokyo Inst. Tech.

SOURCE: Kogyo Kagaku Zasshi (1964), 67(1), 129-34
CODEN: KGKZA7; ISSN: 0368-5462

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

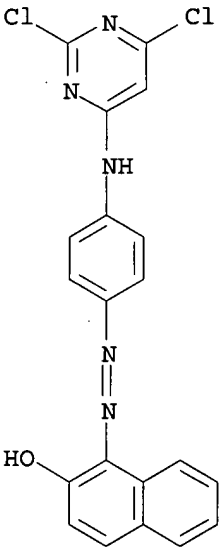
AB New cationic dyes (I) were synthesized by the reaction of 4,6-dichloro-(II) or 4-chloro-6-substituted-1,3,5-triazin-2-ylamino derivs. (III) with **pyridine** or Et3N. 4,6-Dionium salts derived from II were not used for dyeing because they were very unstable and easily decompd. to insol. matter in aq. soln. on heating. 4-Onium salts derived from II were stable and exhausted very well on cellulosic fibers from their aq. soln. Acrylic fiber also can be dyed with these dyes at the boil in deep shades having good fastness. Thus, a II deriv., decompg. at 258.degree., was prepd. in 92% yield by adding 3.14 g. 1-(3-aminophenylamino)anthraquinone (IV) in 50 ml. Me2CO to 1.90 g. cyanuric chloride in 25 ml. Me2CO at 0-2.degree. with stirring for 30 min., then adding 0.53 g. Na2CO3 in 10 ml. H2O, and stirring at 0-2.degree. for 3 hrs. Similarly, other II were prepd. (reactant, m.p., and % yield given): p-H2NC6H4N:NPh (V), 215-16.degree. (decompd.), 98; p-H2NC6H4N:NC6H4NO2-4, 225-7.degree. (decompd.), 95; p-H2NC6H4N:NC6H3(OH)Me-2,5, 233-5.degree. (decompd.), 100; p-H2NC6H4N:NCH(Ac)CONHPh, 230-2.degree. (decompd.), 99; 1,4-H2NC10H6N:NPh,

1945.degree. (decompd.), 94; p-H2NC6H4N:NC10H6OH-1,2, >300.degree., 100; 1-(.beta.-aminoethylamino)anthraquinone (VI), 233.degree., 87; 1-(4-aminophenylamino)anthraquinone, -, 80.degree.. III were prepd. by treating II in Me2CO or dioxane with H2NCH2CH2OH (VII), HN(CH2CH2OH)2 (VIII) or p-H2NC6H4SO2NH2 (IX) at 40-50.degree. [1st condensing component, 2nd condensing component, m.p. (decompd.), and % yield given]: V, VIII, 190-3.degree., 98; V, VII, 171-2.degree., 86; V, p-H2NC6H4OH, 227.degree., 103; V, IX, 280-3.degree., 102; IV, VIII, 145.degree., 98; VI, IX, 300-1.degree., 102. Addn. of a cationic surfactant improves the color value and brilliancy of cotton dyeings.

IT **94550-74-0**, 2-Naphthol, 1-[[p-[(2,6-dichloro-4-**pyrimidinyl**)**amino**]**phenyl**]azo]-
(prepn. of)

RN 94550-74-0 CAPLUS

CN 2-Naphthol, 1-[[p-[(2,6-dichloro-4-pyrimidinyl)amino]phenyl]azo]- (7CI) (CA INDEX NAME)



L6 ANSWER 194 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:482495 CAPLUS

DOCUMENT NUMBER: 59:82495

ORIGINAL REFERENCE NO.: 59:15376h,15377a-b

TITLE: **Pyrimidine** nucleosides. XVII. **Pyrimidinyl amino** acids

AUTHOR(S): Ueda, Tohru; Fox, Jack J.

CORPORATE SOURCE: Cornell Univ. Med. Coll., New York, NY

SOURCE: Journal of Medicinal Chemistry (1963), 6(6), 697-701
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

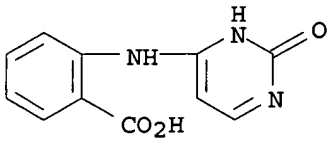
AB cf. CA 58, 11457a. N-(2-Oxo-4-**pyrimidinyl**) **amino** acids were prepd. by reaction of 4-methylthio-2-**pyrimidinones** with **amino** acids. N-(2Oxo-4-**pyrimidinyl**)glycine, -L-alanine, -L-phenylalanine (I), -L-ryptophan (II), -.beta.-alanine, -o- and p-amiuobenzoic acid (III), and -glycylglycine were obtained. N-(2-Thio-4-**pyrimidinyl**)-L-tryptophan was also prepd. as well as the 5-methyl, 5-fluoro (IV), 5-chloro, and 5-bromo analogs of N-(2-oxo-4-**pyrimidinyl**)-DL-alanine. The ribonucleosides of I, II, and III were synthesized by treatment of 1-.beta.-D-ribofuranosyl-4-methylthio-2-

pyrimidinone with the appropriate **amino** acid. The 1-(2-deoxy-.beta.-D-ribofuranosyl) deriv. of IV was synthesized by similar methods. Preliminary results with some of these compds. in exptl. tumors showed no significant antitumor activity. None of the **pyrimidinyl amino** acids tested supported the growth of certain **pyrimidine**- or **amino** acid-requiring mutants of Escherichia coli.

IT **64988-60-9**, Anthranilic acid, N-(1,2-dihydro-2-oxo-4-**pyrimidinyl**)-
(prepn. of)

RN 64988-60-9 CAPLUS

CN Benzoic acid, 2-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 195 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:454969 CAPLUS

DOCUMENT NUMBER: 59:54969

ORIGINAL REFERENCE NO.: 59:10040d-h,10041a

TITLE: A new synthesis of 2,4-dianilino-5,6-benzoquinazoline

AUTHOR(S): Dymek, Wojciech; Sybistowicz, Danuta

CORPORATE SOURCE: Wyzsza Szkola Ekon., Krakow, Pol.

SOURCE: Roczniki Chemii (1963), 37, 547-52
CODEN: ROCHAC; ISSN: 0035-7677

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

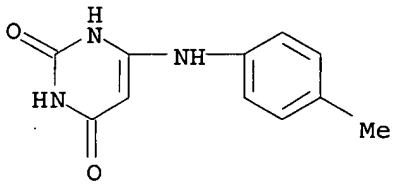
AB A mixt. of 20 g. 2-acetylamino-1-bromonaphthalene and 8.2 g. Cu2CN2 in 20 ml. **pyridine** was heated 25 hrs. at 140.degree., treated with 90 ml. concd. NH4OH, 90 ml. H2O, and 100 ml. C6H6, cooled, and 100 ml. Et2O added. The benzene-ethereal layer was washed with NH4OH, then with HCl and H2O, and evapd. to yield 40% 1-**cyano**-2-acetylaminonaphthalene (I), m. 167.degree. (alc.). A soln. of 2.5 g. I in 25 ml. EtOH was hydrolyzed 90 min. on a water bath with 10 g. 40% HBr, neutralized with NaHCO3, and dild. with H2O to afford 2 g. 1-**cyano**-2-**amino**-naphthalene (II), m. 127.degree. (dil. alc.). I (2 g.) in 20 ml. EtOH heated on a water bath with 1 ml. 0.2N NaOH yielded 80% III (R1 = OH, R2 = Me), m. 296.degree.(alc.). A soln. of 3 g. II in anhyd. EtOH was satd. at 10.degree. with anhyd. HCl, until the wt. of the soln. increased 0.4 g., left 24 hrs., neutralized with NH4OH, and extd. with Et2O. The ext. heated 30 min. with PhNH2 and kept 6 weeks gave 1-phenylamidino-2-aminonaphthalene (IV), m. 135.degree. (MeOH). A mixt. of 2 g. IV and 1.7 g. PhNCS heated 30 min. at 180.degree. gave 3.2 g. III (R1 = R2 = PhNH) (V), m. 135-6.degree. (alc.). V (2 g.) autoclaved 2 hrs. at 110.degree. with 20 ml. 35% alc. KOH, the product dissolved in water, the soln. filtered, and the filtrate acidified with concd. HCl gave 0.6 g. III (R1 = PhNH, R2 = OH) (VI), m. 270-1.degree. (80% AcOH). A hot soln. of 0.5 g. IV in 30 ml. dioxane satd. with 1 g. COCl2, heated 30 min., cooled, and neutralized with NaHCO3 afforded VI; HCl salt m. 287-8.degree. (alc.); picrate m. 267.degree. (AcOH). VI (1 g.) heated 90 min. with 2 g. PCl5 in POCl3 gave III (R1 = PhNH, R2 = Cl) (VII), m. 205.degree. (alc.). A soln. of 0.7 g. VII in 10 ml. anhyd. EtOH, cooled, satd. with NH3, refluxed 15 hrs., and evapd. afforded 0.4 g. III (R1 = PhNH, R2 = NH2), m. 285-6.degree. (AcOH). N-**Phenyl**-N'-(2-**naphthyl**)guanidine (VIII) (2.6 g.) fused 2 hrs. at 180.degree. with 0.87 g. EtNCS,

dild. with EtOH, and treated with concd. HCl gave 2.1 g. III.HCl (R1 = EtNH, R2 = PhNH) (IX), m. 258-60.degree. (alc.). IX (0.8 g.) autoclaved 2 hrs. at 115.degree. with 10 ml. alc. KOH, dild. with H2O, and acidified with concd. HCl gave 0.4 g. III.HCl (R1 = EtNH, R2 = OH), m. 277-8.degree. (alc.). A mixt. of 2.6 g. VIII and 1.7 g. p-ClC6H4NCS heated 2 hrs. at 180.degree., dild. with EtOH, treated with concd. HCl, and heated again 15 min. gave III.HCl (R1 = .rho.-ClC6H4NH, R2 = PhNH) (X), m. 314.degree. (alc.). X (1 g.) autoclaved at 110.degree. with 15 ml. alc. KOH, dild. with H2O, and filtered and the filtrate acidified with concd. HCl gave 0.4 g. III R1 = p-ClC6H4NH, R2 = OH,) m. 236-7.degree.(alc.)

IT **6948-11-4**, Uracil, 6-p-toluidino-
(prepn. of)

RN 6948-11-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 196 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:454968 CAPLUS

DOCUMENT NUMBER: 59:54968

ORIGINAL REFERENCE NO.: 59:10040c-d

TITLE: Synthesis of **pyrimidine** derivatives. IV. Some reactions of a 4-**amino**-5-bromopyrimidine

AUTHOR(S): Paul, Abha; Sen, D.

CORPORATE SOURCE: Univ Coll. Sci. Technol., Calcutta

SOURCE: Indian Journal of Chemistry (1963), 1(2), 98-9
CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

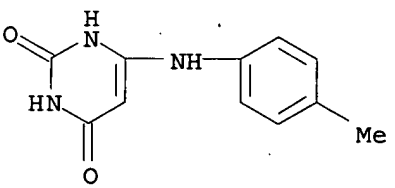
GI For diagram(s), see printed CA Issue.

AB 2,4-Dihydroxy-5-bromo-6-aminopyrimidine is heated with amines and heterocyclic nitrogen compds. to give compds. of the general formulas I, where R is a polymethylenimino group and II, where R is an alkaryl or aryl group. Compds. prepd. in this manner are I (R = piperidine), m. 314-15.degree. (decompn.); I (R = morpholino), 322.degree. (decompn.); and II (R, m.p. given): PhCH2, 302-3.degree. (decompn.); Ph, 331.degree. (decompn.); and .rho.-tolyl, 322.degree. (decompn.)

IT **6948-11-4**, Uracil, 6-p-toluidino-
(prepn. of)

RN 6948-11-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 197 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:436076 CAPLUS
DOCUMENT NUMBER: 59:36076
ORIGINAL REFERENCE NO.: 59:6555a-f
TITLE: **Pyridotriazole** brighteners
INVENTOR(S): Buell, Bennett G.; Long, Robert S.
PATENT ASSIGNEE(S): American Cyanamid Co.
SOURCE: 11 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3049438		19620814	US	19581103

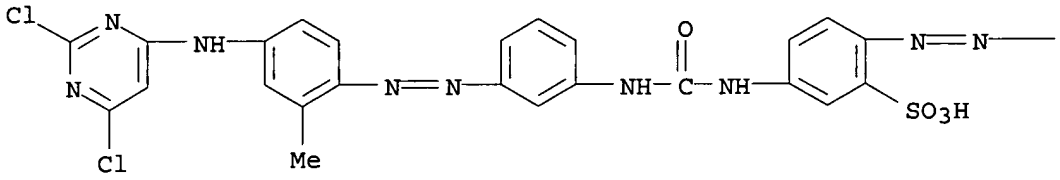
GI For diagram(s), see printed CA Issue.

AB Compds. of the structures I or II, in which Y is H, alkyl, halogen, or carboxyl, n is 1 or 2, R is the residue of a diazotizable amine, R' is Me or Et, and X- is halide or other anion, exhibit phosphorescence and fluorescence, and are stable to the conditions of textile manuf. By proper selection of substituents, they can be used to brighten a wide range of synthetic and natural fibers. Thus, a mixt. of 2,6-diamino-3-(phenylazo)**pyridine** 27.9, EtOH 450, H2O 70, and concd. aq. NH3 180 parts was refluxed with stirring, and a hot soln. of CuSO4 170 in H2O 500 and concd. aq. NH3 300 parts added. After several hrs., CuSO4 25 in H2O 125 and concd. aq. NH3 45 parts was added and heating continued to complete reaction. The product was cooled, filtered, washed with dil. aq. NH3 and H2O, dissolved in H2O 400, EtOH 450, and concd. HCl 150 parts, the soln. treated with a decolorizing agent, filtered, and 55 parts concd. HCl added. The pptd. hydrochloride (III) was filtered and washed with EtOH. A 1:1000 soln. of III in HCONMe2 was dild. with H2O contg. a dispersing agent to 0.005%, and this soln. 50 added to H2O 98.5 and AcOH 1.5 parts. Orlon fabric was boiled in the soln. 30 min., removed, washed, and dried. It was much whiter and brighter than untreated fabric. The following I were similarly prepd. (R, Y, and n given): 3,4-NaO3S(PhCH:CH)C6H3, H, 1; 4-NaO3SC6H4, H, 1; p-PhC6H4, H, 1; Ph, 6-Br, 1; p-PhC6H4, 7-Me, 1; p-H2NC6H4, H, 1; p-(p-MeOC6H4CONH)C6H4, H, 1; p-(5-sulfo-2H-naphtho[1,2-d]triazol-2-yl) **phenyl** (Na salt), H, 1; p-biphenylylene, H, 2; vinylenebis(3-sulfo-p-phenylene) (Na salt), H, 2; 2,7-dihydro-4-methyl-7-(4-sulfophenyl)-2-benzo[1,2-d:3,4-d']bistriazolyl, H, 1; Ph, 7-CO2H, 1; p-ClC6H4, H, 1; 3,4-Me2C6H3, H, 1; 2-**naphthyl**, H, 1; p-(PhCH:CH)C6H4, H, 1; 3,4-NC(PhCH:CH)C6H3, H, 1; 3,4-NC(o-HO3SC6H4CH: CH)C6H3, H, 1; 4-(4-benzamido-2- sulfostyryl)-3-sulfophenyl, H, 1; p-(6-methyl-2-benzothiazolyl)**phenyl**, H, 1; 4-(2-benzoxazolyl) **phenyl**, H, 1; 4-(2-benzimidazolyl)**phenyl**, H, 1; 5-benzothiazolyl, H, 1; 2-**phenyl**-6-benzoxazolyl, H, 1; 2-methyl-5-benzimidazolyl, H, 1; 3-sulfo-p-biphenylylene, H, 2; vinylenedi-p-phenylene, H, 2; iminodi-p-phenylene, H, 2; 5,5-dioxo-3,6-dibenzothiophenediyl, H, 2; ureylenedi-p-phenylene, H, 2; p-(7-sulfo-2H-naphtho[1,2-d]triazol-2-yl)**phenyl**, H, 1; m-BrC6H4, H, 1; 4-**pyridyl**, H, 1; 5-**pyrimidinyl**, H, 1. A mixt. of I (R = Ph, Y = H, n = 1) 1, MeOH 40, and MeI 44 parts was refluxed until the reaction was completed, evapd. to dryness, and the residue recrystd. from MeOH-Et2O to give II (R = Ph, R' = Me, X- = iodide). Similarly prepd. were II (R, R', X- given): Ph, Et, EtOSO3-; Ph, Me, p-MeC6H4SO3-; p-PhC6H4, Me, iodide.

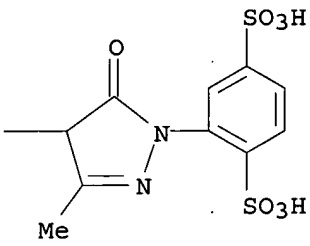
IT **97657-37-9**, p-Benzenedisulfonic acid, 2-[4-[[4-[3-[m-[[4-[(2,6-dichloro-4-**pyrimidinyl**)**amino**]-o-tolyl]azo] **phenyl**]ureido]-2-sulfophenyl]azo]-3-methyl-5-oxo-2-pyrazolin-1-yl]- (prepn. of)

RN 97657-37-9 CAPLUS
CN p-Benzenedisulfonic acid, 2-[4-[[4-[3-[m-[[4-[(2,6-dichloro-4-pyrimidinyl)amino]-o-tolyl]azo]phenyl]ureido]-2-sulfophenyl]azo]-3-methyl-5-oxo-2-pyrazolin-1-yl]- (7CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L6 ANSWER 198 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:81530 CAPLUS
DOCUMENT NUMBER: 58:81530
ORIGINAL REFERENCE NO.: 58:13958d-h,13959a-h,13960a-g
TITLE: **Pyrimidines**. IX. 4- and 5-(Substituted-anilino)**pyrimidines**
AUTHOR(S): O'Brien, Darrel E.; Baiocchi, Fred; Robbins, Roland K.; Cheng, C. C.
CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO
SOURCE: Journal of Medicinal & Pharmaceutical Chemistry (1962), 5, 1085-103
CODEN: JMPCAS; ISSN: 0095-9065
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 57, 11198b, 16613e. A no. of 4- and 5-(substituted-anilino) **pyrimidines** have been synthesized as riboflavine antagonists. Compds. corresponding to the general formulas I, II, and III were prepd. For the prepn. of I, where R1 = R2 = NH2, R3 = NO (Ia) a soln. of 2,4-diamino-6-(substituted-anilino)**pyrimidine** (0.2 mole) in 200 ml. water and 400 ml. glacial AcOH was stirred and cooled to 5.degree.. NaNO2 (0.2 mole) in 75 ml. water was added dropwise below 10.degree.. The mixt. was stirred 3 hrs., the ppt. filtered off, washed with 3 .times. 100 ml. water, 2 .times. 75 ml. EtOH, 3 .times. 150 ml. EtO2, dried at 80.degree. and recrystd. from dimethylformamide and water. The following Ia were prepd. [X, Y, Z, % yield, and m.p. (decompn.) given]: Br, H, H, 97,229.degree.; Me, H, H, 98, 245-6.degree.; OH, H, H, 92, >360.degree.; H, Me, Me, 90, 283-5.degree.; Me, H, Me, 86, 273-4.degree.; Me, Me, H, 57, 298-9.degree.; H, Cl, H, 72, 265-6.degree.; H, H, Cl, 71 271-2.degree.; Cl, H, H, 93, 263-4.degree.; F, H, H, 82, 270-1.degree.; Cl, Cl, H, 87,

2892-91.degree.; Me, H, H, 89, 249-50.degree.; H, H, Me, 68, 254-6.degree.; H, Me, H, 75, 262-3.degree.; I, H, H, 92, 285-6.degree.; C2H4OH, H, H, 71, 281-2.degree.; Me, Cl, H, 69, 287-8.degree.; SO2NH2, H, H, 74, 308-9.degree.; H, H, H, 92, 254-5.degree.; Br, Br, H, 83, 302-3.degree.; Br, H, Me, 81, 276-7.degree.. Similarly was prepd. 2,4-diamino-6-(N-methyl-p-toluidino)-5-nitrosopyrimidine in 68% yield, m. 268-9.degree. (decompn.). The sulfate salts of 2,4,5-triamino-6-substituted-anilino)**pyrimidines** (I, R1 = R2 = R3 = NH2) (Ib) were prepd. by the redn. of the corresponding 5-nitroso compd. with Na2SO2O4. In this way the following Ib were prepd. [IX, Y, Z, % yield, and m.p. (decompn.) given]: Me, H, H, 40, 207-8.degree.; H; Me, H, 43, 209-10.degree.; Me, Me, H, 37, 192-4.degree. (no decompn.); Me, H, Me, 38, 165-6.degree.; Me, Cl, H, 41, 298-300.degree.; Br, H, H, 37, 300.degree.; OMe, H, H, 35, 218-19.degree.; OH, H, H, 42, 210-12.degree.; C2H4OH, H, H, 33, 212-13.degree.; H, H, H, 35, 232-4.degree.. For the prepn. of 2-**amino**-5-nitroso-6(substituted-anilino)-4-**pyrimidinols** (I, R1 = NH2, R2 = OH, R3 = NO) (Ic), 0.1 mole NaNO2 in 50 ml. water was added with stirring to a soln. of 0.1 mole 2-**amino**-6-(substituted-anilino)-4-**pyrimidinol** in I l. N NaOH at room temp. The soln. was acidified to pH 4 by the dropwise addn. of 6N HCl. Stirring was continued 3 hrs. The ppt. was filtered off, washed with water, EtOH, and Et2O, dried at 80.degree., and recrystd. from dimethylformamide and water. The following Ic were prepd. (X, Y, Z, % yield, and m.p. (decompn.) given]: Me, H, H, 73, 297-8.degree.; H, H, Me, 75, 286-7.degree.; Me, Me, H, 89, 295-6.degree.; Cl, Cl, H, 79, 302-3.degree.; Me, Cl, H, 90, 315-17.degree.; Br, H, H, 68, 330-1.degree.. For the prepn. of 4-**amino**-6-(substituted-anilino)-2-**pyrimidinols** (I, R1 = OH, R2 = NH2, R3 = H) (Id), a mixt. of 4-**amino**-6-chloro-2-pyrimindinol (0.1 mole) and substituted aniline (0.11 mole) in 125 ml. HOCH2CH2OH was heated at 195.degree. 30 min. The clear soln. was added to 1 l. dil. NaOH. The mixt. was heated to boiling, treated with C, and filtered. The filtrate, acidified with glacial AcOH, gave a ppt. which was filtered off, washed with water, dried at 80.degree. and recrystd. from aq. EtOH. The following Id were prepd. [X, V, Z, % yield, and m.p. (decompn.) given]: Me, H, H, 67, 348-9.degree.; Me, Me, H, 74, 328-9.degree.; Me, H, H, 76, 357-9.degree.; Cl, Cl, H, 70 >360.degree.. In the same way was prepd. 59% 6-(p-toluidino)-2,4-**pyrimidinediol**], starting from 4-chloro-2,6-**pyrimidinediol**, m. 326-7.degree. (decompn.). 4-**Amino**-5-nitroso-6-(substituted-anilino)-2-**pyrimidines** (I, R1 = OH, R2 = NH2, R3 = NO) (Ie) were prepd. by the nitrosation of the corresponding 4-**amino**-6-(substituted-anilino)-2-**pyrimidinols** in the usual way. Thus, the following Ie were prepd. [X, Y, Z, % yield, and m.p. (decompn.) given]: Me, H, H, 68, 270-1.degree.; Me, Me, H, 97, 295-6.degree.; OMe, H, H, 82, 286-7.degree.; Cl, Cl, H, 93, 302-4.degree.. 5-Nitroso-6-(p-toluidino)-2,4-**pyrimidinediol** was prepd., from 6-(p-toluidino)-2,4-**pyrimidinediol**, with the method used for the prepn. of 2-**amino**-5-nitroso-6-(substituted-anilino)-4-**pyrimidinols**; yield 50%, m. >360.degree. (decompn.). For the prepn. of 2-**amino**-4-chloro-6-(2-nitro-p-toluidino)**pyrimidine**, a mixt. of 2-**amino**-4,6-dichloropyrimidine (0.21 mole), 4-methyl-2-nitroaniline (0.22 mole), AcOH (0.21 mole) was heated to 175.degree. 30 min. The solidified mixt. was dissolved in 1 l. boiling water, treated with C, and filtered. The filtrate was adjusted to pH 8 with dil. NaOH, and the resulting ppt. was filtered off and dried at 80.degree.; yield 68%, m. 218-20.degree. (decompn.) (aq. EtOH). For the prepn. of 2-**amino**-4-chloro-6-(2-**amino**-p-toluidino)**pyrimidine** hydrochloride, a mixt. of 2-**amino**-4-chloro-6-(2-nitro-p-toluidino)**pyrimidine** (0.03 mole) in 600 ml. abs. EtOH, and 50 g. Raney Ni was refluxed 6 hrs., and filtered. The filtrate was evapd. to 100 ml. and the solid residue dissolved in ethanolic HCl and pptd. by addn. of anhyd. Et2O. The HCl salt was filtered off, triturated with Et2O, and dried at 80.degree.; yield 37%, m.

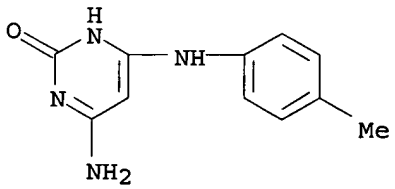
268-70.degree. (decompn.). For the prepn. of Et 2-(substituted-anilino)malonates (II), a mixt. of Et bromomalonate (0.2 mole) and a substituted aniline (0.6 mole) was stirred at room temp. After 30 mill. the reaction temp. rose to 85.degree.. The mixt. was heated at 95.degree. 3 hrs., allowed to stand overnight at room temp., and triturated with 6 .times. 150 ml. Et2O and the PhNH2.HBr was discarded. The ext. was washed with 3 .times. 150 ml. water, 3 .times. 150 ml. 1.5N HCl, and 3 .times. 150 ml. water, treated with C, and dried over Na2SO4. Et2O was evapd. and the residue was recrystd. from hexane. The following II were prepd. (X, Y, % yield, and m.p. given): H, H, 96, 48-9.degree.; Cl, H, 95, 85-7.degree.; H, Cl, 97, 70-1.degree.; Cl, Cl, 93, 84-6.degree.; H, Me. 93, 46-7.degree.; Me, H, 99, 45-6.degree.; Me, Me, 99, 56-7.degree.; Me, Cl, 99, m. 67-9.degree.; Br, H, 99 90-1.degree.. For the prepn. of 2-**amino**-5-(substituted-anilino)-4,6-**pyrimidinediols** (III, R1 = NH2, R2 = OH) (IV), a soln. of Na (0.3 g.-atom) in 500 ml. abs. EtOH was added to a soln. of guanidine hydrochloride (0.1 mole) in 250 ml. abs. EtOH. The mixt. was stirred 10 min. at room temp. and the pptd. NaCl sepd. by filtration. A soln. of Et 2-(substituted-anilino)malonate (0.1 mole) in 250 ml. abs. EtOH was added with stirring to the boiling filtrate. The soln. was refluxed with stirring 6 hrs. The ppt. was filtered off and redissolved in 500 ml. water and the soln. treated with C and filtered. The filtrate was acidified to pH 5 with AcOH. The ppt. was filtered off, washed with water, dried at 80.degree. and recrystd. from aq. EtOH. The following IV were prepd. [X, Y, % yield, and m.p. (decompn.) given]: H, Me, 40, 233-5.degree.; Cl, Cl, 81, 220-1.degree.. N-(2-**Amino**-4,6-dihydroxy-5-**pyrimidinyl**)-N-formyl-m-toluidine was prepd. by refluxing a soln. of 2-**amino**-5-(m-toluidino)-4,6-**pyrimidinediol** (0.05 mole) in 125 ml. 90% HCO2H 30 min. The soln. was evapd. to dryness. The residue was dissolved in boiling water, treated with C, and filtered. The formyl deriv. crystd. on cooling and was filtered off, and dried at 80.degree.; yield 54%, m. 289-90.degree. (decompn.). For the prepn. of 5-(substituted-anilino)barbituric acids (III, R1 = R2 = OH) (V) a soln. of Na (0.3 g.-atom) in 500 ml. abs. EtOH was added to a soln. of urea (0.1 mole) in 250 ml. abs. EtOH. The soln. was heated to reflux and, with stirring, a soln. of Et 2-(substituted-anilino)malonate (0.1 mole) in 250 ml. abs. EtOH was added. After refluxing 15 hrs., the ppt. was filtered off, redissolved in 500 ml. boiling water, treated with C, and filtered. The filtrate was acidified to pH 2 with dil. HCl and the ppt. was filtered off, washed with water, dried at 80.degree., and recrystd. from dimethylformamide and water. The following V were prepd. [X, Y, % yield, and m.p. (decompn.)given]: Me, H, 40,330-2.degree.; Cl, Cl, 35, 240-3.degree.; Cl, H, 40, 225-6.degree.. By substituting thiourea for urea the [following 2-thio-5-(substituted-anilino)barbituric acids (III, R1 = SH, R2 = OH) were prepd. [X, Y, % yield, and m.p. (decompn.) given]: H, H, 78, 265-6.degree.; Cl, H, 53, 250-1.degree.; H, Cl, 78, 235-6.degree.; Bt, H, 51, 265-7.degree.. By substituting formamidine for urea the following 5-(substituted-anilino)-4,6-**pyridinediols** (III, R1 = H, R2 = OH) were prepd. [X, Y, %, yield, and m.p. (decompn.) given]: H, Me, 52, 268-9.degree.; Me, Me, 56, 288-9.degree.; H, Cl, 42, 291-2.degree.. For the prepn. of 2-(methylthio)-5-substituted-anilino)barbituric acids (III, R1 = SMe, R2 = OH) (VI) a soln. of 0.1 mole 2-thio-5-(substituted-anilino)barbituric acid in 1 l. N NaOH was cooled to 10.degree., 14.9 g. MeI was added with stirring, stirring continued 6 hrs. while the mixt. gradually warmed to room temp., the soln. treated with C, filtered, and the filtrate acidified with AcOH. The ppt. was filtered off, washed with water, dried at 80.degree., and recrystd. from EtOH and water. The following VI were prepd. [X, Y, % yield, and m.p. (decompn.) given]: H, H, 78, 268-9.degree.; Cl, H, 88, 269-70.degree.; H, Cl, 92, 242-3.degree.; Cl, Cl, 88, 250-1.degree.; Br, H, 90, 250-1.degree.; H, Me, 91, 239-40.degree.; Me, Me, 89, 253-5.degree.. For the prepn. of 5-(m-toluidino)-2,4,6-**pyrimidinetrithiol**, a suspension of 2-thio-5-(m-toluidino)barbituric acid (0.1 mole) and 75 g. P2S5 in 1 l.

dry **pyridine** was refluxed 12 hrs. The soln. was evapd. to dryness and to the residue was added 500 ml. water. The mixt. was allowed to stand at room temp. 30 min., and then heated on a steam bath 3 hrs. The pH was brought to 9 with NaOH and the mixt. heated with C and filtered. The filtrate was acidified to pH 2 with dil. HCl, and chilled overnight. The ppt. was filtered off and recrystd. from dimethylformamide and water; yield 10% m. 256-7.degree. (decompn.). In a similar way 2-methylthio-5-(m-toluidino)-4,6-**pyrimidinedithiol** was prepd., starting from 2-methylthio-5-(m-toluidino)barbituric acid; yield 40%, m. 260-1.degree.. 5-(m-Toluidino)-2,4,6-tris(methylthio)**pyrimidine** was prepd. by adding with stirring 14.4 g. MeI to a soln. of 0.05 mole 2-methylthio-5-(m-toluidino)-4,6-**pyrimidinedithiol** in 1 l. 14% aq. NH3, cooled at 10.degree.. The mixt. was stirred 3 hrs. and allowed to warm slowly to room temp. The ppt. was filtered off, washed with water, and dried at 80.degree.; yield 87%, m. 155-6.degree. (aq. EtOH). By treatment of this product with Cl in MeOH at 5-10.degree. was obtained a product which, from its anal. data, may be either 5-(2,4,6-trichloro-3-methylanilino)-2-chloro-4,6-bis-(methylsulfonyl)**pyrimidine** or 5-(2,4,6-trichloro-3-methylanilino-4-chloro-2,6-bis(methylsulfonyl) **pyrimidine**, m. 226-7.degree.. For the prepn. of 2-methylthio-4,6-dichloro-5-(substituted-anilino)**pyrimidines** (III, R1 = SMe, R2 = Cl) (VII), a suspension of 2-methylthio-5-(substituted-anilino)barbituric acid in 1 l. POCl3 was refluxed with stirring 18 hrs. The excess POCl3 was removed in vacuo and the residue was added slowly to 1 kg. flaked ice with stirring. Stirring was continued 30 min. Satd. ammonia water was added dropwise to pH 8. Anhyd. NH3 was bubbled at a temp. below 15.degree. 3 hrs. and the soln. was extd. with 5 .times. 600 ml. 2-butanone. The ext. was treated with C, dried overnight with Na2SO4, evapd. in vacuo, dried in vacuo over CaCl2, and recrystd. from heptane. The following VII were prepd. (X, Y, % yield, and m.p. given): H, H, 87, 130-1.degree.; Cl, Cl, 10, 122-8.degree.. For the prepn. of 2,4,6-triamino-5-(substituted-anilino)**pyrimidines** (III, R1 = R2 = NH2) (VIII), a soln. of 10 g. crude 2-(methylthio)-4,6-dichloro-5-(substituted-anilino)**pyrimidine** in 200 ml. 24% ethanolic NH3 was heated in an autoclave at 180.degree. 24 hrs. The soln. was evapd. to 1-3 its original vol., and the ppt. was filtered off, redissolved in boiling BuOH, treated with C, and filtered. The pure compd. pptd. on cooling. The following VIII were prepd. [X, Y, % yield, and m.p. (decompn.) given]: H, Me, 8, 237-8.degree.; Me, Me, 6, 215-17.degree.; H, H, 70, 250-2.degree.; Cl, Cl, 7.5, 277-9.degree.. For the prepn. of 5-(2,4-dinitroanilino)-2,4-**pyrimidinediol**, a mixt. of 0.2 mole 5-aminouracil, 0.24 mole 2,4-dinitrobromobenzene, 1 g. CuO, and 10 g. NaHCO3, in 400 ml. EtOH and 200 ml. water was refluxed with stirring 9 hrs. The reaction mixt. was cooled and the solid filtered off and triturated with 3 .times. 200 ml. Et2O, 3 .times. 200 ml. Me2CO, and 3 .times. 200 ml. boiling water. The product was recrystd. from dimethylformamide and water; yield 46%. m. 312-13.degree. (decompn.). Ultraviolet spectral data were given for the compds. prepd.

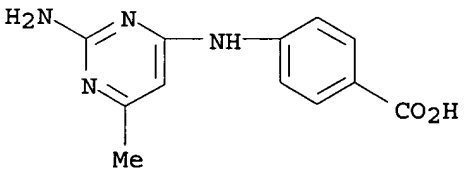
IT **6939-52-2**, Cytosine, 6-p-toluidino-
(prepn. of)

RN 6939-52-2 CAPLUS

CN 2-Pyrimidinol, 4-amino-6-p-toluidino- (8CI) (CA INDEX NAME)



L6 ANSWER 199 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:73326 CAPLUS
DOCUMENT NUMBER: 58:73326
ORIGINAL REFERENCE NO.: 58:12558h,12559a-b
TITLE: Synthesis of N,N-dialkyl-N'-arylalkyl-N'4-cinnolinyl (or 9-fluorenyl or 6-methyl-3-**pyridazinyl** or 1-phthalizinyl or 2-quinoxalinyl)ethylenediamines of potential pharmacological interest
AUTHOR(S): Chapman, N. B.; Clarke, K.; Wilson, K.
CORPORATE SOURCE: Univ. Hull, UK
SOURCE: Journal of the Chemical Society, Abstracts (1963) 2256-66
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Ditertiary amines of the type indicated in the title have been prepd. in fair yield by interaction of an N,N-dialkyl-N'-aralkylethylenediamine with the appropriate heterocyclic chloro compd. Attempted recrystn. of the HCl salts of many of these compds. resulted in decompn. The N,N-dialkyl-N'-aralkylethylenediamines were prepd. by catalytic redn. of the anils formed from substituted benzaldehydes and N, N-dialkylethylenediamines. The sites of protonation of the ditertiary amines (usually contg. N-heterocyclic substituents) have been studied spectrophotometrically; pKa values for the salts corresponding to the two most basic centers have been measured, and an attempt has been made to relate the antihistamine properties of the compds. with their properties as bases.
IT **91560-28-0**, Benzoic acid, p-[(2-**amino**-6-methyl-4-**pyrimidinyl**)**amino**]-
(prepn. of)
RN 91560-28-0 CAPLUS
CN Benzoic acid, 4-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



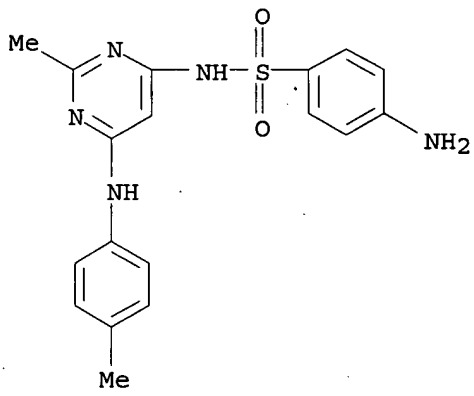
L6 ANSWER 200 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:33388 CAPLUS
DOCUMENT NUMBER: 58:33388
ORIGINAL REFERENCE NO.: 58:5680h,5681a-c
TITLE: 4-Sulfanilamidopyrimidine. Synthesis of some new derivatives
AUTHOR(S): Craveri, F.; Zoni, G.
CORPORATE SOURCE: Inst. Medicamenta, Milan
SOURCE: Farmaco, Edizione Scientifica (1962), 17, 573-80
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Some new derivs. (I and II) were synthesized. 2-Methyl-4-**amino**-6-piperidino-**pyrimidine** (1.92 g.) and 4.66 g. p-acetamidobenzenesulfonyl chloride dissolved in 90 cc. anhyd. CH2Cl2, the mixt. heated at 40.degree. with stirring and treated dropwise with 1.82 cc. anhyd. Me3N in 60 cc. C6H6, the soln. stirred 2 hrs., 0.15 cc. Me3n

added, the soln. stirred 4 hrs. and cooled at room temp., the solvents evapd. in vacuo, and the oily residue kept 12 hrs. at 5.degree. yielded 85% I (X = piperidino) (III), m. 205.degree. (aq. EtOH). III (5.86 g.) dissolved in 30 cc. EtOH and 30 cc. 10% NaOH, the mixt. refluxed 2 hrs., the solvent evapd. in vacuo, and the aq. residue neutralized with 50% HCl yielded 90% II (X = piperidino, R = H), m. 271.degree.. III (5.86 g.) and 68 cc. 2.5% NH3 heated at 120.degree. for 1.5 hrs., cooled, the ppt. filtered off, the filtrate acidified with 50% HCl to pH 5, and the ppt. filtered off and crystd. from aq. EtOH yielded 50% II (X = piperidino, R = Ac), m. 121.degree.. Similarly, the following compds. were obtained (compd., % yield, solvent of crystn. and m.p. given): I (X = morpholino), 90, aq. HCONMe2, 258-60.degree.; II (X = morpholino, R = H), 80, aq. HCONMe2, 278-9.degree.; I (X = PhNH), 90, aq. EtOH, 203.degree.; II (X = PhNH, R = H), 90, aq. EtOH, 252.degree.; I (X = p-MeC6H4NH), 95, aq. EtOH, 220.degree. (decompn.); II (X = p-MeC6H4NH, R = H), --, aq. EtOH, 246.degree.; I (X = p-ClC6H4NH), 98, EtOH, 204.degree.; II((X = p-ClC6H4NH, R = H), 90, aq. EtOH, 245.degree.; II (X = NMe2,R = H), 80, aq. EtOH, 258-60.degree.; II (X = NEt2, R = Ac), --, aq. EtOH, 121.degree.; II (X = NEt2, R = H), 45, aq. EtOH, 246-8.degree.; II (X = NPr2, R = H), --, aq. EtOH, 230-2.degree..

IT **98657-11-5**, Sulfanilamide, N1-(2-methyl-6-p-toluidino-4-**pyrimidinyl**)-
(prepn. of)

RN 98657-11-5 CAPLUS

CN Sulfanilamide, N1-(2-methyl-6-p-toluidino-4-pyrimidinyl)- (7CI) (CA INDEX NAME)



L6 ANSWER 201 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:21088 CAPLUS

DOCUMENT NUMBER: 58:21088

ORIGINAL REFERENCE NO.: 58:3527h,3528a-d

TITLE: Reactivity of dichloro- and trichloropyrimidyl dyes and hydrolyzability of the dyeings

AUTHOR(S): Thumm, O.; Benz, J.

CORPORATE SOURCE: Sandoz A.-G., Basel, Switz.

SOURCE: Angew. Chem. (1962), 74, 712-16

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

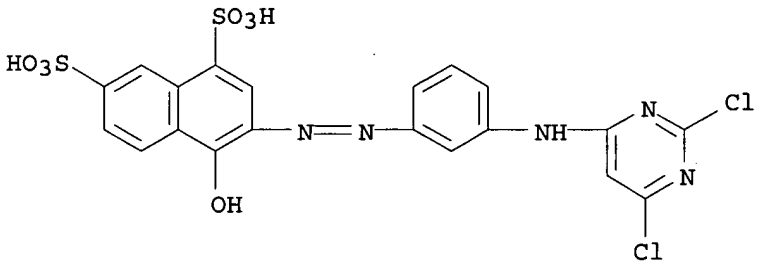
AB The resistance of dyeings made with reactive di- and trichloropyrimidyl dyes towards alk. and acidic hydrolysis as well as the rate of fixation of the dyes on cotton were investigated. The dyes studied had the general structure I, where R is 2,4,5-trichloro-6-**pyrimidyl** (II) or 2,4-dichloro-6-**pyrimidyl** (III) and X is a NH, NMe, CH2O, or O bridge. I were dyed by the thermofixation process on mercerized cotton.

The dyed cotton was then agitated 4 hrs. at 95.degree. in a bath contg. soap and 2 g. Na2CO3/l. (pH about 10). The dye which bled from the cotton was then dyed from the acidified bath onto wool. The acidic hydrolysis of the dyeings on cotton was performed by agitating the material with wool 1.5 hrs. at 98.degree. in a bath contg. Na2SO4 and 0.08 wt. % H2SO4 (pH about 2); the bled dye is transferred to the wool. Color reproductions of the original dyeings on cotton and of the dyeings on wool after alk. and acidic hydrolysis are given for the following I with R = II (X given): NH (IV), O (V), CH2O (VI), and for the following with R = III (X given): NH (VII), NMe (VIII), O (IX). IV is practically resistant to alk. hydrolysis, V and VI are less stable, VII is also stable under the same conditions, VIII slightly less so, while IX is least stable. The acidic hydrolysis of the dyes IV to IX approximates the alk. hydrolysis. The locations of the cleavage of the dye-cellulose system were detd. by column chromatography of the hydrolyzates obtained under more drastic conditions. In the dyeings with IV, VII, and VIII, cleavage occurs predominantly between cellulose and the reactive component. V and IX are cleaved at the phenol-ether linkage, and VI at the cellulose-reactive component and ether links. The reactivity of the various I with cellulose is affected by the nature of X. V and VI react much faster than IV, while max. fixation from an alk. bath is reached for V after about 30 min.; it requires about 50 hrs. for IV. Similarly, IX is more reactive than VII. VII is less reactive than VIII. The reactivities of the dyes X where R = II, 2,5-dichloropyrimidyl, and III detd. at 50.degree. by the slop-padding procedure were 11.4, 2.16, and 0.109 .times. 10-3/min., resp.

IT **95801-03-9**, 1,7-Naphthalenedisulfonic acid, 3-[[m-[(2,6-dichloro-4-**pyrimidinyl)amino]phenyl**]azo]-4-**hydroxy-**
(dyeing cotton with, and effect of acid hydrolysis on fastness)

RN 95801-03-9 CAPLUS

CN 1,7-Naphthalenedisulfonic acid, 3-[[m-[(2,6-dichloro-4-pyrimidinyl)amino]phenyl]azo]-4-hydroxy- (7CI) (CA INDEX NAME)



L6 ANSWER 202 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:8827 CAPLUS

DOCUMENT NUMBER: 58:8827

ORIGINAL REFERENCE NO.: 58:1451e-h,1452a-d

TITLE: N,N'-Dipyrimidinylalkylenediamines and related compounds

AUTHOR(S): Parnell, E. W.

CORPORATE SOURCE: May & Baker Ltd., Dagenham, UK

SOURCE: Journal of the Chemical Society, Abstracts (1962) 2856-62
CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB The chloropyrimidine and diamine reacted by conventional methods: (a) in refluxing PhOH, (b) in refluxing EtOCH2CH2OH (I), (c) in refluxing H2O while adding aq. NaOH to keep soln. alk. to phenolphthalein, and (d) in

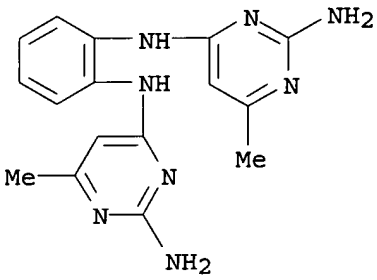
refluxing dil. aq. HCl, to give (II) and (III). The chloropyrimidine methiodide and the diamine were converted to quaternary salts IV (e) in dry MeOH in a sealed tube at 120.degree., (f) in refluxing I, (g) in refluxing PhOH, (h) in refluxing H2O while adding aq. NaOH to keep the soln. alk. to phenolphthalein followed by treatment with NaI, and (i) in refluxing dil. aq. HCl; finally, the methiodides thus formed could be treated (j) with AgCl to give the methochloride or (k) with MeSO3Ag to give the methanesulfonate. The following tabulates the data and the compds. prepd. (type II, R, R', n, method, % yield, and m.p. given): H2N, Me, 2, a, 67, 190-3.degree., 215-16.degree. (double m.p.) [hydrochloride m. 320.degree. (decompn.)]; H2N, Me, 2, b, 74, --; H2N, Me, 2, c 10, --; H2N, Me, 3, a, 24,192-3.degree. (decompn.); H2N, Me, 4, a, 54, 255-6.degree. (decompn.); H2N, Me, 5, a, 52, 268-70.degree. (decompn.); H2N, Me, 6, a, 50, 226-9.degree. (decompn.) [hydrochloride m. 110-15.degree., solidifying, m. 278-9.degree. (decompn.)]; H2N, Me, 7, a, 31, 175.degree.; H2N, Me, 10, a, 31, 180.5-2.0.degree.; H2N, Me, 10, b, 92.5, 177-9.degree.; H2N, Me, 11, a, 63, 105-15.degree. MeNH, Me, 2, a, 51, 225-7.degree.; Me2N, Me, 2, a, 40, 170-1.degree.; p-NHC6H4Cl, Me, 2, a, 45, 210-12.degree. H, Me, 2, a, 44, 230-1.degree.; Me, Me, 2, a, 74, 301-3.degree. (decompn.); H2N, Et, 2, a, 63, 294-6.degree. (dihydrochloride); Me, H2N, 2, a, 49, 340.degree. (decompn.); H2N, Me, 2, a, 42, 257-9.degree. (decompn.); H2N, Me, 2, d, 36, 273-4.degree.. The following III were prepd. (Y, method, % yield, and m.p. given): 1,4-piperazinediyl, c, 64, .apprx.360.degree. (hydrochloride); p-HNC6H4NH, d, 89, 293-5.degree. (decompn.); m-HNC6H4NH, d, 48, 179-80.degree.; .omicron.-NHC6H4NH, d, 67, 282-4.degree.. The following IV were prepd. (n or Y as in II or III, X, method, % yield, and m.p. given): 2, iodine, e, 39, 357-60.degree. (decompn.); 2, iodine,f, 35, --; 2, Cl,j, 53, 348-9.degree. (decompn.); 5, iodine, h, 48, 285-7.degree. (decompn.); 6, iodine, e, 16, 257-9.degree. (decompn.); 7, iodine, h, 64, 216-18.degree.; 8, iodine, e, 46, 110-20.degree., 270-1.degree. (double m.p.); 10, iodine, g, 39, 110-20.degree., 240-2.degree. (decompn.) (double m.p.); 10, iodine, h, 93, --; 10, Cl,j, 67,290-1.degree.; 11, iodine, h, 100.degree. (converted to chloride), m. 268-70.degree. (decompn.); 12, Cl,j, 65,279-81.degree. (decompn.); p-HNC6H4NH, iodine, j, 76, .apprx.360.degree.; p-HNC6H4NH, MeSO3; 76, .apprx.360.degree.; 1,4-piperazinediyl, iodine, g, 64, 343-5.degree. (decompn.); 1,4-piperazinediyl, Cl, j, 76, 360.degree. (decompn.). 2,4-Dimercapto-6-methyl-**pyrimidine** (94 g.), 21.6 ml. H2N(CH2)2NH2, and 376 g. PhOH stirred and refluxed 2 hrs., the whole cooled, 940 ml. Et2O added, the solid (IV) filtered off, the IV extd. with 700 ml. 2N HCl, and the HCl soln. added dropwise to 14 g. AcONa in 700 ml. H2O gave 64.5 g. N,N'-bis(2-mercapto-6-methylpyrimidin-4-yl)ethylenediamine (V), m. above 360.degree.; to the V in 645 ml. 2N HCl was added 51 ml. Me2SO4 dropwise at 20-5.degree., to give N,N'-bis(2-methylthio-6-methylpyrimidin-4-yl)ethylenediamine (VI), m. 275-7.degree. (decompn.). VI (27 g.), 27 ml. HOCH2CH2NH2, and 108 g. PhOH refluxed 6 hrs., then steam distd. and the nonvolatile residue cooled gave 10.2 g. N,N'-bis[2-(2'-hydroxyethylamino)-6-methylpyrimidin-4-yl]ethylenediamine, m. 187-9.degree.. N,N'-Bis(2-**amino**-6-methylpyrimidin-4-yl)ethylenediamine (20g.) and 100 ml. Ac2O refluxed 0.5 hr. gave 14.1 g. N,N'-diacetyl deriv., m. 233-4.degree.. 4-**Hydroxy**-6-methyl-2-methylthiopyrimidine (60 g.), 14 ml. H2N(CH2)2NH2, and 189 g. PhOH gave, as above, 44 g. N,N'-bis(4-**hydroxy**-6-methylpyrimidin-2-yl)ethylenediamine-2HCl (VII), m. 323-5.degree.. VII (43 g.) and 258 ml. POCl3 refluxed 3 hrs., the whole cooled and poured on ice, and excess concd.aq. NH3 added, below 25.degree., gave N,N'-bis(4-chloro-6-methylpyrimidin-2-yl)ethylenediamine (VIII), m. 225-30.degree.; dry NH3 passed 8 hrs. through 18.8 g. refluxing VIII and 150 g. PhOH, the whole steam distd., the nonvolatile residue treated with 10 ml. concd. HCl, the whole evapd. to dryness, and the base isolated with excess 50% NaOH gave 12.4 g. N,N'-bis(4-**amino**-6-methylpyrimidin-2-yl)ethylenediamine, m. 211-12.degree.. 4-

Amino-2-chloro-5-nitropyrimidine (46 g.), 9.7 g. H2N(CH2)2NH2, and 185 g. PhOH gave 31.5 g. N,N-bis(4-**amino**-5-nitropyrimidin-2-yl)ethylenediamine, m. above 360.degree.; in similar fashion was obtained 69% N,N'-bis(2-**amino**-5,6-dimethylpyrimidin-4-yl)ethylenediamine, m. 299-300.degree. (decompn.). 2-**Amino**-4-**hydroxy**-6-methylpyrimidine and Ac2O gave the 2-acetamido deriv. (IX), m. 220-1.degree.; the IX and POCl3 refluxed 10 min. gave 2-acetamido-4-chloro-6-methylpyrimidine, m. 136.5-7.degree..

IT **93014-77-8**, **Pyrimidine**, 4,4'-(o-phenylenediimino)bis[2-**amino**-6-methyl-
(prepn. of)

RN 93014-77-8 CAPLUS

CN Pyrimidine, 4,4'-(o-phenylenediimino)bis[2-amino-6-methyl- (6CI, 7CI) (CA INDEX NAME)



L6 ANSWER 203 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:429651 CAPLUS

DOCUMENT NUMBER: 57:29651

ORIGINAL REFERENCE NO.: 57:5916d-i,5917a

TITLE: **Pyrimidines**. VII. 2-**Amino**-4-(substituted anilino)**pyrimidines**

AUTHOR(S): O'Brien, Darrell E.; Baiocchi, Fred; Robins, Roland K.; Cheng, C. C.

CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO

SOURCE: Journal of Organic Chemistry (1962), 27, 1104-7
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

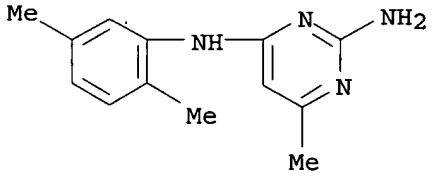
AB An appropriate chloropyrimidine (0.1 mole) and 0.1 mole suit able aniline mixed with 1 ml. concd. HCl in a round-bottom flask and immersed in an oil bath at 175.degree. (exothermic reaction at 155.degree. with rise of temp. to 185.degree.), the mixt. heated 20 min. at 185.degree. and the cooled glass-like mass taken up in 200 ml. dil. HCl, the clarified (C) soln. filtered and made alk. with NH4OH, the ppt. dried at 80.degree. and recrystd. from H2O and alc. gave the alkylaminopyrimidines (I, Z = NH2, Me, Cl). HOCH2CH2OH (150 ml.), 10 g. NaOH, and 10 g. I (Z = Cl) in a round-bottom flask heated at 160.degree. (preheated oil bath) with exothermic reaction, heated 30 min. at 175.degree. and the cooled soln. taken up in 500 ml. H2O, the clarified filtrate heated and acidified with AcOH, filtered hot and the dried product recrystd. gave I(Z = OH). A similar procedure using NaSH in place of NaOH gave I (Z = SH). The compds. synthesized are tabulated (R = H except where indicated) (series, X, Y, % yield, and m.p. given): Z = NH2: H, H, 78, 172-4.degree.; H, H, Me, 72, 193-4.degree.; H, 2-Me, 79, 182-3.degree.; H, 3-Me, 76, 129-30.degree.; H, 4-Me, 84, 172-3.degree.; H, 4-MeO, 87, 179-80.degree.; H, 4-HOC2H4, 81, 146-8.degree.; H, 4-F, 81, 175-7.degree.; H, 2-Cl, 71, 175-6.degree.; H, 3-Cl, 78, 1601.degree.; H, 4-Br, 90, 175-6.degree.; 3-Me, 4-Me, 83, 163-4.degree.; 4-Me, 3-Cl, 87, 172-3.degree.; 3-Cl, 4-Cl,

92, 185-6.degree.. Z = Me: H, H, Me, 74, 148-9.degree.; H, H, HOC2H4, 67, 162-3.degree.; H, 3-Me, 83, 142-3.degree.; H, 4-Me, 91, 224-5.degree.; H, 4-MeO, 89, 222-3.degree.; H, 3-EtO, 64, 159-60.degree.; H, 4-HOCH2CH2, 80, 204-5.degree.; H, 2-Cl, 67, 175-7.degree.; H, 3-Cl, 59, 147-8.degree.; H, 2-Br, 78, 1723.degree.; H, 4-Br, 88, 227-8.degree.; H, 4-CN, 53, 215-16.degree.; 2-Me, 5-Me, 67, 191-2.degree.; 3-Me, 4-Me, 88, 213-14.degree.; 4-Me, 3-Cl, 81, 185-6.degree.; 3-Cl, 4-Cl, 96, 206-7.degree.. Z = Cl: H, H, Me, 68, 177-8.degree.; H, 2-Me, 54, 230-1.degree.; H, 3-Me, 66, 166-7.degree.; H, 4-Me, 78, 236-8.degree.; H, 4-MeO, 74, 213-15.degree.; H, 2-EtO, 77, 153-4.degree.; H, 4-HOC2H4, 73, 191-2.degree.; H, 2-Cl, 76, 1889.degree.; H, 3-Cl, 74, 154-5.degree.; H, 2-Br, 63, 194-5.degree.; H, 4-Br, 84, 246-8.degree.; H, 4-CN, 52, 279-81.degree.; 3-Me, 4-Me, 78, 2279.degree.; 4-Me, 3-Cl, 78, 197-9.degree.; 3-Cl, 4-Cl, 81, 216-17.degree.. Z = OH: H, 2-Me, 70, 264-5.degree.; H, 4-Me, 83, 262-3.degree.; H, 4MeO, 80, 295-7.degree.; H, 2-Cl, 71, 273-4.degree.; H, 3-Cl, 73, 25960.degree.; H, 4-Br, 82, 296-8.degree.; 3-Me, 4-Me, 87, 218-20.degree.; 4-Me, 3-Cl, 81, 307-9.degree.; 3-Cl, 4-Cl, 85, 258-9.degree.. Z = SH: H, 2Me, 63, 259-60.degree.; H, 3-Me, 81, 224-6.degree.; H, 4-Me, 85, 284-5.degree.; H, 4-MeO, 79, 238-9.degree.; H, 2-Cl, 67, 237-8.degree.; H, 3-Cl, 80, 285-6.degree.; 3-Me, 4-Me, 83, 220-2.degree. (decompn.); 4-Me, 3-Cl, 80, 261-2.degree. (decompn.); 3-Cl, 4-Cl, 85, 288-9.degree. (decompn.). Ultraviolet spectral data were tabulated.

IT **6301-29-7**, **Pyrimidine**, 2-**amino**-4-methyl-6-(2,5-xylidino)- (prepn. of)

RN 6301-29-7 CAPLUS

CN 2,4-Pyrimidinediamine, N4-(2,5-dimethylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 204 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:79786 CAPLUS

DOCUMENT NUMBER: 56:79786

ORIGINAL REFERENCE NO.: 56:15633i,15634g-i,15635a-b

TITLE: Hydrolysis of reactive dyeings

AUTHOR(S): Benz, J.

CORPORATE SOURCE: Sandoz Ltd., Basel, Switz.

SOURCE: J. Soc. Dyers Colourists (1961), 77, 734-40

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

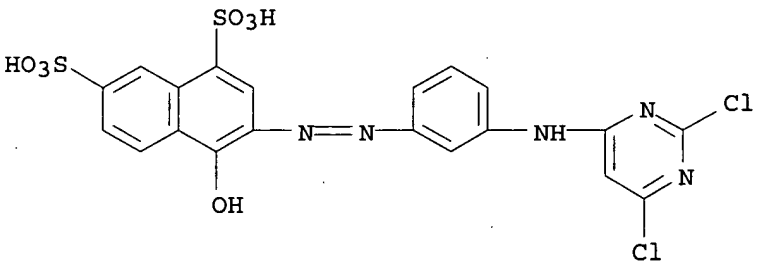
AB The hydrolyzability in aq. alkali (2% Na2CO3, 6 hrs. at 95.degree.) and aq. acid (pH 3.0 buffer, 6 hrs. at 70.degree.) media of dyeings on cellulose produced with selected reactive dyes was examd. The main objective was to examine the dependence of hydrolyzability on the constitution of dyes, but a relation between hydrolyzability and reactivity was also sought. For this purpose, a series of dyes was synthesized contg. the same chromophore 3-[1,4,6,2-HO(HO3S)2C10-H4N:N]C6H3 (Ia). Ia was combined with different reactive systems and in some instances the bridge member also was varied. The following compds. contg. Ia were prepd. (reactive system, linkage, and dye no. given): 2,6-dichloro-4-**pyrimidyl**, NH, I; 2,6-dichloro-4-**pyrimidyl**, O, II; 2,6-dichloro-4-**pyrimidyl**, OCH2, III; 2,5,6-trichloro-4-**pyrimidyl**, NH, IV; 2,5,6-trichloro-4-

pyrimidyl, NMe, V; 2,5,6-trichloro-4-**pyrimidyl**, O, VI; 4,6 dichloro-2-triazinyl, NH, VII; 4-chloro-6-(p-sulfoanilino)-2-triazinyl, NH, VIII; ClCH2CH2CO, NH, IX; CH2:CHCO, NH, X; MeCCl:CHCO, NH, XI; HO3SOCH2CH2SO2, -, XII. Alk. hydrolysis of dyeings on cellulose gave the following results (dye no. and % hydrolysis given): I, 5; II, 20; III, 33; IV, 7; V, 13; VI, 45; VII, 24; VIII, 38; IX, 61; X, 63; XI, 4; XII, 97. Acid hydrolysis gave the following results (dye no. and % hydrolysis given): I, 0.3; II, 2.0; III, 1.3; IV, 0.4; V, 0.7; VI, 1.8; VII, 14; VIII, 1.9; IX, 2.5; X, 2.3; XI, 85; XII, 0.1. The reactivity of the dye and the hydrolyzability of the dyeings were dependent to a great extent on the bridge member between Ia and the reactive system. Within the series I-VI, increasing reactivity of the dye was accompanied by a growing tendency to acid and, more particularly, alk. hydrolysis. With dyes having O bridges sapon. of the dyeings in an alk. medium occurred chiefly between the reactive system and Ia while with dyeings of dyes contg. NH or NMe bridges the linkage between the reaction system and the cellulose was hydrolyzed. In the series VII-XI, no general relation between reactivity and the tendency to hydrolysis could be established. There was evidence that the dyeings of highly reactive dyes tended to be rather easily hydrolyzed either in acid or alk. medium, but dyeings of some dyes with comparatively slow rates of reaction, e.g. those of the MeCCl:CHCO and ClCH2CH2CO types, were also very susceptible to hydrolysis. XII showed unusually high resistance to acid hydrolysis.

IT **95801-03-9**, 1,7-Naphthalenedisulfonic acid, 3-[[m-[(2,6-dichloro-4-**pyrimidinyl**)**amino**]**phenyl**]azo]-4-**hydroxy**-
(on cellulose, hydrolysis of)

RN 95801-03-9 CAPLUS

CN 1,7-Naphthalenedisulfonic acid, 3-[[m-[(2,6-dichloro-4-pyrimidinyl)amino]phenyl]azo]-4-hydroxy- (7CI) (CA INDEX NAME)



L6 ANSWER 205 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:74764 CAPLUS

DOCUMENT NUMBER: 56:74764

ORIGINAL REFERENCE NO.: 56:14588b-c

TITLE: Radiation prophylaxis by some new purines and **pyrimidines**

AUTHOR(S): Krasnykh, I. G.; Shashkov, V. S.; Magidson, O. Yu.; Golovchinskaya, E. S.; Chkhikvadze, K. A.

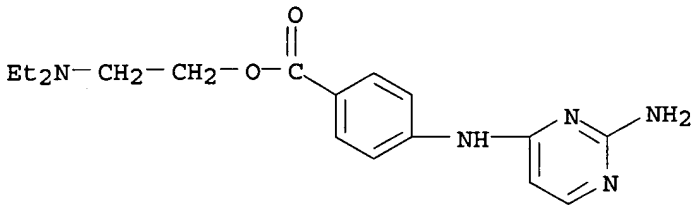
SOURCE: Farmakol. i Toksikol. (1961), 24, 572-7

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

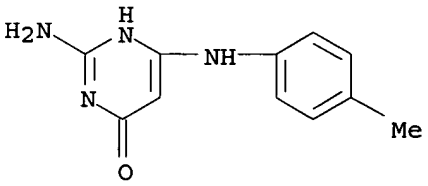
AB Mice were given intraperitoneal or subcutaneous injections, 10-15 min. prior to exposure to 700 r. (x-rays), of 4 uracils, 3 caffeine derivs., a theobromine deriv., and 12 **pyrimidines**. Up to 20% protection against radiation damage was given by compds. contg. aminoethyl or aminomethyl groups, as against 50-60% protection with mercamine. Some compds. caused hypothermia, in no apparent relation to protective effect. Some drugs were given as the free base, others as hydrohalides. Doses and results are tabulated.

IT **94804-03-2**, Benzoic acid, p-[(2-**amino**-4-**pyrimidinyl**)**amino**]-, 2-(diethylamino)ethyl ester, dihydrochloride
(in radiation-damage prevention)
RN 94804-03-2 CAPLUS
CN Benzoic acid, p-[(2-amino-4-pyrimidinyl)amino]-, 2-(diethylamino)ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

L6 ANSWER 206 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:71169 CAPLUS
DOCUMENT NUMBER: 55:71169
ORIGINAL REFERENCE NO.: 55:13539f-h
TITLE: Effect of some arylguanidino- and arylaminopyrimidines on the growth of certain microorganisms
AUTHOR(S): Roy, Dolly; Ghosh, Sudhamoy; Guha, B. C.
CORPORATE SOURCE: Univ. Coll. Sci. & Technol., Calcutta
SOURCE: Arch. Biochem. Biophys. (1961), 92, 366-72
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 2,4-Diamino-6-hydroxypyrimidine and its derivs. obtained by the replacement of C-2 and/or C-4 **amino** group by various para-substituted arylamino or arylguanidino groups were investigated for their inhibitory action on the growth of Streptococcus faecalis, Lactobacillus arabinosus, and Escherichia coli. 2,4-Bis(arylamino)-6-hydroxypyrimidines were the most active. The biol. activity of arylamino or arylguanidinopyrimidines differs from that of 2,4-diaminopyrimidines in that the former does not competitively reverse the action of folic acid in the growth of S. faecalis. Their inhibitory activity seems to be partially due to their interference with the **pyrimidine** metabolism of the microorganisms.
IT **33344-18-2**, 4-**Pyrimidinol**, 2-**amino**-6-p-toluidino-
(bactericidal action of)
RN 33344-18-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



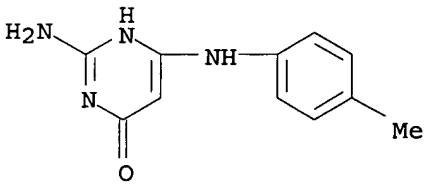
L6 ANSWER 207 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:70696 CAPLUS
DOCUMENT NUMBER: 55:70696
ORIGINAL REFERENCE NO.: 55:13437b-i,13438a-c
TITLE: Syntheses of arylamino- and arylguanidinopyrimidines
AUTHOR(S): Roy, Dolly; Ghosh, Sudhamoy; Guha, B. C.
CORPORATE SOURCE: Univ. Coll. Sci. and Technol., Calcutta
SOURCE: J. Org. Chem. (1960), 25, 1909-12
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 53, 5396b. Various 6-**hydroxy**-5-unsubstituted **pyrimidines**, HOC:N.C(NHR):N.C(NHR'):CH (I) (NHR and NHR' = substituted arylamino or arylguanidino groups) were synthesized to give compds. with pronounced inhibitory effects on bacterial growth. HOC:N.C(SMe):N.C(NH2):CH (II) (5.2 g.) and 4.3 g. p-ClC6H4NH2 slowly heated (N atm.) to 160.degree. (oil bath), kept 6 hrs. at 160.degree., the cooled mixt. taken up in alc., the decolorized soln. (C) dild. with H2O, adjusted to pH with HCl, and the product crystd. from 90% alc. gave 70% I (R = p-ClC6H4, R' = H) (III), m. 269-70.degree.. Similarly were prepd. 70% I (R = Ph, R' = H), m. 274-5.degree., and 80% I (R = p-MeC6H4, R' = H), m. 272-3.degree.. II (5.2 g.) and excess p-ClC6H4NH2 (15 g.) heated (N atm.) slowly to 180-90.degree., kept 4 hrs., evapd., the p-ClC6H4NH2 replaced, the mixt. kept 4 hrs. at 170-5.degree., the cooled product taken up in H2O, the soln. acidified with dil. HCl, stirred 2 hrs. at 30.degree., and the H2O-washed product crystd. from 90% alc. gave I (R = R' = p-ClC6H4) (IV). Similarly were prepd. 46% corresponding I (R = R' = Ph), m. 214-16.degree. (60% alc.), and 52% I (R = R' = p-MeC6H4), m. 224-6.degree. (60% alc.). The yields obtained with the various amines, p-RC6H4NH2, to give compds. of type III apparently paralleled the basicity (R, dissocn. const., K, of amine at 25.degree., and % yield of type III compd. given): Me, 1.5 .times. 10-9, 80; Br, 1.0 .times. 10-10, 0; Cl, 1.5 .times. 10-10, 70; H, 3.5 .times. 10-10, 70; O2N, 3.45 .times. 10-11, 0; .alpha.-C10H7NH2, 9.9 .times. 10-11, 0. IV (1 g.) finely powdered, treated with POCl3, the mixt. heated on a steam bath, excess POCl3 removed in vacuo, the residue poured on ice and the product crystd. gave 900 mg. ClC:N.(p-ClC6H4NH)C:N.(p-ClC6H4NH)C:CH, m. above 260.degree. (dil. Me2CO). Finely powd. IV (1 g.) in 30 ml. 95% alc. adjusted to pH 3 with concd. HCl, treated dropwise with stirring at 30.degree. at pH 3 with 200 mg. NaNO2 in 10 ml. H2O, the cooled mixt. filtered, and the residue washed with H2O and cold alc. gave brown 850 mg. amorphous HOC:N.(p-ClC6H4NH)C:N.(p-ClC6H4NH)C:CNO (V), m. above 310.degree.. HOC:N.C(NH2):N.CCl:CH2 (2.9 g.) and 2.6 g. p-ClC6H4NH2 refluxed 4 hrs. in 30 ml. AcOH and 0.4 ml. concd. HCl, the hot decolorized (C) filtrate dild. with 200 ml. H2O, partially neutralized with 20 ml. 10N NaOH, and the H2O-washed ppt. crystd. from 60% alc. gave 95% I (R = H, R' = p-ClC6H4) (VI), m. 168-9.degree.. Similarly were prepd. the corresponding I (R = H, R' = Ph, p-MeC6H4), m. 145.degree. (H2O), 152-5.degree. (60% alc.), in 63 and 86% yields, resp. Na (0.92 g.) in 100 ml. abs. alc. treated with 9 g. p-O2NC6H4NHC(:NH)NHC(:NH)NH2, the mixt. stirred with gradual addn. (ice bath) of 11.3 g. NCCH2CO2Et below 20.degree., refluxed 16 hrs., and the cooled mixt. filtered gave 50% I [R = p-O2NC6H4NHC(:NH), R' = H], m. above 310.degree. (decompn.). Na (3 g.) in 75 ml. abs. alc. shaken (ice bath) with 10.7 g. PhNHC(:NH)NHC(:NH)NH2, the filtered soln. shaken with 11.3 g. NCCH2CO2Et below 30.degree., kept 24 hrs. at 28.degree., the ppt. washed with cold alc., taken up in H2O, acidified with HCl, cooled to 2.degree., and the H2O-washed ppt. crystd. from dil. Me2CO yielded 42% I [R = PhNHC(:NH), R' = H], m. 151-2.degree.. Similarly, p-MeOC6H4NHC(:NH)NHC(:NH)NH2.HCl, p-ClC6H4NHC(:NH)NHC(:NH)NH2.HCl, and p-MeC6H4NHC(:NH)NHC(:NH)NH2.HCl condensed with NCCH2CO2Et in the presence

of NaOEt gave the corresponding I [R = p-MeOC6H4NHC(:NH), p-ClC6H4NHC(:NH) (VII), p-MeC6H4NHC(:NH), R' = H], m. 142.degree. (H2O), 221-2.degree. (Me2CO), 198.degree. (60% alc.), in 35, 34, and 48% yields, resp. The 5-position of compds. of type VII was shown to be free since nitrosation occurred in the 5-position with formation of colored nitroso compds., such as V. The ultraviolet absorption spectra of the analogous Cl-substituted compds. were detd. and tabulated [compd., and .lambda.max. in m.mu. (log .epsilon., alc.) given]: III, 275 (4.115); VI, 250, 292 (4.421, 3.639); IV, 243, 279 (4.224, 4.510); VII, 265 (4.433). All compds. except VII showed max. greater than the 264 .+-. 6 m.mu. characteristic of simple trisubstituted **pyrimidines**, due probably to the proximity of the **phenyl** ring substituents, since in the anomalous VII the Ph group was sepd. from the **pyrimidine** ring by the NHC(:NH)NH chain.

IT **33344-18-2**, 4-**Pyrimidinol**, 2-**amino**-6-p-toluidino-
(prepn. of)

RN 33344-18-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 208 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1961:48708 CAPLUS

DOCUMENT NUMBER: 55:48708

ORIGINAL REFERENCE NO.: 55:9418f-i,9419a-i,9420a-d

TITLE: Synthesis of some 2,4,5-trisubstituted **pyrimidines**

AUTHOR(S): Peters, Earl; Minnemeyer, Harry J.; Spears, Alexander W.; Tieckelmann, Howard

CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY

SOURCE: J. Org. Chem. (1960), 25, 2137-42
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Condensation of acetamidine (I) and S-alkylthioureas with di-Et ethoxymethylenemalonate (II) and di-Et formylsuccinate (III) by known methods gave 2-Me- and 2-alkylthio-5-substituted 4-**pyrimidones**. The 4-**pyrimidones** were converted to the corresponding 4-alkylthio- and 4-(substituted-**amino**)**pyrimidines** through the intermediate 4-chloropyrimidines. Several **pyrimidines** were converted to 2-hydrazinopyrimidines, 5-**pyrimidinecarboxylic** acid hydrazides, or 5-hydroxypyrimidines (IV) were prepd. by treatment of 10 g. 2 methylthio-4-chloro-5-carbethoxypyrimidine (V) with 1 or 2 equivs. amine. The products were isolated by one of the following methods. (A.) The mixt. was poured into 250 ml. 5% HCl, dild. with H2O, and the pptd. product washed with H2O. (B.) The mixt. was poured into 800-900 ml. H2O, refrigerated several hrs., and the solid washed. (C.) The mixt. was poured into 800-900 ml. H2O, extd. with Et2O, the exts. washed, dried, and the residual solid collected by evapn. of the solvent. (D.) Solvent alc. was removed at room temp., H2O or ice added to the residual oil or solid, and the product worked up by method B. The following IV were thus obtained (4-substituent, method of isolation, % yield, and m.p. given): MeNH, -(prepd. by treatment of V in aq. MeNH2 and alc. and pptn. of the

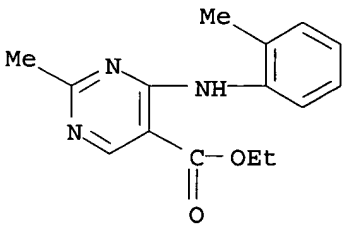
product), 93, 93-4.degree.; CH2:CHCH2NH, D, 95, 44-5.degree.; tert-BuNH, B, 90, 63-4.degree.; morpholino, B, 90, 83-4.degree.; piperidino, B, 93, 64-5.degree., furfurylamino, C, -, 56-7.degree.; PhCH2NH, B, -, 68-9.degree.; c-ClC6H4CH2NH, A, 86, 86-7.degree.; 2,4-Cl2C6H3CH2NH, A, 85, 98-100.degree.; HOCH2CH2NH, B, 92, 158-60.degree.; (EtO2C)2CHNH, B, 90, 64-6.degree.; MePhN, B, 83, 74-5.degree.; EtPhN, B, 79, 96-7.degree.; o-H2NC6H4NH, -, 80, 123-4.degree.; PhCH2CH2NH, D, 88, 45-9.degree.; o-CF3C6H4NH, A, 90, 103-4.degree.; m-CF3C6H4NH, A, 90, 97-8.degree.; Me2NNMe, B, 95, 92-3.degree.. V (10 g.) in 50 ml. Me2CO and 10 g. Na salt of taurine shaken 12 hrs. at room temp., evapd., the residue in 75 ml. H2O acidified, and the mixt. cooled pptd. 5.2 g. 2-methylthio-4-(.beta.-sulfoethylamino)-5-**pyrimidinecarboxylic** acid-2H2O, m. 299-300.degree.(H2O). An alkanethiol (60 millimoles) was added to 12 g. Na2CO3 in 120 ml. H2O, this mixt. added to 13.9 g. V in 210 ml. alc., after 2 min. the mixt. heated to boiling, after removal of the alc. dild. to 600 ml. with H2O, the salts dissolved, and the oil sepd. An exception was the 4-methylthiopyrimidine, which was pptd. After drying and removal of Et2O the yields of crude material were above 90%. The oils were purified by distn. The 4-(tert-butylthio)**pyrimidine** could not be sepd. from V by this method. Instead, the crude oil before Et2O extn. was washed with H2O then dissolved in 200 ml. alc. and 8 ml. 40% aq. MeNH2; thus, V was converted to the acid sol. 2-methylthio-4-methylamino-5-carbethoxypyrimidine. After 0.5 hr., 100 ml 5% HCl was added, the vol. brought up to 1 l., the oil dissolved in Et2O, the soln. washed, and the product isolated as above. The following 2-methylthio-4-alkylthio-5-carbethoxypyrimidines were thus obtained (4-substituent and m.p. or b.p./mm. given): MeS, 86-8.degree.; EtS, 168.degree./0.8; PrS, 187.degree./2.5; iso-PrS, 161.degree./0.8; BuS, 177.degree./1.0; tert-BuS, 153.degree./0.4. 2-Methyl-4-**hydroxy**-5-carbethoxypyrimidine treated with POCl3 at about 80.degree., the POCl3 removed at 70.degree. in vacuo, the residue in CHCl3 treated with aq. K2CO3, dried, and the residue distd. gave 65% 2-methyl-4-chloro-5-carbethoxypyrimidine (VI), b1 100.degree.. VI (1-7 g.) in Me2CO (10 ml./g. VI) treated with twice the calcd. amt. of amine in Me2CO (when the reaction was slow a few drops of HCl added), after standing 2-10 hrs. the mixt. poured into H2O, the mixt. refrigerated a few hrs., the product collected, washed, and recrystd. gave 60-90% 2-methyl-4-(substituted-**amino**)-5-carbethoxypyrimidines (VII). The following VII were thus obtained (4-substituent and m.p. given): PhNH, 85-6.degree.; o-ClC6H4NH, 98-9.degree.; o-BrC6H4NH, 110-11.degree.; o-FC6H4NH, 92-3.degree.; o-IC6H4NH, 97-8.degree.; o-MeC6H4NH, 92-3.degree.; 2,6-Me2C6H3NH, 96-7.degree.; PhCH2NH, 70-1.degree.; furfurylamino, 58-60.degree.. 2-Benzylthio-4-chloro-5-carbethoxypyrimidine (VIII) was prepd. by the procedure for V in 63% over-all yield, b1 206.degree.. 2-Benzylthio-4-anilino-(IX) and 2-benzylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine (X) were prepd. by treatment of PhNH2 and o-ClC6H4NH2, resp., with distd. VIII. Alc.-Me2CO was used as solvent and the remainder of the procedure followed method B. Yields were >90%. Recrystn. gave IX, m. 76-7.degree. and 91-2.degree.. 2,4-Dichloropyrimidine (XI) (2.21 g.) in 25 ml. alc. cooled to 0.degree., treated during 0.5 hr. with an equimolar amt. of an aniline in 25 ml. alc., the mixt. allowed to warm to room temp., poured into a large excess of H2O, the product washed, and dried yielded 60-80% of the following compds.: 2-chloro-4-(o-chloroanilino)5-carbethoxypyrimidine (XII), m. 142-3.degree.; 2-chloro-4-(o-bromoanilino)-5-carbethoxypyrimidine, m. 154-5.degree.; 2-chloro-4-(o-iodoanilino)-5-carbethoxypyrimidine, m. 158-9.degree.. In attempts to prep. the 4-anilino- and the 4-(o-fluoroanilino)**pyrimidines**, the above method yielded products contaminated with 2,4-diarylaminopyrimidines. XI treated with 2 equivs. PhNH2 at room temp. gave 86% 2,4-dianilino-5-carbethoxypyrimidine, m. 187-8.degree. (alc.-H2O). XII with methanethiol gave 2-methylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine. 2-Methyl-(XIII) and 2-methylthio-4-(substituted-**amino**)-5-hydroxymethylpyrimidines (XIV) were obtained by redn. with LiAlH4 in Et2O

or tetrahydrofuran. The crude XIII or XIV were sometimes contaminated by sizable amts. of starting material and some product lost by recrystn. Yields of pure products were 30-55%. The following XIII and XIV were thus obtained (2, and 4-substituents, m.p., and recrystn. solvent given): Me, PhNH, 132-3.degree., alc.-H2O; Me, o-ClC6H4NH, 143-4.degree., EtOAc; MeS, p-ClC6H4NH, 200-1.degree., alc.-H2O; MeS, p-BrC6H4NH, 202-4.degree., alc.-H2O; MeS, o-ClC6H4NH, 138-40.degree., EtOAc; MeS, o-BrC6H4NH, 143-5.degree., EtOAc; MeS, furfurylamino, 149-50.degree., alc.-H2O. Et 2-methylthio-4-**hydroxy**-5-**pyrimidineacetate** (XV), m. 188-9.degree., (20 g.) and 60 ml. POCl3 left 1.5 hrs. at room temp. gave Et 2-methylthio-4-chloro-5-**pyrimidineacetate** (XVI), distd. at 148-9.degree./1 mm. A more satisfactory procedure was to add H2O, chill the mixt., dry the pptd. product, and distil to give 17.1 g. XVI, m. 38-9.degree. (alc.-H2O). XVI (0.5 g.), 0.2 g. PhNH2, and 3 drops 2% HCl in 15 ml. Me2CO refluxed 1 hr., the solvent evapd., and the residue triturated with H2O gave crude product, which crystd. gave 0.4 g. Et 2-methylthio-4-anilino-5-**pyrimidineacetate**, plates, m. 100-1.degree. (alc.). XVI (2 g.) in 25 ml. concd. NH4OH refluxed 12 hrs., the solvent removed, and the residue triturated gave 1.5 g. 2-methylthio-4-chloro-5-**pyrimidineacetamide**, m. 168-70.degree. (alc.). XV (10 g.) and 2.2 g. N2H4 in 350 ml. alc. refluxed 2 hrs. and left overnight gave 6 g. 2-methylthio-4-**hydroxy**-5-**pyrimidnieacetic** acid hydrazide, m. 209-10.degree. (decompn.) (85% alc.). 2-Methylsulfonyl-4-**amino**-5-carbethoxypyrimidine (XVII), obtained in 5.7-g. yield by treating 5 g. corresponding IV in 250 ml. 5% HCl at 0-2.degree. with Cl 20 min., treating the mixt. with NaHSO3, filtering, washing the ppt., and crystg., 163-4.degree. (alc.). Crude XVII (from 5 g. IV) triturated under 150 ml. concd. NH4OH and left 1 hr. gave 3.5 g. 2,4-diamino-5-carbethoxypyrimidine, m. 206.degree. (alc.). 2-Methylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine (10 g.) in 30 ml. alc. and 10 ml. N2H4 heated 15 min. on the steam bath gave 7.1 g. 2-hydrazino-4-(o-chloroanilino)-5-carbethoxypyrimidine, m. 180-2.degree. (alc.). 2-Methylthio-4-**hydroxy**-5-carbethoxypyrimidine (10 g.) in 500 ml. alc. and 3 ml. N2H4 refluxed 3 hrs., left overnight at room temp., the solvent removed, and the residue crystd. gave 6.1 g. 2-hydrazino-4-**hydroxy**-5-carbethoxypyrimidine, m. 237.degree. (gradual decompn.) (alc.-H2O). 2-Hydrazino-4-**amino**-5-**pyrimidinecarboxylic** acid hydrazide was obtained in 85% yield from the corresponding IV by heating 1 hr. on the steam bath with 30 ml. H2O and 15 ml. N2H4, m. 247-8.degree. (alc.-H2O). Less of the desired material and more of the C6H6 sol. product, probably 2-hydrazino-4-**amino**-5-carbethoxypyrimidine, was formed when the period of heating was shortened.

IT **69731-61-9**, 5-**Pyrimidinecarboxylic** acid, 2-methyl-4-o-toluidino-, ethyl ester
(prepn. of)

RN 69731-61-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-methyl-4-[(2-methylphenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



09/ 922,874

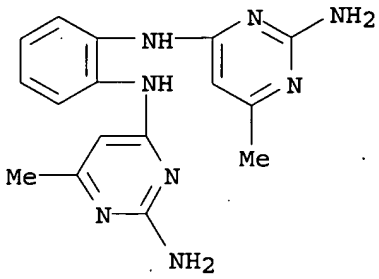
ACCESSION NUMBER: 1961:33165 CAPLUS
DOCUMENT NUMBER: 55:33165
ORIGINAL REFERENCE NO.: 55:6506b-h
TITLE: **Pyrimidine** derivatives (therapeutic)
INVENTOR(S): Parnell, Edgar W.
PATENT ASSIGNEE(S): May & Baker Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 850483		19601005	GB	

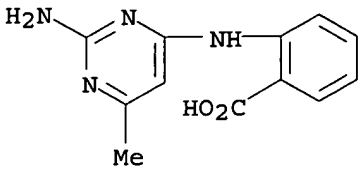
AB Dipyrimidyl compds. were described, some of which were valuable in treating amebiasis and had very low toxicity. Refluxing 20 g. 2-**amino**-6-chloro-4-methylpyrimidine (I), 4.2 g. H2NCH2CH2NH2, and 80 g. PhOH 1 hr., cooling, and pouring into 480 ml. 2N NaOH yielded 1,2-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)ethane-H2O (II), m. 191-3.degree. and 217-19.degree. (H2O). The following were similarly prepd. (m.p. given): 1,3-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)propane, 192-3.degree. (HCONMe2); 1,4-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)butane, 255-6.degree. (decompn.) (aq. MeOH); 1,5-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)pentane, 268-70.degree. (decompn.) (HCONMe2); 1,6-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)hexane, 226-9.degree. (C5H5N); 1,7-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)heptane, 174-5.degree. (HCONMe2); 1,2-bis(2-**amino**-4,5-dimethyl-6-**pyrimidylamino**)ethane, 299-300.degree. (decompn.) (from dil. HCl by adding NH3); 1,2-bis(2-methylamino-4-methyl-6-**pyrimidylamino**)ethane, 225-7.degree. (decompn.) (PhOMe); 1,2-bis(2-dimethylamino-4-methyl-6-**pyrimidylamino**)ethane, m. 170-1.degree. (EtOH); 1,2-bis(2-**amino**-4-ethyl-6-**pyrimidylamino**)ethane HCl salt, 294-6.degree. (decompn.); 1,2-bis[2-(2-diethylaminoethyl)**amino**-4-methyl-6-**pyrimidylamino**]ethane, m. 116-17.degree. (aq. EtOH). II was also prepd. by replacing PhOH with HOCH2CH2NH2 as the solvent, refluxing 4 hrs., and then working up the hydrochloride. I (29.6 g.), 20 g. piperazine-6H2O, and 200 ml. H2O refluxed 1 hr. with addn. of 2N NaOH to keep the mixt. alk. to phenolphthalein yielded 1,4-bis(2-**amino**-4-methyl-6-**pyrimidyl**)piperazine (III), m. 300-4.degree. [III.2HCl.2H2O, m. above 360.degree. (2N HCl)]. I (30 g.), 11.25 g. p-phenylenediamine, 42 ml. 2N HCl, and 400 ml. H2O refluxed 1 hr., cooled, the solid thus obtained dissolved in boiling H2O, and repptd. with 2N NaOH yielded 1,4-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)benzene, m. 283-5.degree. (HCONMe2). Similarly prepd. were: 1,2-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)benzene, m. 282-4.degree. (decompn.) (HCONMe2); 1,3-bis(2-**amino**-4-methyl-6-**pyrimidylamino**)benzene-H2O, m. 179-80.degree. (decompn.) (HCONMe2). 2,6-Dimercapto-4-methylpyrimidine (94 g.), 21.6 ml. H2NCH2CH2NH2, and 376 g. PhOH refluxed 2 hrs. (H2S evolved), cooled, dild. with 940 ml. Et2O, extd. with 2N HCl, and the ext. added to aq. NaOAc yielded 64.5 g. 1,2-bis(2-mercapto-4-methyl-6-**pyrimidylamino**)ethane (IV), m. above 360.degree.. Me2SO4 (51 ml.) added slowly to 64.5 g. IV in 645 ml. 2N NaOH during 40 min. at 20-5.degree. yielded 41.2 g. 1,2-bis(2-methylthio-4-methyl-6-**pyrimidylamino**)ethane (V), m. 275-7.degree. (decompn.) (HCONMe2). V (27 g.), 27 ml. HOCH2CH2NH2, and 108 g. PhOH refluxed 6 hrs., the PhOH removed by steam distn., the solid that sepd. from the residue ground with 2N NaOH, and sepd. yielded 10.2 g. 1,2-bis[2-(2-hydroxyethyl)**amino**-4-methyl-6-**pyrimidylamino**]ethane, m. 187-9.degree. (aq. EtOH). Yields, in general, and anal. data were not given.

IT **93014-77-8**, **Pyrimidine**, 4,4'-[o-phenylenediimino]bis[2-

amino-6-methyl-
(prepn. of)
RN 93014-77-8 CAPLUS
CN Pyrimidine, 4,4'-(o-phenylenediimino)bis[2-amino-6-methyl- (6CI, 7CI) (CA INDEX NAME)



L6 ANSWER 210 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:22783 CAPLUS
DOCUMENT NUMBER: 55:22783
ORIGINAL REFERENCE NO.: 55:4515a-e
TITLE: Synthesis of arylaminopyrimidine derivatives
AUTHOR(S): Yanai, Mitsuii; Kuraishi, Tsukasa
CORPORATE SOURCE: Univ. Nagasaki
SOURCE: Nippon Kagaku Zasshi (1959), 80, 1181-3
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB 4-**Amino**-2-chloro-6-methylpyrimidine (I), 2-**amino**-4-chloro-6-methylpyrimidine (II) and 4-**amino**-2-chloropyrimidine (III) were condensed with arylamines. The **pyrimidine** deriv. (0.01 mole) and 0.01 mole arylamine refluxed in H2O and a few drops HCl 1-1.5 hrs., and neutralized or acidified with AcOH gave the following new **pyrimidine** derivs. (substituents and m.p. given): 4-**amino**-2-(o-carboxyphenylamino)-6-methyl (IV), 235.degree.; 2-**amino**-4-(o-carboxyphenylamino)-6-methyl (V), 173.degree.; 2-**amino**-4-(o-ethoxycarbonylamino)-6-methyl (VII), 166.degree.. I (0.87 g.), 1 g. o-H2NC6H4CO2Et, and 10 cc. AcOH refluxed 1 hr. gave 0.6 g. 4-**amino**-2-**hydroxy**-6-methylpyrimidine, also obtained by heating I and AcOH. The mother liquor gave o-AcNHC6H4CO2Et and 0.75 g. VI. Similar treating of III with o-H2NC6H4CO2H gave VIII (or an isomer), m. 285.degree.; Ac deriv. m. 284.degree.. Hydrolysis of VI gave IV. Hydrolysis of VIII gave an acid (IX) which cyclized to VIII on heating its acidic soln. Ultraviolet absorptions of I, II, IV, V, VI, VII, VIII, IX, 2-**amino**-4-anilino-6-methylpyrimidine, 4-**amino**-2-anilino-6-methylpyrimidine, 2-**amino**-4-(m-carboxyphenylamino)-6-methylpyrimidine, and 2-**amino**-4-(p-carboxyphenylamino)-6-methylpyrimidine were given.
IT **13208-07-6**, Anthranilic acid, N-[2-**amino**-6-methyl-4-**pyrimidinyl**]-
(prepn. of)
RN 13208-07-6 CAPLUS
CN Benzoic acid, 2-[(2-amino-6-methyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 211 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1960:34308 CAPLUS
DOCUMENT NUMBER: 54:34308
ORIGINAL REFERENCE NO.: 54:6747a-i,6748a-h
TITLE: Potential purine antagonists. XIX. Synthesis of some 9-alkyl(aryl)-2-**amino**-6-substituted purines and related v-triazolo[d]**pyrimidines**
AUTHOR(S): Koppel, Henry C.; O'Brien, Darrell E.; Robins, Roland K.
CORPORATE SOURCE: Arizona State Univ., Tempe
SOURCE: J. Am. Chem. Soc. (1959), 81, 3046-51
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 53, 17137b. A series of 2,5-diamino-4-alkyl(aryl)**amino**-6-hydroxypyrimidines (I), prepd. via the corresponding 4-alkyl(aryl)**amino**-2-**amino**-6-**hydroxy**-5-phenylazopyrimidines (II) from 2-**amino**-4-chloro-6-**hydroxy**-5-phenylazopyrimidine (III), was cyclized with HCONH2 and HNO2, resp., to the corresponding 9-alkyl(aryl)-2-**amino**-6-hydroxypurines (IV) and 3-alkyl(aryl)-5-**amino**-7-**hydroxy**-v-triazolo[d]**pyrimidines** (V). With P2S5 the IV gave the corresponding 9-alkyl(aryl)-2-**amino**-6-purinethiols (VI). A series of 6-arylamino-2,4,5-triaminopyrimidines (VII), prepd. from 4-chloro-2,6-diaminopyrimidine (VIII) via the corresponding 2,4-diamino-6-arylaminopyrimidines (IX) and their 5-nitroso derivs. (X), was cyclized with HCONH2 to yield the corresponding 9-aryl-2,6-diaminopurines (XI). The V, VI, and XI were desired, resp., because of the antitumor activity of 5-**amino**-7-**hydroxy**-v-triazolo[d]**pyrimidine** (XII), 2-**amino**-6-purinethiol, and 2,6-diaminopurine (XIII). V and XI are nucleoside models of XII and XIII, resp. The appropriate amine and III (0.1 mole each) refluxed 5 hrs. in 250 ml. abs. alc., the soln. cooled, water added if no ppt. sepd., and the filtered product washed (alc., then Et2O) gave the corresponding II [alkyl(aryl) group, % yield, m.p., .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 1, and .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 11 listed for the only compds. isolated]: p-ClC6H4, 96, -, 257 (17.4) and 425 (22.2), 281 (14.6) and 392 (15.3); p-BrC6H4, 90, above 300.degree., 257 (16.9) and 425 (21.0), 283 (11.6) and 393 (11.6); Ph, 78, above 300.degree. (repptd. from hot, dil. NaOH with AcOH), 256 (16.2) and 425 (21.8), 277 (15.6) and 393 (16.8). Na2S2O4 (75 g.) added to about 25 g. crude II in 600 ml. 2.5N NaOH, the soln. gently boiled 10 min., Norit and Filter-cel added, the clear filtrate neutralized (AcOH) and cooled, and the white product filtered and washed with a small amt. of H2O gave the corresponding I (not purified because of instability), which gently boiled 30 min. with 100 ml. HCONH2, 500 ml. H2O added, the soln. cooled, and the crude product dissolved in hot, dil. HCl, pptd. with NH4OH, and crystd. from HCONMe2 or aq. HCONMe2 gave the following IV [alkyl(aryl) group, % yield from III, and m.p. given]: PhCH2, 29, 300-2.degree.; 3,4-Cl2C6H3CH2, 26, 342-3.degree.; o-ClC6H4CH2, 31, 335-6.degree.; n-C10H21, 41, 233-4.degree.; n-C11H23 (XIV), 52, 234-6.degree.; p-ClC6H4CH2, 25, 343-4.degree. (decompn.); cyclohexyl, 34, above 360.degree.; furfuryl, 34, 306-7.degree. (decompn.) (dil. AcOH); isopentyl, 32, 352.degree.

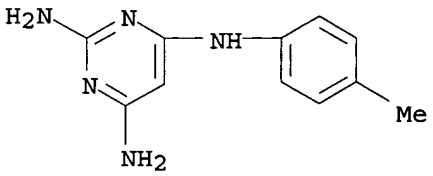
(decompn.); n-C8H17 41, 282-3.degree.; n-C6H13, 43, 283-4.degree.; iso-Bu (XV), 34, above 360.degree.; PhCH2CH2, 34, 323-4.degree.; C10H7, 35, above 360.degree.; Ph (XVI), 43, above 360.degree.; p-BrC6H4 (XVII), 36, above 360.degree.; p-ClC6H4 (XVIII), 38, above 360.degree.. All the above IV except XVI-XVIII gave .lambda.max. at 255 and 280 m.mu. (pH 1) and at 270 m.mu. (pH 11), the corresponding .epsilon. .times. 10-3 being 13.1, 8.5, 11.9; 13.1, 9.4, 12.5; 14.9, 10.0, 12.7; 16.3, 11.1, 11.6; 10.4, 6.7, 10.1; 14.6, 9.7, 13.3; 10.7, 7.5, 12.1; 12.7, 8.3, 10.4; 12.2, 8.2, 12.4; 12.2, 7.8, 11.2; 13.4, 8.9, 11.8; 12.4, 8.1, 10.8; 12.2, 8.0, 11.2; 9.0, 6.5, 8.1. At pH 1, XVI, XVII, and XVIII, resp., had .lambda.max. (.epsilon. .times. 10-3) in m.mu. at 262 (12.0), 229 (19.6) and 262 (10.7), and 263 (12.5). At pH 11 the corresponding values were 268 (12.5), 244 (15.3) and 266 (12.8), and 268 (14.6) and 234 (20.7). To 3 g. XVI in 150 ml. boiling H2O was added 10 ml. more than enough concd. HCl to dissolve the XVI; the soln. stirred at 90.degree. during, and for 15 min. after, dropwise addn. of 3 g. NaNO2 in 20 ml. H2O, chilled, and the filtered-off product crystd. (dil. AcOH) gave 1.5 g. 2,6-dihydroxy-9-phenylpurine (XIX). Similarly, 5 g. XIV gave 3.5 g. undecyl analog of XIX, m. above 300.degree. (dil. AcOH). The appropriate IV (10 g.) and 30 g. P2S5 ground together in a mortar, refluxed 4-24 hrs. (depending on soly. of IV) in 500 ml. C5H5N, the solvent distd. in vacuo. 400 ml. H2O added, the mixt. heated 2 hrs. (steam-bath), and the filtered-off product washed (H2O, then alc.), repptd. from hot, dil. KOH with AcOH, and crystd. from aq. HCONMe2 gave the corresponding VI as light yellow crystals [alkyl(aryl) group, % yield from III, m.p., .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 1, and .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 11 listed]: Ph, 52, 304-5.degree., 343 (27.6), 320 (24.8); p-ClC6H4, 31, 308-10.degree., 343 (16.8), 320 (14.5); p-BrC6H4, 34, 310-12.degree., 233 (20.2) and 342 (15.5), 237 (22.2) and 318 (13.5); Bu, 30, 316-18.degree., 262 (6.1) and 343 (14.7), 250 (11.7) and 270 (6.7) and 320 (17.2); PhCH2, 35, 303-4.degree., 262 (9.1) and 343 (22.1), 275 (13.5) and 320 (19.5). The appropriate crude I (from 25 g. II) placed in 250 ml. H2O contg. just enough NaOH to effect soln., 10 g. NaNO2 added to the filtered soln., the acidified (AcOH) soln. heated 2 hrs. (steam-bath), cooled, and filtered, and the product repptd. from dil. base with AcOH and crystd. (HCONMe2) gave the corresponding V (same listings as for VI): o-ClC6H4CH2, 38, 315-16.degree., 255 (14.0), 280 (12.7); 3,4-Cl2C6H3CH2, 38, 322-3.degree., 255 (13.5), 280 (12.2); n-C10H21, 33, 258-9.degree., 254 (14.4), 279 (12.8); n-C8H17, 24, 263-4.degree., 255 (12.9), 280 (11.1); p-Cl-C6H4CH2, 25, 327-8.degree., 255 (14.1), 280 (14.4); PhCH2 (XX), 29, 313-14.degree., 255 (13.3), 280 (12.6); cyclohexyl (XXI), 34, 311-12.degree., 255 (13.1), 280 (12.8); furfuryl, 34, 285.degree. (decompn.) (dil. AcOH), 255 (13.7), 280 (12.8); Ph, 32, 326-7.degree. (decompn.), 270 (13.2), 287 (13.9); p-ClC6H4, 26, above 360.degree., 272 (7.9), 287 (13.7); p-BrC6H4, 29, above 360.degree., -(-), 287 (16.5); 3,4-Cl2C6H3, 23, decomp. above 300.degree., 272 (10.9), 289 (15.2). Concd. HCl (10 ml.) added to 1 g. XX in 200 ml. boiling H2O, the stirred soln. boiled, treated dropwise with 1 g. NaNO2 in 10 ml. H2O, heated 30 min., decolorized (Norit), filtered, and the filtrate cooled gave 0.8 g. 3-benzyl-5,7-dihydroxy-v-triazolo[d]**pyrimidine** as light-yellow plates, m. above 300.degree.. Similarly, the 3-cyclohexyl analog was prepd. (no data given) from XXI. A soln. of 0.1 mole each of VIII and the appropriate arylamine in 75 ml. H2O, 50 ml. alc., and 1.5 ml. concd. HCl refluxed 4 hrs., poured into 400 ml. boiling H2O, the soln. decolorized (Norit), made slightly alk. (NH4OH), and cooled gave the corresponding IX [aryl group, yield (g.), crystal form (solvent), and m.p. given for the only compds. isolated]: Ph, 14, colorless plates (H2O), 182-4.degree.; p-ClC6H4, 18, light-yellow crystals (dil. AcOH), 168-70.degree.; p-MeC6H4, 24, plates (C6H6), 170-2.degree.. A soln. of 0.1 mole IX in 250 ml. 10% AcOH stirred at 10.degree. during, and for 1 hr. after, dropwise addn. of 0.1 mole NaNO2 in 75 ml. H2O, the crude X filtered off, washed (ice H2O), and treated in 500 ml. boiling H2O with 75 g. Na2S2O4, the soln. boiled 10 min. and chilled, the crude bisulfite salt of VII filtered off, washed

(ice H2O), sucked dry, and heated 0.5 hr. in 100 ml. gently boiling HCONH2, the soln. dild. (300 ml. H2O) and cooled, the filtered off product washed (H2O) and decolorized (Norit) in 250 ml. boiling dil. HCl, the filtrate cooled, and the HCl salt treated with NH4OH gave the corresponding XI [aryl group, % yield from VIII, m.p., .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 1, and .lambda.max. (.epsilon. .times. 10-3) in m.mu. at pH 11 given]: Ph (XXII), 35, 283-5.degree., 230 (2.60) and 291 (10.8), 280 (12.4); p-ClC6H4, 31, 304-5.degree., 240 (20.4) and 293 (9.9), 279 (14.2); 3,4-Cl2C6H3, 26, 304-5.degree., 237 (28.2) and 290 (12.3), 280 (14.7); p-BrC6H4, 39.6, 315-17.degree., 240 (28.6) and 292 (12.8), 278 (15.2); p-MeC6H4, 42, 292-3.degree., 230 (28.8) and 290 (12.0), 280 (13.4). The bisulfite salt (XXIII) (15 g.) of VII (aryl = Ph) in 300 ml. boiling H2O treated with 50 ml. AcOH, g. NaNO2 in 100 ml. H2O slowly added (stirring), the soln. heated (steam-bath) 1 hr. and cooled, and the product washed (H2O) gave 4.5 g. 5,7-diamino-3-**phenyl** -v-triazolo-[d]**pyrimidine**, m. above 300.degree. (HCONMe2), insol. in aq. KOH. Washed (acetone) and desiccated VII (aryl = Ph), from 10 g. XXII and NH4OH, heated 8 hrs. in 250 ml. refluxing 1:1 HC(OEt)3-Ac2O, the cooled mixt. filtered, the washed (H2O) product treated with 250 ml. boiling 2N NaOH, and the soln. neutralized (AcOH) and cooled gave 3.5 g. 2-**amino**-6-anilinopurine (XXIV), white needles, m. 283-5.degree. (aq. HCONMe2), at pH 1 .lambda.max. 300 m.mu., .epsilon. 21,900, at pH 11 .lambda.max. 304 and 237 m.mu., .epsilon. 21,400 and 20,700. XXIV, but not XXII, gave an insol. Ag salt with AgNO3 in dil. H2SO4. XV and XIX were identical with samples prepd. earlier by different routes.

IT **49753-53-9**, **Pyrimidine**, 2,4-diamino-6-p-toluidino-
(prepn. of)

RN 49753-53-9 CAPLUS

CN 2,4,6-Pyrimidinetriamine, N4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 212 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1960:34289 CAPLUS

DOCUMENT NUMBER: 54:34289

ORIGINAL REFERENCE NO.: 54:6732b-f

TITLE: Synthesis and tumor-inhibitory properties of 2-methylthio-4-(substituted-anilino)-5-carbethoxypyrimidines

AUTHOR(S): Peters, Earl; Holland, James F.; Bryant, Bradley; Minnerneyer, Harry J.; Hohenstein, Carol; Tieckelmann, Howard

CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY

SOURCE: Cancer Research (1959), 19, 729-37

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

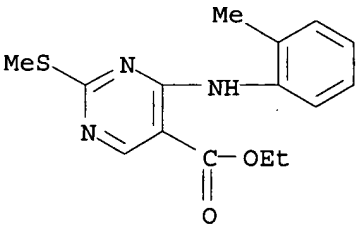
AB 2-Methyl-2-thiopseudourea sulfate (556 g.) was added to 320 g. NaOH in 8 l. H2O at 20.degree., the mixt. stirred 5 min., then 864 g. diethyl (ethoxymethylene)malonate in 1 l. EtOH was added with stirring in 1 hr., the mixt. stirred 3 hrs., kept 24 hrs. and filtered. Extn. of the filter cake with hot EtOH gave 565-660 g. 2-methylthio-4-hy-droxy-5-carbethoxypyrimidine (I). POCl3 (900 ml.) was added to 300 g. I below 50.degree., the mixt refluxed 3 hrs., evapd. and the residue shaken with ice and Et2O. Evapn. of the Et2O gave 240-270 g. 2-methylthio-4-chloro-5-

carbethoxy-**pyrimidine** (II), b0.8 143.degree., m. 59-61.degree.. II (10 g.) in 100-125 ml. EtOH was kept 2-10 hrs. at room temp. with slight excess of substituted aniline, poured into 250 ml. 5% HCl and dild. to 800-1000 ml. to ppt. the 2-methylthio-4-(substituted-anilino)-5-carbethoxypyrimidine (aniline substituents, % yield and m.p. given): H, 86, 87-8.degree.; o-Me, 86, 105-6.degree.; m-Me, 86, 90-91.degree.; p-Me, 86, 121-2.degree.; 2,4-Me2, 82,110-11.degree.; 2,5-Me2, 83,112-13.degree.; 2,6-Me2, 58, 92-3.degree.; o-MeO, 90, 108-10.degree.; m-MeO, 92, 119-20.degree.; p-MeO, 89, 108-9.degree.; EtO, 93, 144-5.degree.; o-O2N, 90, 134-5.degree.; m-O2N, 94, 155-6.degree.; p-O2N, 87, 195-6.degree.; o-Br (III), 92, 108-9.degree.; m-Br, 94, 117-18.degree.; p-Br, 93,127-32.degree.; o-F, 95, 99-101.degree.; p-F, 96, 99-101.degree.; o-I, 96, 96-7.degree.; o-Cl (IV), 93, 114-15.degree.; m-Cl, 92, 127-8.degree.; p-Cl, 93, 124-5.degree.; 2,3-Cl2, 93, 130-31.degree.; 2,4-Cl2, 91, 127-8.degree.; 2,5-Cl2, 91, 166-8.degree.; 2,6-Cl2, 74, 120-21.degree.; 3,4-Cl2, 94, 143-4.degree.; 3,5-Cl2, 95, 152-3.degree.. The compds. were tested for tumor-inhibitory effects in mouse neoplasms transplanted to subcutaneous tissues: Ehrlich carcinoma clone 2, Krebs-2 carcinoma, leukemia L1210, Carcinoma 755, and lymphocytic neoplasm P-288. III and IV were the most active compds. prepd., substantially inhibiting growth of the test tumors.

IT **108123-21-3**, 5-**Pyrimidinecarboxylic** acid, 2-(methylthio)-4-o-toluidino-, ethyl ester
(prepn. of)

RN 108123-21-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(methylthio)-4-(o-toluidino)-, ethyl ester (6CI) (CA INDEX NAME)



L6 ANSWER 213 OF 215 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1959:11908 CAPLUS

DOCUMENT NUMBER: 53:11908

ORIGINAL REFERENCE NO.: 53:2262c-d

TITLE: **Pyrimidine** derivatives

INVENTOR(S): Franke, Walter; Kraft, Richard

PATENT ASSIGNEE(S): Chemische Werke Huls Akt.-Ges.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

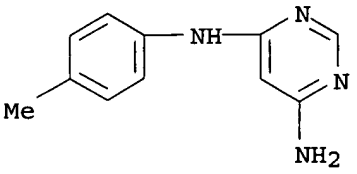
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 947069		19560809	DE	

AB 3-Oxobutyraldehyde 1-di-Me acetal (66 g.) was added to a 45 g. guanidine carbonate (I) in 300 cc. EtOH, the mixt. refluxed with stirring until the I was dissolved, the hot soln. filtered, and the filtrate cooled to give 2-**amino**-4-methylpyrimidine, m. 158.degree..

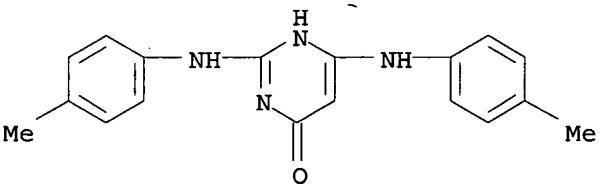
IT **7460-36-8**, **Pyrimidine**, 4-**amino**-6-p-toluidino-
(prepn. of)

RN 7460-36-8 CAPLUS

CN 4,6-Pyrimidinediamine, N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 214 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1959:7548 CAPLUS
DOCUMENT NUMBER: 53:7548
ORIGINAL REFERENCE NO.: 53:1467c-d
TITLE: Arylaminopyrimidines as growth-inhibitors of Streptococcus faecalis and Lactobacillus arabinosus
AUTHOR(S): Ghosh, Sudhamoy; Roy, Dolly; Guha, B. C.
CORPORATE SOURCE: Univ. Coll. Sci. and Technol., Calcutta
SOURCE: Nature (1958), 182, 187-8
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 47, 2272b. Several 2-arylamino-4-**amino**- and 2,4-diarylamino-6-hydroxypyrimidines caused marked inhibition of growth of S. faecalis and L. arabinosus. However, these compds. differ from the parent member of the series, namely, 2,4-diamino-6-hydroxypyrimidine, and from most other 2,4-diaminopyrimidines in that the growth inhibition of S. faecalis which they cause is not reversed by the presence of folic acid.
IT **101794-40-5**, 4-**Pyrimidinol**, 2,6-di-p-toluidino- (bactericidal action on Lactobacillus arabinosus and Streptococcus faecalis)
RN 101794-40-5 CAPLUS
CN 4-Pyrimidinol, 2,6-di-p-toluidino- (6CI) (CA INDEX NAME)

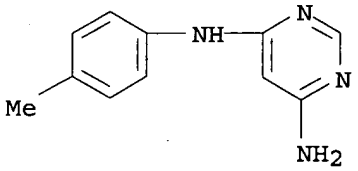


L6 ANSWER 215 OF 215 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1959:2101 CAPLUS
DOCUMENT NUMBER: 53:2101
ORIGINAL REFERENCE NO.: 53:390d-i,391a-f
TITLE: Diuretics. Organomercurials. III. 4,6-Diaminopyrimidines
AUTHOR(S): Whitehead, Calvert W.; Traverso, John J.
CORPORATE SOURCE: Lilly Research Labs., Indianapolis, IN
SOURCE: J. Am. Chem. Soc. (1958), 80, 2185-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Dry 4-**amino**-6-hydroxypyrimidine (111.1 g.) and 1200 cc. POCl3 treated with stirring with 160 g. PhNEt2, refluxed 4.5 hrs., the excess POCl3 distd. at 50-5.degree. in vacuo, the residual thick brown sirup dissolved in an equal vol. of Et2O, cooled in Dry Ice-Me2CO, treated dropwise with stirring with 200 cc. cold H2O at -10 to 0.degree. with the pH maintained at approx. 6 with NH4OH, stirred 2 hrs., warmed to room

temp., adjusted to pH 6, and extd. 2-2.5 days with Et2O, the ext. evapd., the residue washed with petr. ether, dissolved in about 4 l. hot H2O, treated with C, cooled, and the ppt. filtered off (concn. of the mother liquors gave addnl. product) yielded 70-90 g. 4-**amino**-6-chloropyrimidine (I), m. 215.degree.. I (5 g.) in 100 cc. abs. EtOH satd. with cooling with dry HCl yielded 4 g. I.HCl, m. 193.degree. (decompn.) (EtOH). I (10 g.) and 0.14 mole appropriate alkylamine alone or in 50-100 cc. H2O, dioxane, EtOH, or PhMe refluxed 8-12 hrs. (low-boiling amines and I were heated at 110-20.degree. in sealed tubes), cooled, filtered, concd., and the resulting ppt. recrystd. gave the corresponding 4-**amino**-6-(alkylamino)**pyrimidines** (II). I (10 g.) and 0.068 mole arylamine-HCl in 200 cc. dioxane and 30 cc. EtOH refluxed 24 hrs., cooled, the ppt. filtered off, dissolved in H2O, treated with C, the mixt. filtered, basified with NH4OH, and the pptd. base recrystd. (aq. EtOH) yielded the corresponding 4-**amino**-6-(substituted-**amino**)**pyrimidines** (III). By these procedures were prepd. the following II and III (substituent on 6-**amino** group, % yield, m.p., and % diuretic activity given): Me, 62, 205.degree., 9; Et, 74, 193.degree., -; CH2:CHCH2, 65, 146.degree., 8; HO2C(CH2)2 (prepd. by heating H2NCH2CH2CO2Na with I in H2O), 21, 225.degree. (decompn.), -; Pr, 89, 140.degree., 15; iso-Pr, 81, 177.degree., 11; Bu, 78, 118.degree., 18 (pK'a 5.7); iso-Bu, 70, 125.degree., 16; furfuryl, 30, 172.degree., 11; Am, 72, 115.degree., 36; iso-Am, 50, 145.degree., 16; p-ClC6H4, 41, 179.degree., 40; Ph, 74, 179.degree., 100 (pK'a 4.9); 2-**pyridylmethyl**, 13, 188.degree., -; cyclohexyl, 54, 203.degree., 7; C6H13, 94, 115.degree., 11; m-MeC6H4, 35, 132.degree., 68; p-MeC6H4, 29, 175.degree., 100; PhCH2, 78, 211.degree., 69 (pK'a 5.0); p-MeOC6H4, 54, 215-20.degree., -; 1-hydroxycyclohexylmethyl, 70, 197.degree., - (pK'a 5.6); C7H15, 53, 119.degree., 16; .omicron.-ClC6H4CH2CH2, 47, 156.degree., -; Ph(CH2)2, 54, 164.degree., 13; MePhCH, 67, 171.degree., -; m-MeC6H4CH2, 94, 175.degree., 21; .omicron.-MeC6H4CH2, 90, 219.degree., -; p-MeC6H4CH2, 60, 206.degree., -; p-MeOC6H4CH2, 99, 131.degree. (decompn.), -; PhO(CH2)2, 98, 190.degree., 86; 2-cyclohexylethyl, 60, 183.degree., -; C8H17, 76, 100.degree., -; 3,4-(CH2O2)C6H3(CH2)2, 55, 147.degree., -; Ph(CH2)3, 46, 107.degree., 38; p-MeOC6H4(CH2)2, 95, 165.degree., -; Ph(CH2)4, 98, 108.degree., -; 3,4-(MeO)2C6H3(CH2)2, 61, 152.degree., -; 3,4-(MeO)2C6H3(CH2)3, 45, 153.degree., -; PhC(C4H8)CH2, 48, 151.degree., -; Ph2CHCH2, 49, 143.degree., -. CH2[C(:NH)OEt]2 (23 g.) in 75 cc. abs. EtOH treated with 0.2 mole of the appropriate amine, the mixt. shaken to soln., kept several days at room temp., filtered, and the residue recrystd. (EtOH) yielded the corresponding CH2[C(:NH)NHR]22HCl (IV) (R, m.p. with decompn., and % yield given): Et, 280.degree., 71; Bu, 290-5.degree., 49; CH2:CHCH2, 255.degree., 95; MeO(CH2)3, 230.degree., 59; C8H17, 280-90.degree., 78; furfuryl, 280.degree., 60; Ph(CH2)2, 300.degree., 61. The appropriate IV (0.05 mole) added with cooling to 5.4 g. NaOMe in 75 cc. EtOH, filtered, evapd. in vacuo, the residual sirup dissolved in 20 cc. HCO2Et, the soln. kept 12 hrs. at room temp., concd. on the steam bath, cooled, and the cryst. deposit filtered off and recrystd. (50% EtOH) yielded the corresponding 4,6-bis(alkylamino)**pyrimidines** (alkyl group, % yield, m.p., and pK'a in 66% HCONMe2 given): Et, 79, 187.degree., 5.6; CH2:CHCH2, 19.5, 163.degree., 5.2; furfuryl, 14, 185.degree., 4.7; C8H17, 48, 112.degree., -. I (0.1 mole) treated in the usual manner with an appropriate secondary amine yielded the corresponding 4-**amino**-6-(substituted-**amino**)**pyrimidines** (6-substituent, % yield, and m.p. given): Me2N, 93, 202.degree.; 1-**pyrrolidinyl**, 95, 243.degree.; Et2N, 39, 132.degree. (pK'a 5.7); morpholino, 72, 197.degree.; piperidino, 97, 185.degree.; homopiperidino, 81, 208.degree. (pK'a 5.7); Pr2N, 33, 99.degree.; MePhN, 82, 181.degree.. 4,6-Dichloropyrimidine (V) (7.4 g.) mixed with 21.5 g. PhCH2NH2, heated 3 hrs. on the steam bath, dissolved in hot EtOH, and cooled gave 6.5 g. 4,6-bis(benzylamino)**pyrimidine**, m. 234-5.degree.; the filtrate evapd., and the residue recrystd.

(EtOAc-petr. ether) yielded 2 g. 4-benzylamino-6-chloropyrimidine, m. 121.degree.. V (6.7 g.) and 14.6 g. BuNH2 gave similarly 6.7 g. 4,6-bis(butylamino)**pyrimidine** (VI), m. 154.degree.. PhO(CH2)2NH2 (6.85 g.) in 60 cc. 20% EtOH heated 12 hrs. on the steam bath with 3.95 g. V and cooled yielded 6 g. 4-chloro-6-(2-phenoxyethylamino) **pyrimidine**, m. 98-100.degree. (EtOAc-petr. ether). Furfurylamine (5.9 g.) and 4.46 g. V in 50 cc. H2O heated several hrs. on the steam bath and cooled yielded 3.5 g. 4-chloro-6-(furfurylamino)**pyrimidine**, m. 130.degree. (EtOAc-petr. ether). Similarly was prepd. 4-chloro-6-piperidino-**pyrimidine**, m. 78.degree., 93%. VI (1 g.) and 1 g. MeI in 25 cc. EtOAc refluxed 1 hr. and cooled gave 1.2 g. VI.MeI, m. 121.degree., pK'a in 66% HCONMe2 12.8. The pK'a values were detd. in 66% HCONMe2 for the following compds.: 4-**amino**-6-(-**phenyl**-1-cyclopentylamino)**pyrimidine** 5.5, 4-**amino**-6-(N-methylanilino)**pyrimidine** 5.0. The diuretic activities were detd. by comparing the increase in urine vol./kg. body wt. over the normal output during 3 hrs., starting 1 hr. after dosage; the diuretic response was from doses of 5 and 10 mg./kg.; a value of 10% was obtained for a 20 mg./kg. dose of 1-allyl-3-ethyl-6-aminouracil. The LD50 values for the compds. tested varied between 500 and 1500 mg./kg. mice and rats.

IT **7460-36-8**, **Pyrimidine**, 4-**amino**-6-p-toluidino-
(prepn. of)
RN 7460-36-8 CAPLUS
CN 4,6-Pyrimidinediamine, N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 14:24:04 ON 23 MAY 2003)

FILE 'REGISTRY' ENTERED AT 14:24:21 ON 23 MAY 2003
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 3124 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:25:34 ON 23 MAY 2003
L4 938 S L3
L5 416 S L4 AND (THIEN? OR FURAN? OR PYRROL? OR PHENYL OR PYRID? OR NA
L6 215 S L5 AND (CYANO OR AMINO OR HYDROXY)

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